

10/560,953

=> d his

(FILE 'HOME' ENTERED AT 17:42:18 ON 02 AUG 2010)

FILE 'REGISTRY' ENTERED AT 17:42:28 ON 02 AUG 2010

L1 STRUCTURE UPLOADED
L2 2 S L1
L3 885 S L1 SSS FUL
L4 772 S L3 AND CAPLUS/LC
L5 113 S L3 NOT L4

FILE 'CAPLUS' ENTERED AT 17:46:17 ON 02 AUG 2010

FILE 'REGISTRY' ENTERED AT 17:46:41 ON 02 AUG 2010

L6 STRUCTURE UPLOADED
L7 860 S L6 SUB=L3 FUL
L8 780 S L7 AND 6-7/SZ
L9 80 S L7 NOT L8
L10 60 S L9 AND 4-5-6/SZ
L11 20 S L9 NOT L10
L12 2 S 4-5-5-6/SZ AND L11
L13 18 S L11 NOT L12
L14 2 S L13 AND FURO
L15 16 S L13 NOT L14
L16 782 S L8 OR L14

FILE 'CAPLUS' ENTERED AT 17:57:54 ON 02 AUG 2010

L17 94 S L16

FILE 'REGISTRY' ENTERED AT 17:58:45 ON 02 AUG 2010

L18 701 S L16 AND CAPLUS/LC
L19 81 S L16 NOT L18

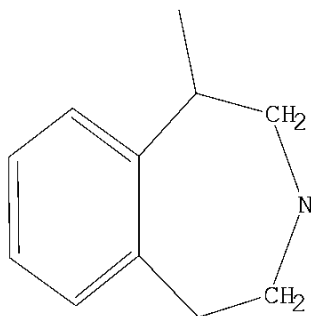
FILE 'CAPLUS' ENTERED AT 18:00:04 ON 02 AUG 2010

L20 70 S L17 NOT (2010/SO OR 2009/SO OR 2008/SO OR 2007/SO OR 2006/SO

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,OH

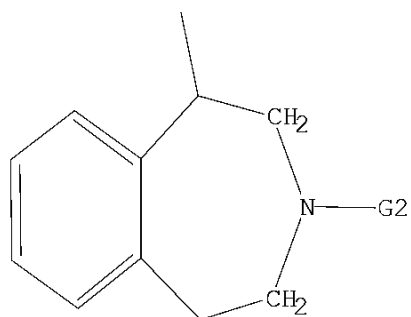
Structure attributes must be viewed using STN Express query preparation.

10/560,953

=> d 16

L6 HAS NO ANSWERS

L6 STR



G1 C,OH

G2 H,Ak

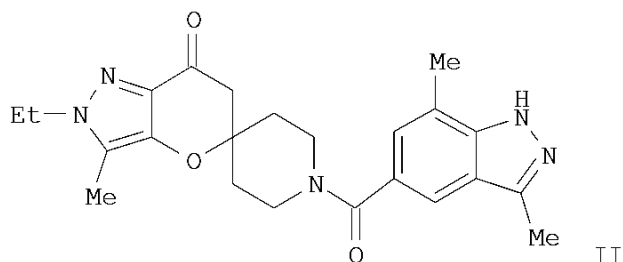
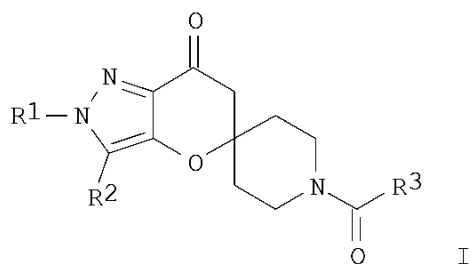
Structure attributes must be viewed using STN Express query preparation.

=> d ibib abs hitstr total

10/560,953

L20 ANSWER 1 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:1501809 CAPLUS
DOCUMENT NUMBER: 152:12347
TITLE: Spiro[pyrazolopyran-piperidine] ketones as acetyl-CoA
carboxylase inhibitors and their preparation,
pharmaceutical compositions and use in the treatment
of diseases
INVENTOR(S): Corbett, Jeffrey Wayne; Elliott, Richard Louis;
Freeman-Cook, Kevin Daniel; Griffith, David Andrew;
Phillion, Dennis Paul
PATENT ASSIGNEE(S): Pfizer, Inc., USA
SOURCE: PCT Int. Appl., 147pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2009144554	A1	20091203	WO 2009-IB5649	20090518
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRIORITY APPLN. INFO.:			US 2008-56652P	P 20080528
			US 2008-58689P	P 20080604
			US 2009-171519P	P 20090422
OTHER SOURCE(S):	MARPAT 152:12347			
GI				



AB The invention provides compds. of formula I or a pharmaceutically acceptable salt of said compound, pharmaceutical compns. thereof; and the use thereof in treating diseases, conditions or disorders modulated by the inhibition of acetyl-CoA carboxylase enzyme(s) in an animal. Compds. of formula I wherein R1 is C1-4 alkyl, C3-6 cycloalkyl, tetrahydrofuranyl, Bn, etc.; R2 is H, Me and Et; R3 is (un)substituted benzazole, (un)substituted quinolinyl, (un)substituted naphthyl, etc.; and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their acetyl-CoA carboxylase inhibitory activity. From the assay, it was determined that compound II exhibited IC50 values in the range of 9 - 11 nM.

IT 616202-92-7, Lorcaserin

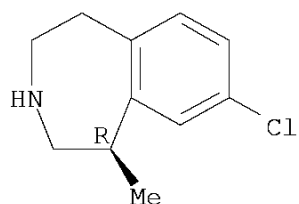
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(codrug; preparation of spiro[pyrazolopyran-piperidine] ketones as acetyl-CoA carboxylase inhibitors useful in the treatment of acetyl-CoA carboxylase-mediated diseases)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



10/560,953

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1501808 CAPLUS

DOCUMENT NUMBER: 152:12346

TITLE: Spiro[pyrazolopyran-piperidine] ketone as acetyl-CoA carboxylase inhibitors and their preparation and use in the treatment of obesity

INVENTOR(S): Freeman-Cook, Kevin Daniel; Samas, Brian Matthew

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 35pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

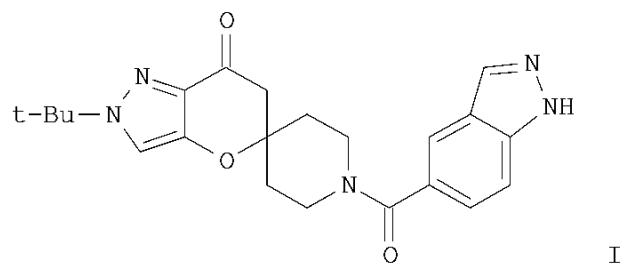
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009144555	A1	20091203	WO 2009-IB5659	20090518
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRIORITY APPLN. INFO.:			US 2008-56652P	P 20080528
			US 2008-58689P	P 20080604
			US 2009-171112P	P 20090421

GI



AB The invention provides a compound of formula I or a pharmaceutically acceptable salt of said compound, pharmaceutical compns. thereof; and the use thereof in treating mammals suffering from the condition of being overweight. Compound I was prepared by condensation of pyruvaldehyde with tert-butylhydrazine hydrochloride; the resulting hydrazone underwent cyclization with glyoxal to give 1-tert-butyl-3-acetyl-4-hydroxypyrazole, which underwent spirocyclization with N-Boc-piperidin-4-one to give the

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Boc-protected spiro[pyrazolopyran-piperidine] derivative, which underwent deprotection and acylation with 1H-indazole-5-carboxylic acid to give compound I. Compound I was also crystallized into different polymorphic forms. Compound I was evaluated for its acetyl-CoA carboxylase inhibitory activity. From the assay, it was determined that compound I exhibited IC50 values in the range of 6.7 - 17.2 nM.

IT 616202-92-7, Lorcaserin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

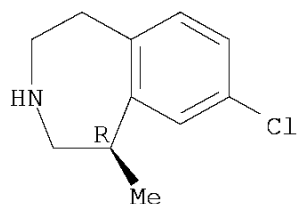
(Biological study); USES (Uses)

(codrug; preparation and polymorphs of spiro[pyrazolopyran-piperidine] indazole ketone derivative as acetyl-CoA carboxylase inhibitor useful in the treatment of obesity)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1047995 CAPLUS

DOCUMENT NUMBER: 151:288988

TITLE: Preparation of isoquinoline, isoindoline, and benzoazepine amides as therapeutic modulators of the histamine H3 receptor

INVENTOR(S): Santora, Vincent J.; Hofilena, Brian J.; Pulley, Michelle; Semple, Graeme; Shan, Yun; Smith, Brian M.

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 132pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009105206	A1	20090827	WO 2009-US1022	20090218
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2008-66246P P 20080219
US 2008-195644P P 20081008

OTHER SOURCE(S): MARPAT 151:288988

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Amide derivs. of Formula I (wherein R1 is H or C1-C4 alkyl; R2 is H or halogen; R3 is H, C1-C4 alkyl or C3-C6 cycloalkyl, and R4 is H; or R3 and R4 together with the atom to which they are both bonded form a C3-C6 cycloalkyl; R5 is C1-C6 alkyl, aryl, C3-C6 cycloalkyl, heteroaryl and heterocyclyl, each of which is optionally substituted; R6, R7 and R8 are independently H, C1-C6 alkoxy, C1-C6 alkyl, amino, halogen, heterocyclyl and hydroxy; m = 0-1; n = 1-2; and V is CH2, O or is absent) and pharmaceutical compns. thereof that modulate the activity of the histamine H3 receptor are claimed. Compds. of the present invention and pharmaceutical compns. thereof are directed to methods useful in the treatment of histamine H3-associated disorders, such as cognitive disorders, epilepsy, brain trauma, depression, obesity, disorders of sleep and wakefulness such as excessive daytime sleepiness, narcolepsy, shift-work sleep disorder, drowsiness as a side effect from a medication, maintenance of vigilance to aid in the completion of tasks and the like, cataplexy, hypersomnia, somnolence syndrome, jet lag, sleep apnea and the like,

attention deficit hyperactivity disorder (ADHD), schizophrenia, allergies, allergic responses in the upper airway, allergic rhinitis, nasal congestion, dementia, Alzheimer's disease, pain and the like. Synthetic procedures for preparing I are exemplified. Example compound II, prepared by reacting III with cyclopropanecarbonyl chloride, had a K_i of 0.45 nM in an [3H]-N- α -methylhistamine competitive histamine H3 receptor binding assay.

IT 1181690-70-9P 1181690-88-9P 1181690-89-0P
 1181690-92-5P 1181690-94-7P 1181690-95-8P
 1181690-98-1P 1181690-99-2P 1181691-01-9P
 1181691-03-1P 1181692-11-4P

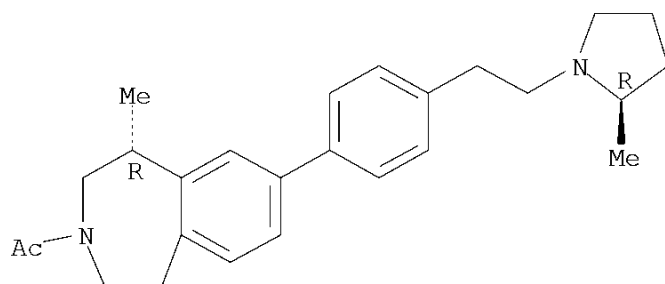
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of isoquinoline, isoindoline, and benzoazepine amides as therapeutic modulators of the histamine H3 receptor)

RN 1181690-70-9 CAPLUS

CN Ethanone, 1-[(1R)-1,2,4,5-tetrahydro-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

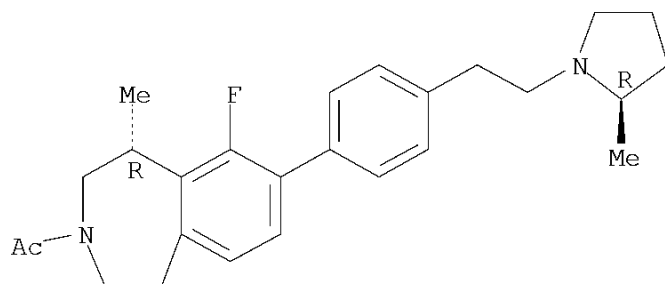
Absolute stereochemistry.



RN 1181690-88-9 CAPLUS

CN Ethanone, 1-[(1R)-9-fluoro-1,2,4,5-tetrahydro-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1181690-89-0 CAPLUS

CN Ethanone, 1-[(1R)-9-fluoro-1,2,4,5-tetrahydro-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

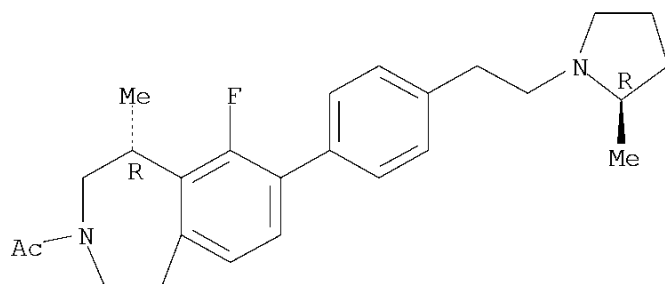
10/560,953

CM 1

CRN 1181690-88-9

CMF C26 H33 F N2 O

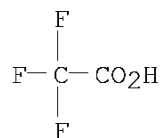
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 1181690-92-5 CAPLUS

CN Ethanone, 1-[(1S)-9-chloro-1,2,4,5-tetrahydro-1-methyl-7-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

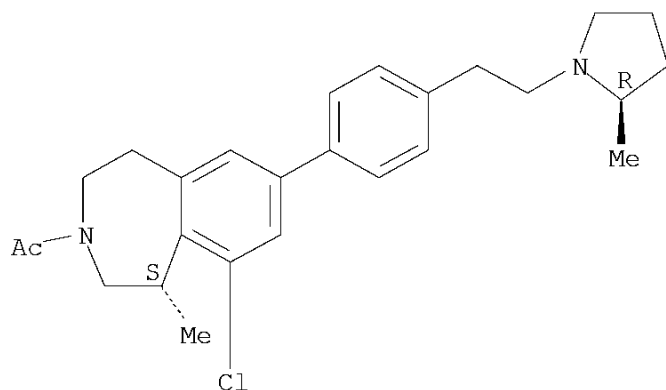
CM 1

CRN 1181690-91-4

CMF C26 H33 Cl N2 O

Absolute stereochemistry.

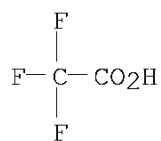
10/560,953



CM 2

CRN 76-05-1

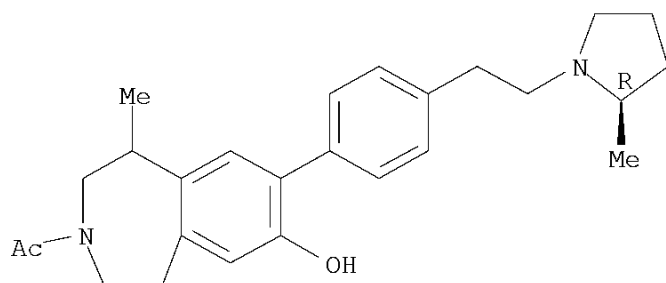
CMF C2 H F3 O2



RN 1181690-94-7 CAPLUS

CN Ethanone, 1-[1,2,4,5-tetrahydro-7-hydroxy-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1181690-95-8 CAPLUS

CN Ethanone, 1-[1,2,4,5-tetrahydro-7-hydroxy-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

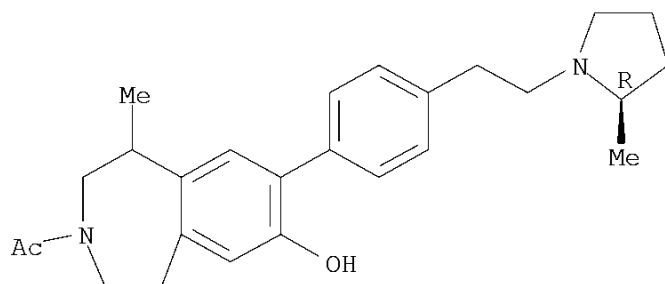
CM 1

CRN 1181690-94-7

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CMF C26 H34 N2 O2

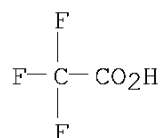
Absolute stereochemistry.



CM 2

CRN 76-05-1

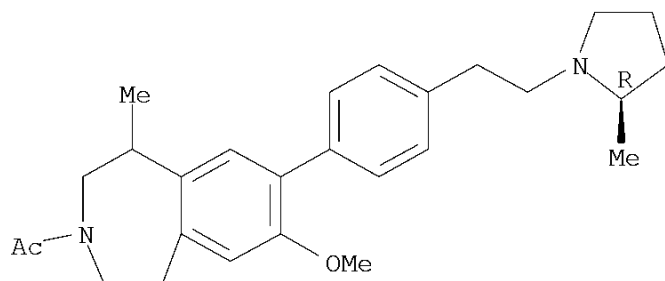
CMF C2 H F3 O2



RN 1181690-98-1 CAPLUS

CN Ethanone, 1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1181690-99-2 CAPLUS

CN Ethanone, 1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

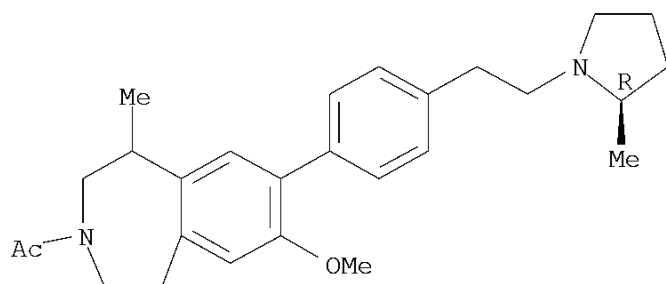
CM 1

CRN 1181690-98-1

CMF C27 H36 N2 O2

10/560,953

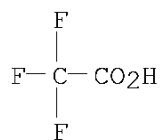
Absolute stereochemistry.



CM 2

CRN 76-05-1

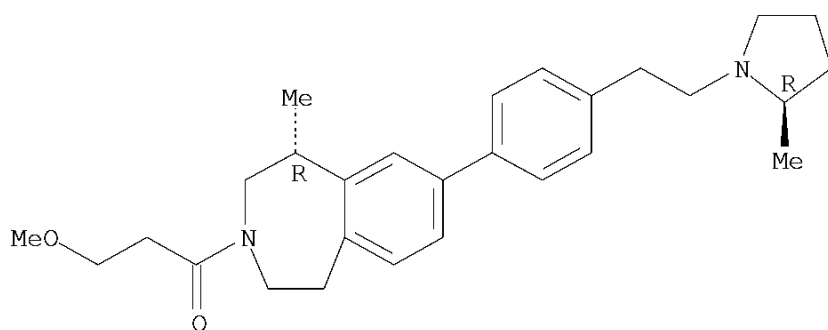
CMF C2 H F3 O2



RN 1181691-01-9 CAPLUS

CN 1-Propanone, 3-methoxy-1-[(1R)-1,2,4,5-tetrahydro-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

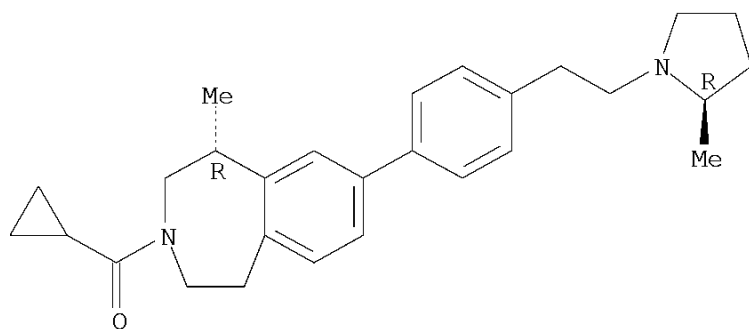


RN 1181691-03-1 CAPLUS

CN Methanone, cyclopropyl[(1R)-1,2,4,5-tetrahydro-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

10/560,953



RN 1181692-11-4 CAPLUS

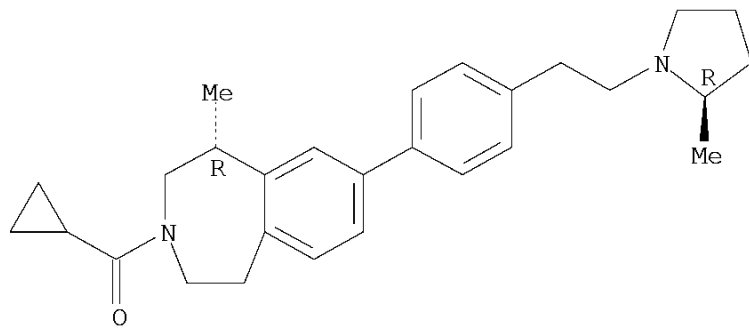
CN Methanone, cyclopropyl[(1R)-1,2,4,5-tetrahydro-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1181691-03-1

CMF C28 H36 N2 O

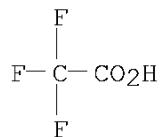
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 846589-98-8, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 1181690-90-3, (R)-1-[8-Chloro-9-fluoro-1-methyl-4,5-dihydro-1H-benzo[d]azepin-3(2H)-

10/560,953

yl]ethanone 1181690-93-6,
(S)-1-[8,9-Dichloro-1-methyl-4,5-dihydro-1H-benzo[d]azepin-3(2H)-
yl]ethanone 1181690-96-9,
1-[8-Chloro-7-hydroxy-1-methyl-4,5-dihydro-1H-benzo[d]azepin-3(2H)-
yl]ethanone 1181691-00-8,
1-[8-Chloro-7-methoxy-1-methyl-4,5-dihydro-1H-benzo[d]azepin-3(2H)-
yl]ethanone

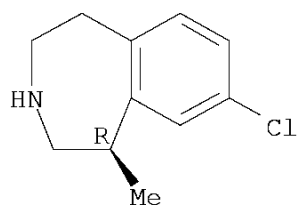
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of isoquinoline, isoindoline, and benzoazepine amides as
therapeutic modulators of the histamine H3 receptor)

RN 846589-98-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride
(1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

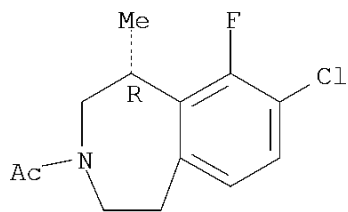


● HCl

RN 1181690-90-3 CAPLUS

CN Ethanone, 1-[(1R)-8-chloro-9-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-
benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

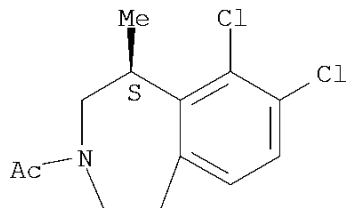


RN 1181690-93-6 CAPLUS

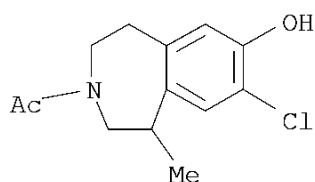
CN Ethanone, 1-[(1S)-8,9-dichloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-
3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

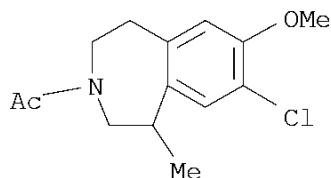
10/560,953



RN 1181690-96-9 CAPLUS
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



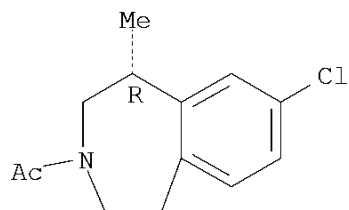
RN 1181691-00-8 CAPLUS
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



IT 1181690-72-1P, (R)-1-[8-Chloro-1-methyl-4,5-dihydro-1H-benzo[d]azepin-3(2H)-yl]ethanone 1181691-02-0P, (R)-1-(8-Chloro-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl)-3-methoxypropan-1-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of isoquinoline, isoindoline, and benzoazepine amides as therapeutic modulators of the histamine H3 receptor)
RN 1181690-72-1 CAPLUS
CN Ethanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

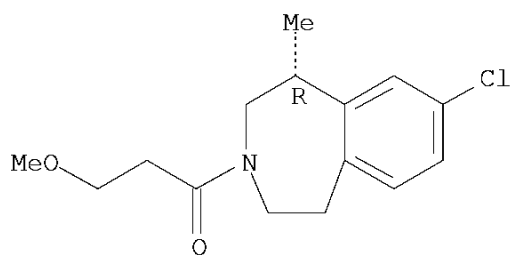
Absolute stereochemistry.

10/560,953



RN 1181691-02-0 CAPLUS
CN 1-Propanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-3-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:820835 CAPLUS

DOCUMENT NUMBER: 151:156369

TITLE: Combination of metformin R-(+)-lipoate and antiobesity agents for the treatment of diabetic hyperglycemia and diabetic complications

INVENTOR(S): Mylari, Banavara L.

PATENT ASSIGNEE(S): Indigene Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 20pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009085198	A2	20090709	WO 2008-US13878	20081219
WO 2009085198	A3	20090903		
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO.: US 2007-8922P P 20071220

AB Disclosed are pharmaceutical compns., methods of treatment, and kits for the treatment of type 2 diabetic hyperglycemia and diabetic complications using combination treatments comprising metformin R-(+)-lipoate and antiobesity agents. Thus, metformin R-(+)-alpha-lipoate was prepared: sodium methoxide (0.31 g) was dissolved in methanol (2 mL) and to this solution was added metformin hydrochloride (1 g) while stirring; acetone (40 mL) was added and the mixture was filtered; to the filtrate, containing metformin in the form of its free base, (R)-(+)-lipoic acid (1.25 g dissolved in 15 mL acetone) was added dropwise with constant stirring resulting in the precipitation of a pale yellow solid; the light yellow solid

was washed with acetone (30 mL), filtered, and dried to yield metformin R-(+)-lipoate; m.p. 148-150°C; (α)_D²⁰ = + 67.7° (c = 1, water); C₁₂H₂₅N₅O₂S₂ calculated C 42.99, H 7.46, N 20.89, S 19.10; found C 43.09, H 7.62, N 20.84, S 19.23.

IT 846589-98-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

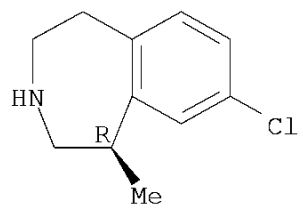
(combination of metformin R-(+)-lipoate and antiobesity agents for treatment of diabetic hyperglycemia and diabetic complications)

RN 846589-98-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

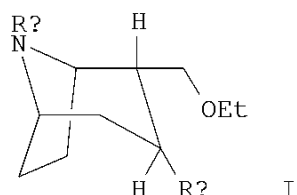
10/560,953



● HCl

PATENT NO.		KIND	DATE	APPLICATION NO.		DATE
WO 2009080691		A2	20090702	WO 2008-EP67853		20081218
WO 2009080691		A3	20090827			
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW					
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA					

OTHER SOURCE(S) : MARPAT 151:108464
GI



IT 616202-92-7, Lorcaserin

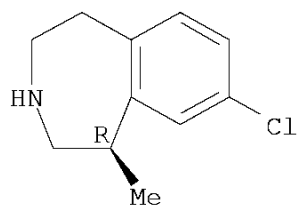
10/560,953

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. comprising monoamine neurotransmitter reuptake
inhibitor such as tesofensine and antiobesity drug)

RN 616202-92-7 CAPLUS

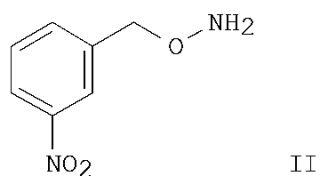
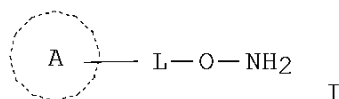
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX
NAME)

Absolute stereochemistry.



L20 ANSWER 6 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2009:710144 CAPLUS
 DOCUMENT NUMBER: 151:56722
 TITLE: Preparation and disclosure of indoleamine
 2,3-dioxygenase (IDO) inhibitors
 INVENTOR(S): Mautino, Mario; Jaipuri, Firoz; Marcinowicz-Flick,
 Agnieszka; Kesharwani, Tanay; Waldo, Jesse
 PATENT ASSIGNEE(S): Newlink Genetics, USA
 SOURCE: PCT Int. Appl., 296pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009073620	A2	20090611	WO 2008-US85167	20081201
WO 2009073620	A9	20100325		
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
CA 2707308	A1	20090611	CA 2008-2707308	20081201
PRIORITY APPLN. INFO.:			US 2007-991518P	P 20071130
			US 2008-50646P	P 20080506
			WO 2008-US85167	W 20081201
OTHER SOURCE(S):	MARPAT 151:56722			
GI				

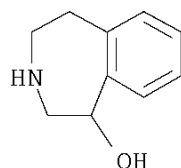


AB Indoleamine 2,3-dioxygenase (IDO) inhibitors , e.g., I, and their pharmaceutically acceptable salts, are prepared and disclosed. Synthetic methods are provided for obtaining the inhibitors. For example, compound II was prepared via reaction of 3-nitrobenzyl alc. with N-hydroxyphthalimide to form the phthalimide-protected hydroxylamine, which was then converted to II upon reaction with hydrazine monohydrate. Select inhibitors were assayed for IDO docking (binding) scores and found to possess scores of -10.64 to -3.43 kcal/mol. The invention is directed to using these inhibitors to treat diseases including IDO-mediated immunosuppression and immunosuppression associated with infectious diseases, including HIV-I. The invention is also directed to using these inhibitors in cancer treatment and tumor-specific immunosuppression.

IT 19301-11-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and disclosure of indoleamine 2,3-dioxygenase (IDO) inhibitors)

RN 19301-11-2 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L20 ANSWER 7 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:619315 CAPLUS

DOCUMENT NUMBER: 150:563814

TITLE: Preparation of substituted amides as factor Xa inhibitor and/or related serine proteases inhibitors

INVENTOR(S): Gerlach, Kai; Nar, Herbert; Priepe, Henning;

Schuler-Metz, Annette; Wienen, Wolfgang

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany

SOURCE: PCT Int. Appl., 57pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009063028	A2	20090522	WO 2008-EP65510	20081114
WO 2009063028	A3	20090924		
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO.: EP 2007-120757 A 20071115

OTHER SOURCE(S): MARPAT 150:563814

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [D = II (wherein K1, K4 = a bond, (un)substituted CH2, CO; K2, K3 = (un)substituted CH2 or CO; R1 = H, OH, alkoxy, etc.; A1 = N or CR9; A2 = N or CR10; A3 = N or CR11; R9-R11 = H, F, Cl, Ph, etc.); R3 = H or alkyl; R4, R5 = H, (un)substituted alkyl; Y = CO, CS, S(O), SO2, etc.; A = (un)substituted NH; B = III (R7 = F, Cl, Br, etc.; R8 = H, F, Cl, etc.); and the diastereomers, the mixts. thereof and the salts thereof, particularly the physiol. acceptable salts thereof with inorg. or organic acids or bases] with an inhibitory effect on factor Xa and/or an inhibitory effect on related serine proteases, were prepared and formulated. E.g., a multi-step synthesis of the title compound (S)-IV.TFA, starting from tert-Bu 6-amino-3,4-dihydro-1H-isoquinoline-2-carboxylate, was given. All the compds. I tested have an IC50 value of less than 100 µM/L.

IT 1154424-48-2P 1154424-49-3P 1154424-55-1P
1154424-56-2P

RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

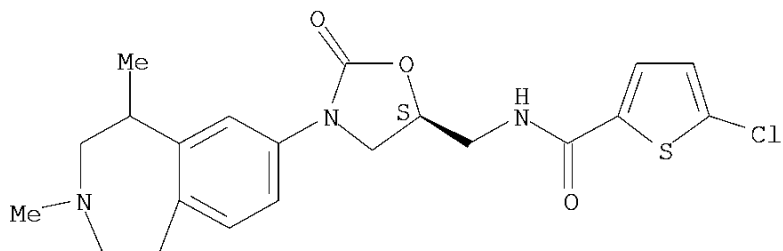
10/560,953

(preparation of substituted amides as factor Xa inhibitor and/or related serine proteases inhibitors)

RN 1154424-48-2 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[[(5S)-2-oxo-3-(2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl)-5-oxazolidinyl]methyl]- (CA INDEX NAME)

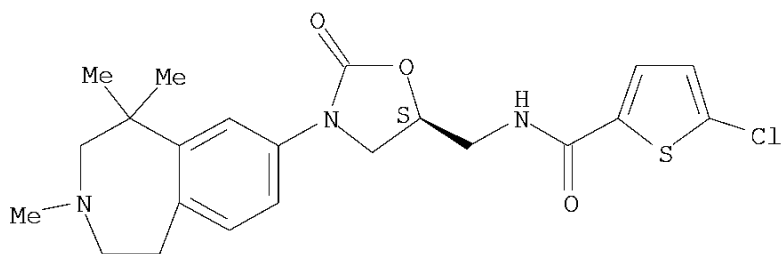
Absolute stereochemistry.



RN 1154424-49-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

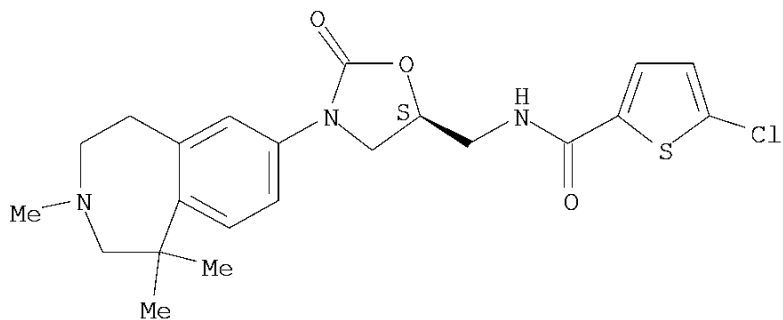
Absolute stereochemistry.



RN 1154424-55-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

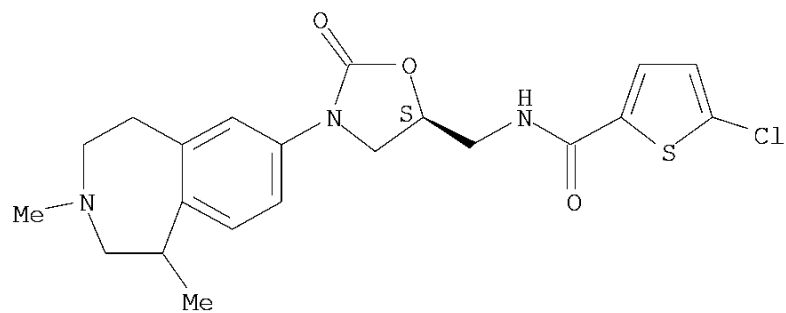


RN 1154424-56-2 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[[(5S)-2-oxo-3-(2,3,4,5-tetrahydro-1,3-dimethyl-1H-3-benzazepin-7-yl)-5-oxazolidinyl]methyl]- (CA INDEX NAME)

10/560,953

Absolute stereochemistry.



L20 ANSWER 8 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:617351 CAPLUS

DOCUMENT NUMBER: 150:563837

TITLE: Preparation of substituted amides as factor Xa inhibitor and/or related serine proteases inhibitors

INVENTOR(S): Pfau, Roland; Dahmann, Georg; Gerlach, Kai; Nar, Herbert; Priepke, Henning; Schuler-Metz, Annette; Wienen, Wolfgang

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany

SOURCE: PCT Int. Appl., 61pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

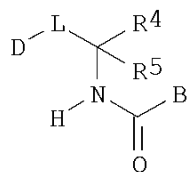
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009063029	A2	20090522	WO 2008-EP65511	20081114
WO 2009063029	A3	20091223		
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

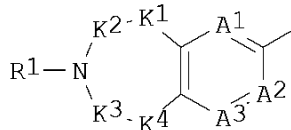
PRIORITY APPLN. INFO.: EP 2007-120841 A 20071116

OTHER SOURCE(S): CASREACT 150:563837; MARPAT 150:563837

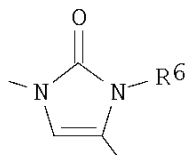
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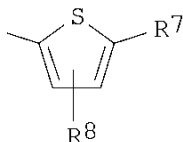
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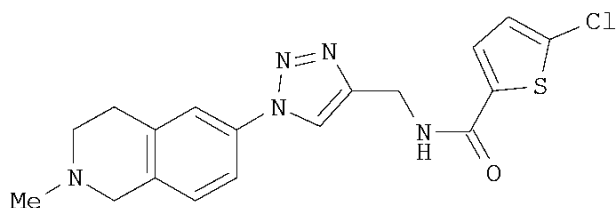
II



III



IV



V

AB The title compds. I [D = II (wherein K1, K4 = a bond, (un)substituted CH2, CO; K2, K3 = (un)substituted CH2 or CO; R1 = H, OH, alkoxy, etc.; A1 = N or CR9; A2 = N or CR10; A3 = N or CR11; R9-R11 = H, F, Cl, Ph, etc.); R4, R5 = H, (un)substituted alkyl; L = (un)substituted 5-membered monocyclic heteroarylene or III (R6 = H or (un)substituted alkyl); B = IV (R7 = F, Cl, Br, etc.; R8 = H, F, Cl, etc.); and the diastereomers, the mixts. thereof and the salts thereof, particularly the physiol. acceptable salts thereof with inorg. or organic acids or bases] with an inhibitory effect on factor Xa and/or an inhibitory effect on related serine proteases, were prepared and formulated. E.g., a multi-step synthesis of the title compound V, starting from 6-amino-2-methyl-1,2,3,4-tetrahydro-isoquinoline, was given. All the compds. I tested have an IC50 value of less than 100 μ M/L against factor Xa.

IT 1154422-12-4P 1154422-15-7P 1154422-16-8P
1154422-17-9P 1154422-19-1P

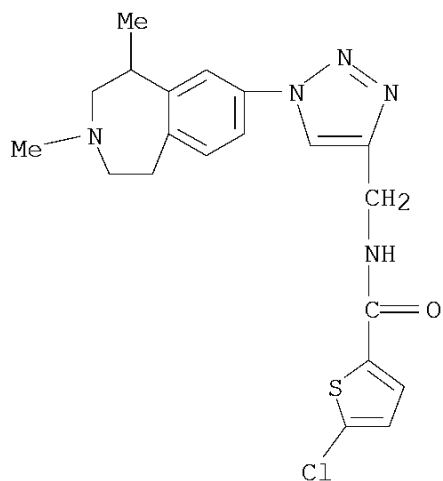
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted amides as factor Xa inhibitor and/or related serine proteases inhibitors)

RN 1154422-12-4 CAPLUS

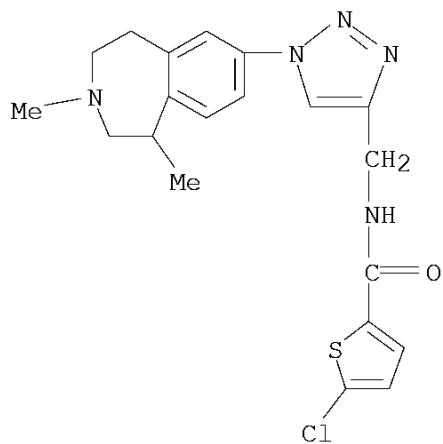
CN 2-Thiophenecarboxamide, 5-chloro-N-[[1-(2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl)-1H-1,2,3-triazol-4-yl]methyl]- (CA INDEX NAME)

10/560,953



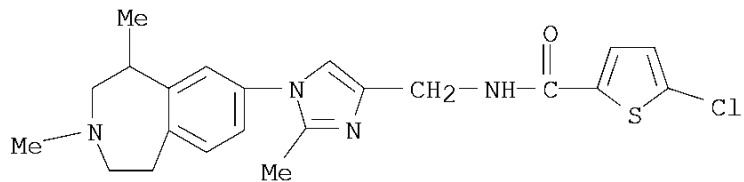
RN 1154422-15-7 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[[1-(2,3,4,5-tetrahydro-1,3-dimethyl-1H-3-benzazepin-7-yl)-1H-1,2,3-triazol-4-yl]methyl]- (CA INDEX NAME)



RN 1154422-16-8 CAPLUS

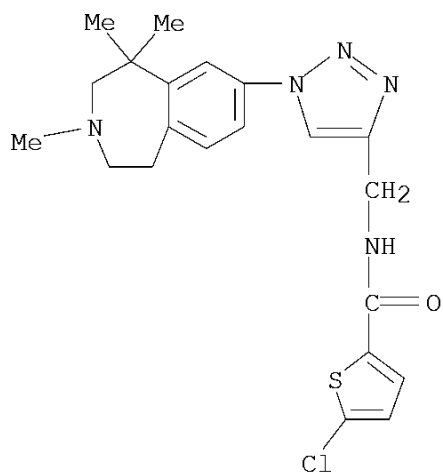
CN 2-Thiophenecarboxamide, 5-chloro-N-[[2-methyl-1-(2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl)-1H-imidazol-4-yl]methyl]- (CA INDEX NAME)



RN 1154422-17-9 CAPLUS

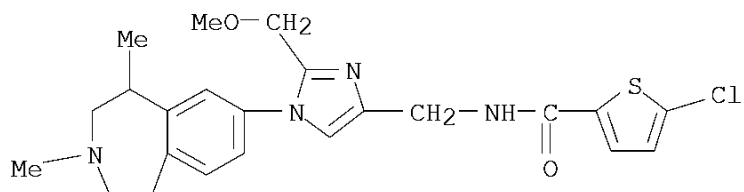
10/560,953

CN INDEX NAME NOT YET ASSIGNED



RN 1154422-19-1 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[[2-(methoxymethyl)-1-(2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl)-1H-imidazol-4-yl]methyl]- (CA INDEX NAME)



IT 1154422-09-9P

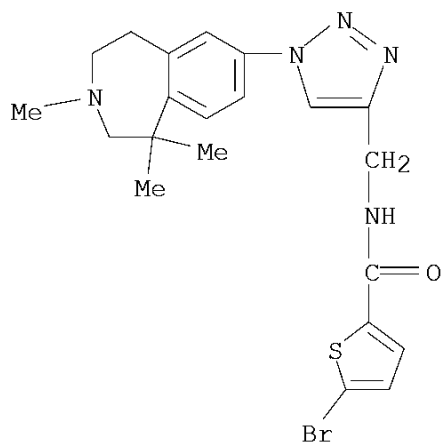
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted amides as factor Xa inhibitor and/or related serine proteases inhibitors)

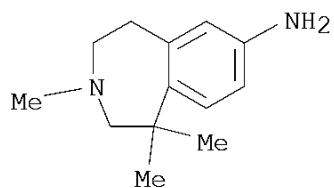
RN 1154422-09-9 CAPLUS

CN 2-Thiophenecarboxamide, 5-bromo-N-[[1-(2,3,4,5-tetrahydro-1,1,3-trimethyl-1H-3-benzazepin-7-yl)-1H-1,2,3-triazol-4-yl]methyl]- (CA INDEX NAME)

10/560,953

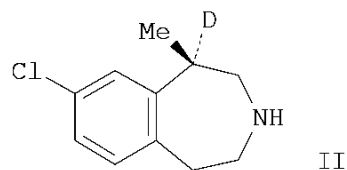
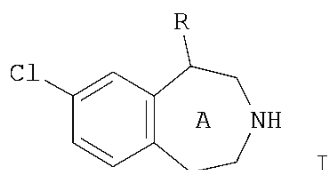


IT 1225023-04-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted amides as factor Xa inhibitor and/or related
serine proteases inhibitors)
RN 1225023-04-0 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-1,1,3-trimethyl- (CA INDEX
NAME)



L20 ANSWER 9 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2009:490777 CAPLUS
 DOCUMENT NUMBER: 150:472578
 TITLE: Preparation of deuterated 3-benzazepine (lorcaserin) derivatives as 5HT2C modulators
 INVENTOR(S): Liu, Julie F.
 PATENT ASSIGNEE(S): Concert Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 43pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009051747	A1	20090423	WO 2008-US11804	20081015
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, BG, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20090143363	A1	20090604	US 2008-288013	20081015
PRIORITY APPLN. INFO.:			US 2007-998960P	P 20071015
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S):			MARPAT 150:472578	
GI				



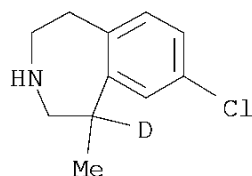
AB Title compds. I [A = ring containing 0-7 D atoms and R = Me, CH₂D, CD₂H, or CD₃, with the provision that when R = Me, ring A contains 1-7 D atoms], and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of 5HT_{2C} receptors. For example, compound II was prepared via Friedel-Crafts alkylation of 2-chloro-2-d₁-N-(2-(4-chlorophenyl)ethyl)propanamide (preparation given) to yield racemic II which was resolved into its (R) enantiomer by reaction with L-(+)-tartaric acid. Bioassays for evaluation of metabolic stability were performed (no data given). The invention is also directed to using I to treat an array of diseases including obesity.

IT 1146440-05-2P 1146440-08-5P 1146440-12-1P
 1146440-13-2P 1146440-18-7P 1146440-21-2P
 1146440-23-4P 1146440-24-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of deuterated benzazepine derivs. as 5HT_{2C} modulators)

RN 1146440-05-2 CAPLUS

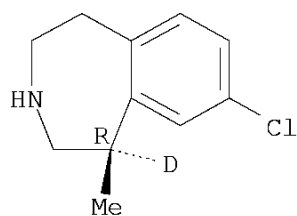
CN 1H-3-Benzazepine-1-d, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 1146440-08-5 CAPLUS

CN 1H-3-Benzazepine-1-d, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

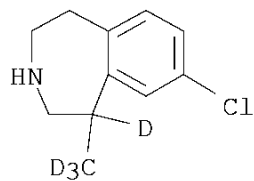
Absolute stereochemistry.



RN 1146440-12-1 CAPLUS

CN 1H-3-Benzazepine-1-d, 8-chloro-2,3,4,5-tetrahydro-1-(methyl-d₃)- (CA INDEX NAME)

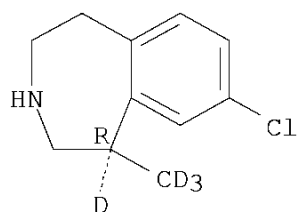
10/560,953



RN 1146440-13-2 CAPLUS

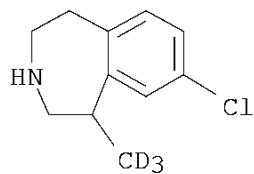
CN 1H-3-Benzazepine-1-d, 8-chloro-2,3,4,5-tetrahydro-1-(methyl-d3)-, (1R)-
(CA INDEX NAME)

Absolute stereochemistry.



RN 1146440-18-7 CAPLUS

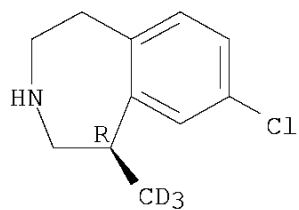
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-(methyl-d3)- (CA INDEX
NAME)



RN 1146440-21-2 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-(methyl-d3)-, (1R)- (CA
INDEX NAME)

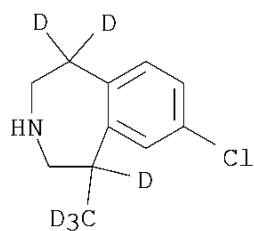
Absolute stereochemistry.



RN 1146440-23-4 CAPLUS

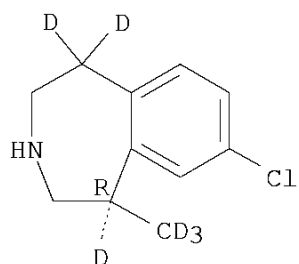
CN 1H-3-Benzazepine-1,1,5-d3, 7-chloro-2,3,4,5-tetrahydro-5-(methyl-d3)- (CA
INDEX NAME)

10/560,953



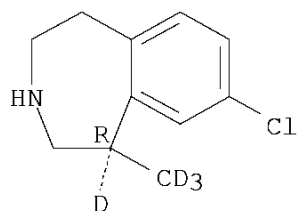
RN 1146440-24-5 CAPLUS
CN 1H-3-Benzazepine-1,1,5-d3, 7-chloro-2,3,4,5-tetrahydro-5-(methyl-d3)-,
(5R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 1146440-16-5P 1146440-22-3P 1146440-26-7P
1146440-27-8P 1146440-28-9P 1146440-31-4P
1146440-33-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of deuterated benzazepine derivs. as 5HT2C modulators)
RN 1146440-16-5 CAPLUS
CN 1H-3-Benzazepine-1-d, 8-chloro-2,3,4,5-tetrahydro-1-(methyl-d3)-,
hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

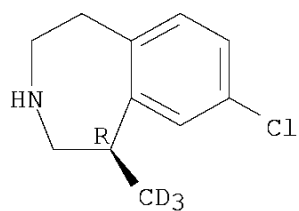


● HCl

RN 1146440-22-3 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-(methyl-d3)-,
hydrochloride (1:1), (1R)- (CA INDEX NAME)

10/560,953

Absolute stereochemistry.

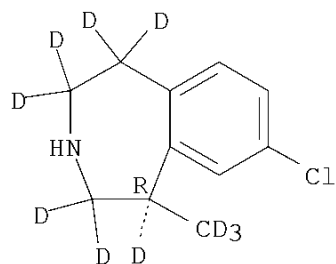


● HCl

RN 1146440-26-7 CAPLUS

CN 1H-3-Benzazepine-1,1,2,4,5-d5, 7-chloro-2,3,4,5-tetrahydro-2,4-d2-5-(methyl-d3)-, (5R)- (CA INDEX NAME)

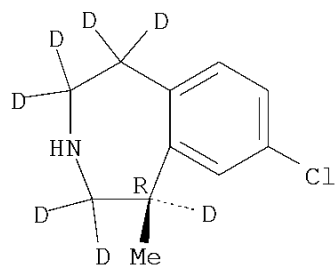
Absolute stereochemistry.



RN 1146440-27-8 CAPLUS

CN 1H-3-Benzazepine-1,1,2,4,5-d5, 7-chloro-2,3,4,5-tetrahydro-2,4-d2-5-methyl-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

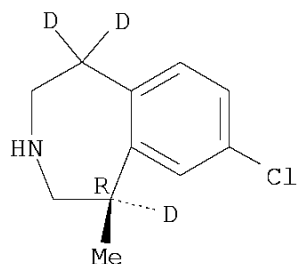


RN 1146440-28-9 CAPLUS

CN 1H-3-Benzazepine-1,1,5-d3, 7-chloro-2,3,4,5-tetrahydro-5-methyl-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

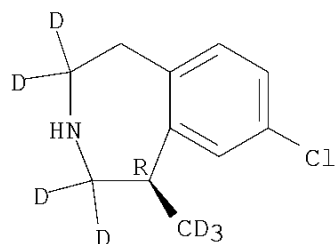
10/560,953



RN 1146440-31-4 CAPLUS

CN 1H-3-Benzazepine-2,4-d2, 8-chloro-2,3,4,5-tetrahydro-2,4-d2-1-(methyl-d3)-, (1R)- (CA INDEX NAME)

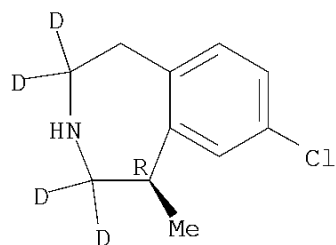
Absolute stereochemistry.



RN 1146440-33-6 CAPLUS

CN 1H-3-Benzazepine-2,4-d2, 8-chloro-2,3,4,5-tetrahydro-2,4-d2-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 1146440-49-4P 1146440-57-4P 1146440-65-4P
1146440-68-7P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of deuterated benzazepine derivs. as 5HT2C modulators)

RN 1146440-49-4 CAPLUS

CN 1H-3-Benzazepine-1-d, 8-chloro-2,3,4,5-tetrahydro-1-(methyl-d3)-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (CA INDEX NAME)

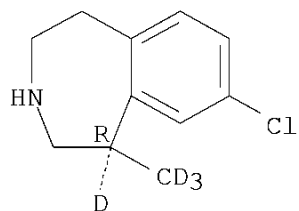
CM 1

CRN 1146440-13-2

10/560,953

CMF C11 H10 Cl D4 N

Absolute stereochemistry.

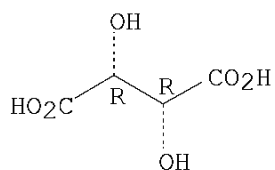


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



RN 1146440-57-4 CAPLUS

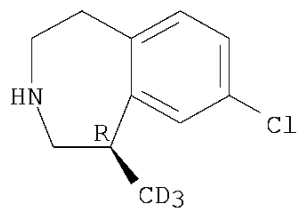
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-(methyl-d3)-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (CA INDEX NAME)

CM 1

CRN 1146440-21-2

CMF C11 H11 Cl D3 N

Absolute stereochemistry.



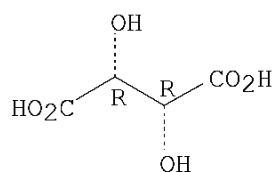
CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.

10/560,953



RN 1146440-65-4 CAPLUS

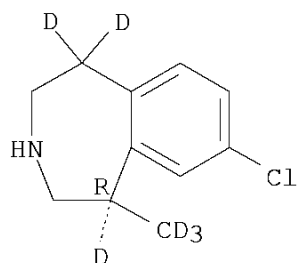
CN 1H-3-Benzazepine-1,1,5-d3, 7-chloro-2,3,4,5-tetrahydro-5-(methyl-d3)-, (5R)-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (CA INDEX NAME)

CM 1

CRN 1146440-24-5

CMF C11 H8 Cl D6 N

Absolute stereochemistry.

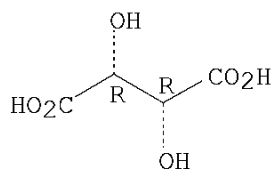


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



RN 1146440-68-7 CAPLUS

CN 1H-3-Benzazepine-1-d, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (CA INDEX NAME)

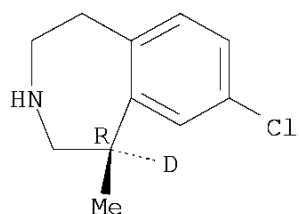
CM 1

CRN 1146440-08-5

CMF C11 H13 Cl D N

Absolute stereochemistry.

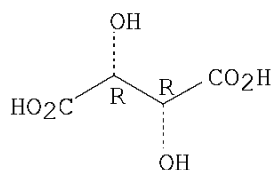
10/560,953



CM 2

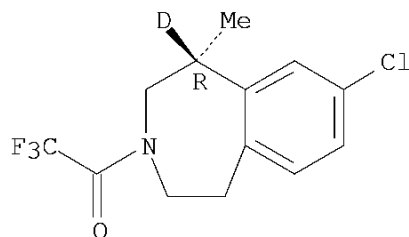
CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



IT 1146440-10-9P 1146440-20-1P 1146440-25-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of deuterated benzazepine derivs. as 5HT2C modulators)
RN 1146440-10-9 CAPLUS
CN Ethanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl-1-d]-2,2,2-trifluoro- (CA INDEX NAME)

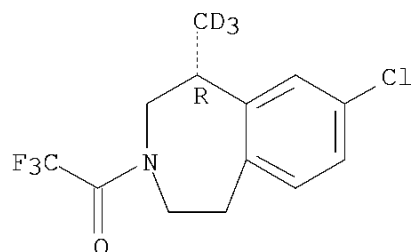
Absolute stereochemistry.



RN 1146440-20-1 CAPLUS
CN Ethanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-1-(methyl-d3)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

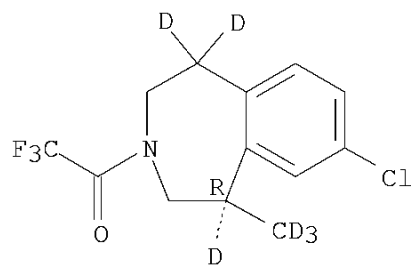
10/560,953



RN 1146440-25-6 CAPLUS

CN Ethanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-5-d-1-(methyl-d3)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 10 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2009:335388 CAPLUS
 DOCUMENT NUMBER: 150:322735
 TITLE: Method of treating binge eating disorder, obesity
 resulting from binge eating behavior and depressive
 disorders
 INVENTOR(S): Sanfilippo, Louis C.
 PATENT ASSIGNEE(S): Lcs Group, LLC, USA; Sanfilippo, Louis, C.
 SOURCE: PCT Int. Appl., 45 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009035473	A2	20090319	WO 2008-US1002	20080124
WO 2009035473	A3	20091203		
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20100166889	A1	20100701	US 2009-646441	20091223
PRIORITY APPLN. INFO.:			US 2007-972046P	P 20070913
			WO 2008-US1002	W 20080124
			US 2009-666460	A1 20091015

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention provides methods of treating binge eating disorders, obesity resulting from binge eating behavior, and depression. The invention includes methods of treating certain co-morbidities in ADHD and ADD patients; for example the invention includes methods of treating generalized anxiety disorder, obsessional and ruminative thought disorders, and obsessive/ compulsive behavior in ADHD and ADD patients. The invention also includes combination methods of treatment in which an amphetamine prodrug, methylphenidate prodrug, or methylphenidate analog is administered with one or more other active agents. Packaged pharmaceutical compns. containing an amphetamine or methylphenidate prodrug, instructions for using the prodrug to treat certain disorders, and optionally one or more other active agents are provided by the invention.

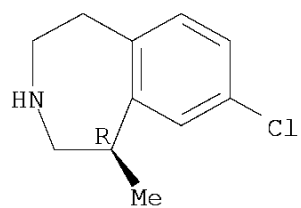
IT 616202-92-7, Lorcaserin
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (treating binge eating disorder, obesity resulting from binge eating behavior and depressive disorders with amphetamine and methylphenidate analogs and prodrugs in combination with other agents)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

10/560,953

Absolute stereochemistry.



L20 ANSWER 11 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1507963 CAPLUS

DOCUMENT NUMBER: 150:48109

TITLE: Compositions and methods for treating obesity and related disorders

INVENTOR(S): Najarian, Thomas; Tam, Peter Y.; Wilson, Leland F.

PATENT ASSIGNEE(S): Vivus, Inc., USA

SOURCE: PCT Int. Appl., 50pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008153632	A2	20081218	WO 2008-US5549	20080429
WO 2008153632	A3	20090702		
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20080103179	A1	20080501	US 2007-764116	20070615
AU 2008262566	A1	20081218	AU 2008-262566	20080429
CA 2692042	A1	20081218	CA 2008-2692042	20080429
EP 2167064	A2	20100331	EP 2008-767455	20080429
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, AL, BA, MK, RS			
PRIORITY APPLN. INFO.:			US 2007-764116	A 20070615
			US 2006-854756P	P 20061027
			WO 2008-US5549	W 20080429

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention is drawn to combinations of pharmaceutical agents having similar chemical and pharmacol. properties, wherein the combinations maximize the therapeutic effect of the drug while minimizing their adverse effects. The methods and compns. of the invention are particularly useful in the treatment of obesity and related conditions which involves treating a subject with a sympathomimetic agent (e.g., phentermine or a phentermine-like drug) or bupropion in combination with an anti-epileptic agent (e.g., topiramate, zonisamide), Cbl antagonists (e.g., rimonabant), or a 5HT2C-selective serotonin receptor agonist, (e.g., lorcaserin) for the treatment of obesity and related conditions. The invention also features kits for use in the practice of these novel therapies.

IT 616202-92-7, Lorcaserin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

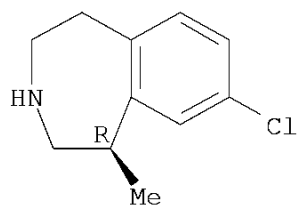
(combination therapy of obesity and related disorders)

10/560,953

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L20 ANSWER 12 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1430266 CAPLUS

DOCUMENT NUMBER: 149:570759

TITLE: Use of 2-phenyl-1,2-benzisoselenazol-3(2H)-one or other selenium-containing compounds for weight loss treatment

INVENTOR(S): Erlanson, Daniel A.; Hansen, Stig

PATENT ASSIGNEE(S): Sunesis Pharmaceuticals, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080293777	A1	20081127	US 2008-126834	20080523
WO 2008148064	A1	20081204	WO 2008-US64797	20080523

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-939778P P 20070523

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 149:570759

AB The invention discloses the use of 2-phenyl-1,2-benzisoselenazol-3(2H)-one and other selenium-containing compds. for weight loss.

IT 616202-92-7, Lorcaserin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

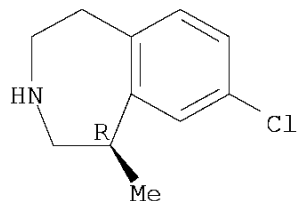
(phenylbenzisoselenazolone or other selenium-containing compound for weight loss

treatment, and use with other agents)

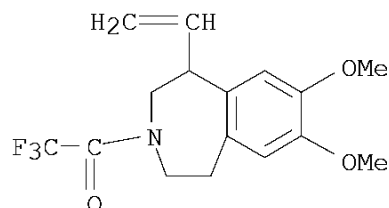
RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

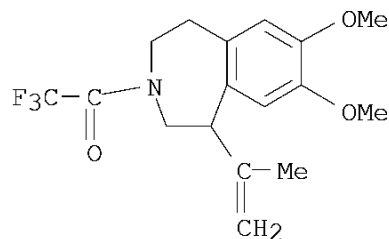
Absolute stereochemistry.



L20 ANSWER 13 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2008:1383566 CAPLUS
 DOCUMENT NUMBER: 149:555080
 TITLE: The intramolecular Heck reaction
 AUTHOR(S): Link, J. T.
 CORPORATE SOURCE: Abbott Laboratories, Abbott Park, IL, USA
 SOURCE: Organic Reactions (Hoboken, NJ, United States) (2002),
 60, No pp. given
 CODEN: ORHNBA
 URL: <http://www3.interscience.wiley.com/cgi-bin/mrwhome/107610747/HOME>
 PUBLISHER: John Wiley & Sons, Inc.
 DOCUMENT TYPE: Journal; General Review; (online computer file)
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 149:555080
 AB A review of the article The intramol. Heck reaction.
 IT 154138-48-4P 154138-51-9P 157105-52-7P
 157183-88-5P 278171-54-3P 278171-55-4P
 278171-56-5P 278171-57-6P 278171-58-7P
 278171-59-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (The Intramol. Heck Reaction)
 RN 154138-48-4 CAPLUS
 CN Ethanone, 1-(1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 154138-51-9 CAPLUS
 CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7,8-dimethoxy-1-(1-methylethenyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

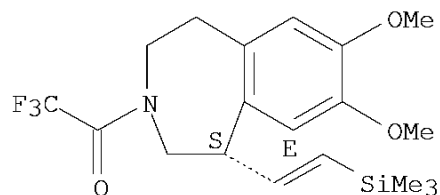


RN 157105-52-7 CAPLUS
 CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7,8-dimethoxy-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

10/560,953

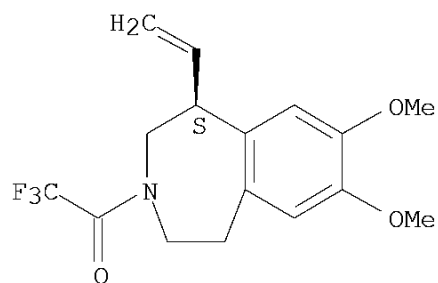
Double bond geometry as shown.



RN 157183-88-5 CAPLUS

CN Ethanone, 1-[(1S)-1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

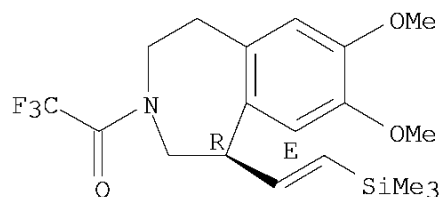


RN 278171-54-3 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1R)-1,2,4,5-tetrahydro-7,8-dimethoxy-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

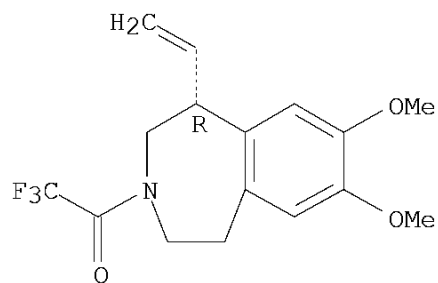


RN 278171-55-4 CAPLUS

CN Ethanone, 1-[(1R)-1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

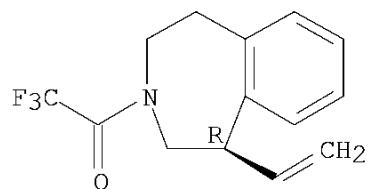
10/560,953



RN 278171-56-5 CAPLUS

CN Ethanone, 1-[(1R)-1-ethenyl]-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

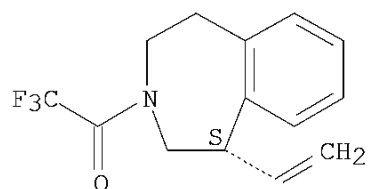
Absolute stereochemistry.



RN 278171-57-6 CAPLUS

CN Ethanone, 1-[(1S)-1-ethenyl]-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



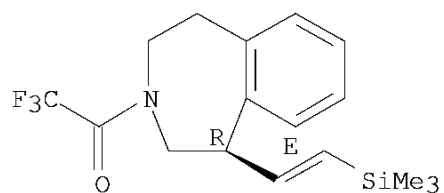
RN 278171-58-7 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1R)-1,2,4,5-tetrahydro-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

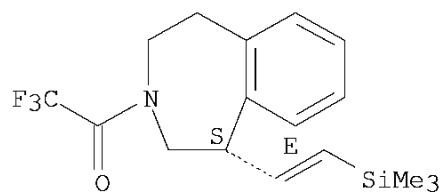
10/560,953



RN 278171-59-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 1

THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L20 ANSWER 14 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1251516 CAPLUS

DOCUMENT NUMBER: 149:463091

TITLE: Combinations of sympathomimetics and antiepileptics for treating obesity and related disorders

INVENTOR(S): Tam, Peter Y.; Wilson, Leland F.

PATENT ASSIGNEE(S): Vivus, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 764,116.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080255093	A1	20081016	US 2008-111793	20080429
CA 2686633	A1	20001221	CA 2000-2686633	20000614
EP 1825851	A2	20070829	EP 2007-11472	20000614
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, AL, LT, LV, MK, RO, SI				
US 20040002462	A1	20040101	US 2003-454368	20030603
US 7056890	B2	20060606		
US 20060234952	A1	20061019	US 2006-385233	20060320
US 7674776	B2	20100309		
US 20080103179	A1	20080501	US 2007-764116	20070615
PRIORITY APPLN. INFO.:				
			US 1999-139022P	P 19990614
			US 2000-178563P	P 20000126
			US 2000-181265P	P 20000209
			US 2000-593555	B2 20000614
			US 2003-454368	A2 20030603
			US 2006-385233	A2 20060320
			US 2006-854756P	P 20061027
			US 2007-764116	A2 20070615
			CA 2000-2377330	A3 20000614
			EP 2000-939884	A3 20000614

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The present invention is drawn to combinations of pharmaceutical agents having similar chemical and/or pharmacol. properties, wherein the combinations maximize the therapeutic effect of the drug while minimizing their adverse effects. The methods and compns. of the invention are particularly useful in the treatment of obesity and related conditions which involves treating a subject with a sympathomimetic agent (e.g., phentermine or a phentermine-like drug) or bupropion in combination with an anti-epileptic agent (e.g., topiramate, zonisamide), CB1 antagonists (e.g., rimonabant), or a 5HT2C-selective serotonin receptor agonist, (e.g., lorcaserin) for the treatment of obesity and related conditions. The invention also features kits for use in the practice of these novel therapies.

IT 616202-92-7, Lorcaserin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

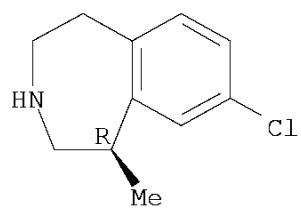
(5HT2c agonist; combinations of sympathomimetics and antiepileptics for treating obesity and related disorders)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

10/560,953

Absolute stereochemistry.



L20 ANSWER 15 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1123539 CAPLUS

DOCUMENT NUMBER: 149:370627

TITLE: Mitochondrial aldehyde dehydrogenase 2 modulators for treatment of ischemic stress, angina, and cancer and for xenobiotic detoxification

INVENTOR(S): Mochly-Rosen, Daria; Chen, Che-Hong

PATENT ASSIGNEE(S): The Board of Trustees of the Leland Stanford Junior University, USA

SOURCE: PCT Int. Appl., 93pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008112164	A2	20080918	WO 2008-US3092	20080307
WO 2008112164	A3	20081113		
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2008226947	A1	20080918	AU 2008-226947	20080307
CA 2679882	A1	20080918	CA 2008-2679882	20080307
US 20090082431	A1	20090326	US 2008-44870	20080307
KR 2009117950	A	20091116	KR 2009-720216	20080307
EP 2126574	A2	20091202	EP 2008-726601	20080307
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR			
JP 2010523476	T	20100715	JP 2009-552756	20080307
CN 101669030	A	20100310	CN 2008-80013697	20091026
PRIORITY APPLN. INFO.:			US 2007-905963P	P 20070308
			WO 2008-US3092	W 20080307

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 149:370627

AB The present invention provides compds. that function as modulators of mitochondrial aldehyde dehydrogenase-2 (ALDH2) activity. Such compds. include N-benzylbenzamide agonists as well as antagonists. The agonists may be used to treat ischemic stress conditions, such as cardiac ischemia and stroke, free radical-associated diseases, and angina. Addnl., ALDH2 agonists may enhance detoxification of such xenobiotics as ethanol, methanol, vinyl chloride, ethylene glycol monomethyl ether, etc. ALDH2 antagonists may be useful in treatment of solid tumors, either alone or in combination with radiation or chemotherapy. The E487K mutant of human ALDH2 may be used to screen for ALDH2 agonists.

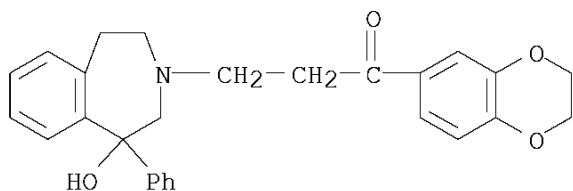
IT 425653-34-5

10/560,953

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(mitochondrial aldehyde dehydrogenase 2 modulators for treatment of
ischemic stress, angina, and cancer and for xenobiotic detoxification)

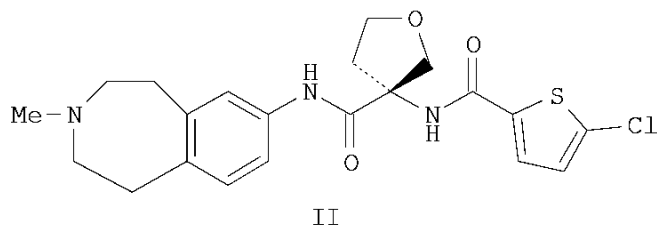
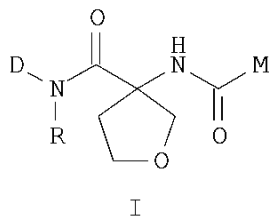
RN 425653-34-5 CAPLUS

CN 1-Propanone, 1-(2,3-dihydro-1,4-benzodioxin-6-yl)-3-(1,2,4,5-tetrahydro-1-
hydroxy-1-phenyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



L20 ANSWER 16 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2008:831458 CAPLUS
 DOCUMENT NUMBER: 149:153369
 TITLE: Synthesis of 3-aminotetrahydrofuran-3-carboxylic acid derivatives for use as medicaments
 INVENTOR(S): Han, Zhengxu; Gerlach, Kai; Krishnamurthy, Dhileepkumar; Matthes, Burkhard; Nar, Herbert; Priepe, Henning; Schuler-Metz, Annette; Senanayake, Chris H.; Sieger, Peter; Tang, Wenjun; Wienen, Wolfgang; Xu, Yibo; Yee, Nathan K.
 PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma GmbH & Co. K.-G.; Pfau, Roland
 SOURCE: PCT Int. Appl., 178 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008080891	A2	20080710	WO 2007-EP64406	20071221
WO 2008080891	A3	20081002		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2007341335	A1	20080710	AU 2007-341335	20071221
CA 2674168	A1	20080710	CA 2007-2674168	20071221
KR 2009097208	A	20090915	KR 2009-716217	20071221
EP 2114909	A2	20091111	EP 2007-866298	20071221
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, RS			
JP 2010514729	T	20100506	JP 2009-543454	20071221
AR 64708	A1	20090422	AR 2007-105983	20071228
NO 2009001782	A	20090610	NO 2009-1782	20090506
MX 2009005324	A	20090608	MX 2009-5324	20090520
CN 101573346	A	20091104	CN 2007-80048978	20090630
IN 2009DN04314	A	20100101	IN 2009-DN4314	20090630
PRIORITY APPLN. INFO.:			US 2006-882937P	P 20061231
			US 2006-892937P	P 20061231
			WO 2007-EP64406	W 20071221
OTHER SOURCE(S):	MARPAT 149:153369			
GI				



AB The invention relates to the manufacture of 3-aminotetrahydrofuran-3-carboxylic acid amides I [D is substituted benzo[d]azepin-7-yl, 6/8/9-aza analogs, or 4-(pyrrolidinocarbonyl)phenyl residues; M is (un)substituted 2-thienyl; R is H or alkyl], including enantiomers, diastereomers, and physiol.-acceptable salts. Thus, aminotetrahydrofurancarboxylic acid benzo[d]azepin-7-ylamide II was prepared via sequential amidation reactions.

IT 1037302-00-3P

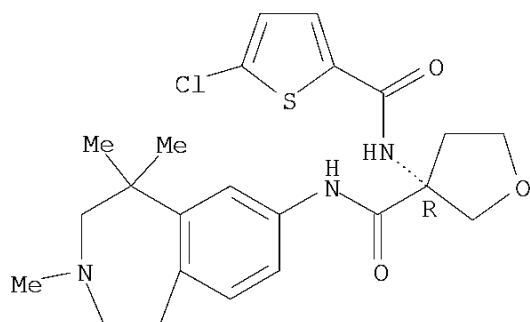
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as medicaments)

RN 1037302-00-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



IT 1037301-25-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

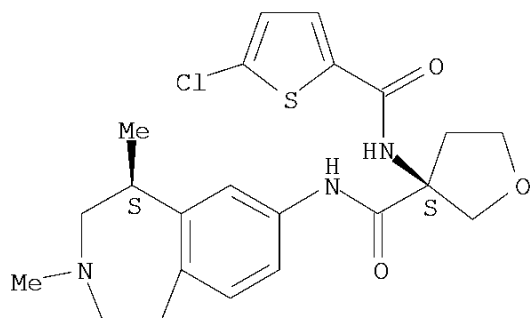
(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as medicaments)

10/560,953

RN 1037301-25-9 CAPLUS

CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-
[(5S)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl]-, (3S)- (CA
INDEX NAME)

Absolute stereochemistry.



IT 1037301-39-5P 1037301-40-8P 1037301-41-9P

1037301-45-3P 1037301-46-4P 1037301-99-7P

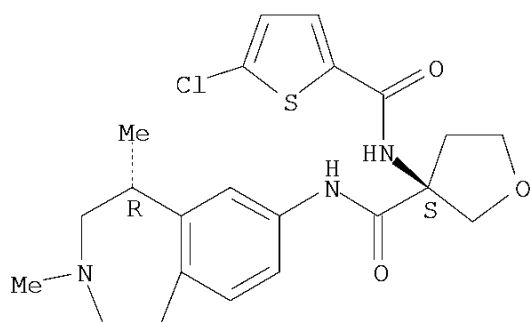
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as
medicaments)

RN 1037301-39-5 CAPLUS

CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-
[(5R)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl]-, (3S)- (CA
INDEX NAME)

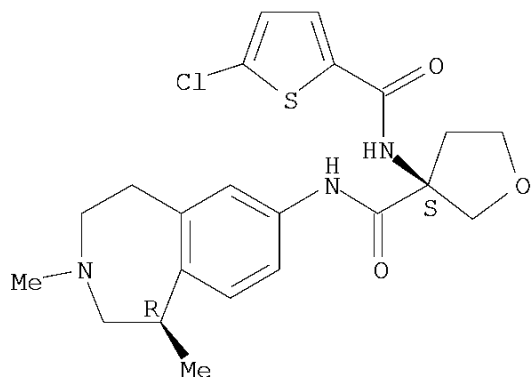
Absolute stereochemistry.



RN 1037301-40-8 CAPLUS

CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-
[(1R)-2,3,4,5-tetrahydro-1,3-dimethyl-1H-3-benzazepin-7-yl]-, (3S)- (CA
INDEX NAME)

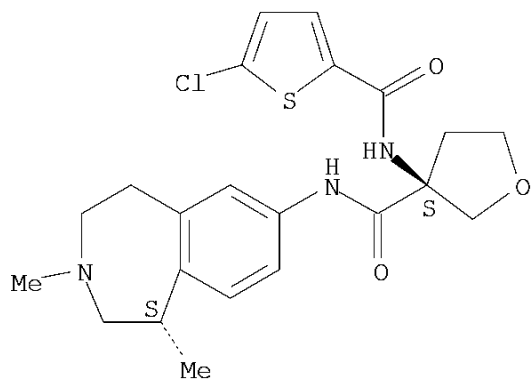
Absolute stereochemistry.



RN 1037301-41-9 CAPLUS

CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-
[(1S)-2,3,4,5-tetrahydro-1,3-dimethyl-1H-3-benzazepin-7-yl]-, (3S)- (CA
INDEX NAME)

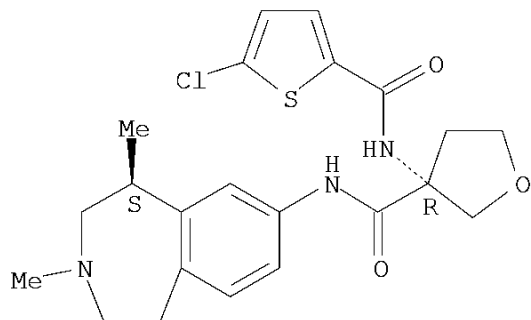
Absolute stereochemistry.



RN 1037301-45-3 CAPLUS

CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-
[(5S)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl]-, (3R)- (CA
INDEX NAME)

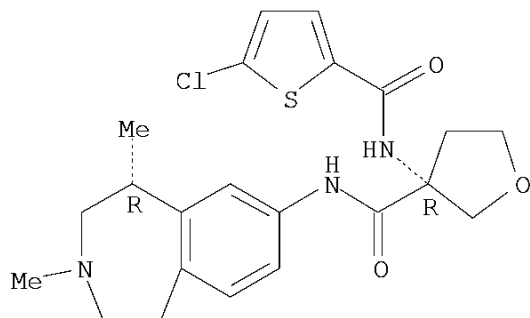
Absolute stereochemistry.



10/560,953

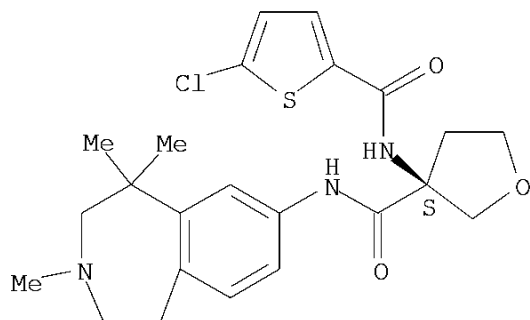
RN 1037301-46-4 CAPLUS
CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-
[(5R)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl]-, (3R)- (CA
INDEX NAME)

Absolute stereochemistry.



RN 1037301-99-7 CAPLUS
CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-
(2,3,4,5-tetrahydro-3,5,5-trimethyl-1H-3-benzazepin-7-yl)-, (3S)- (CA
INDEX NAME)

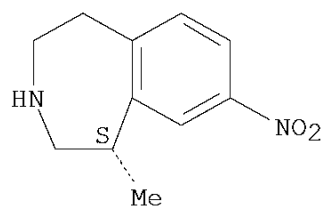
Absolute stereochemistry.



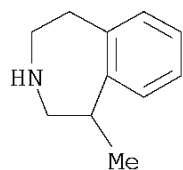
IT 1037301-31-7P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic
preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as
medicaments)
RN 1037301-31-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-nitro-, (1S)- (CA INDEX
NAME)

Absolute stereochemistry.

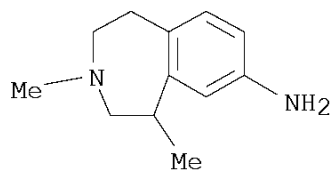
10/560,953



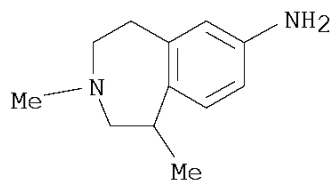
IT 23266-24-2P 919099-24-4P 919099-25-5P
1037301-18-0P 1037301-19-1P 1037301-29-3P
1037301-32-8P 1037301-33-9P 1037301-43-1P
1037301-44-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as
medicaments)
RN 23266-24-2 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 919099-24-4 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-3,5-dimethyl- (CA INDEX NAME)



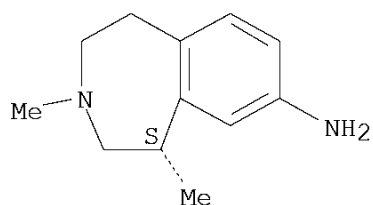
RN 919099-25-5 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-1,3-dimethyl- (CA INDEX NAME)



RN 1037301-18-0 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-3,5-dimethyl-, (5S)- (CA
INDEX NAME)

10/560,953

Absolute stereochemistry.



RN 1037301-19-1 CAPLUS

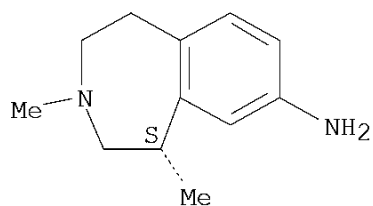
CN Butanedioic acid, 2,3-bis[(4-methylbenzoyl)oxy]-, (2R,3R)-, compd. with
(5S)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-amine (1:1) (CA
INDEX NAME)

CM 1

CRN 1037301-18-0

CMF C12 H18 N2

Absolute stereochemistry.

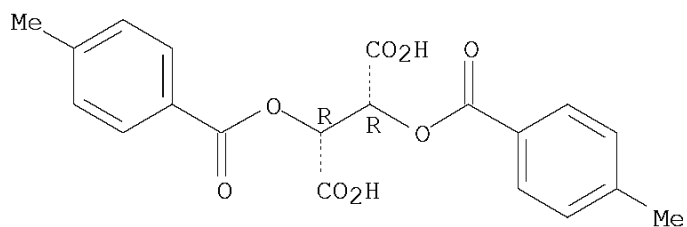


CM 2

CRN 32634-66-5

CMF C20 H18 O8

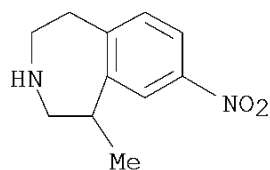
Absolute stereochemistry. Rotation (-).



RN 1037301-29-3 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-nitro- (CA INDEX NAME)

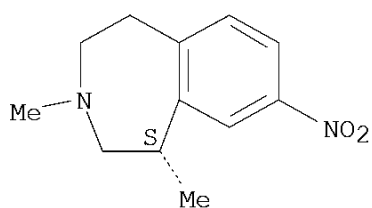
10/560,953



RN 1037301-32-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,3-dimethyl-8-nitro-, (1S)- (CA
INDEX NAME)

Absolute stereochemistry.



RN 1037301-33-9 CAPLUS

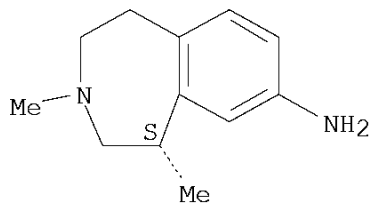
CN Benzeneacetic acid, α -hydroxy-, (α S)-, compd. with
(5S)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-amine (1:1) (CA
INDEX NAME)

CM 1

CRN 1037301-18-0

CMF C12 H18 N2

Absolute stereochemistry.



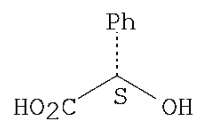
CM 2

CRN 17199-29-0

CMF C8 H8 O3

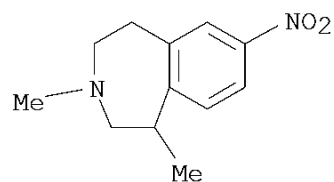
Absolute stereochemistry. Rotation (+).

10/560,953



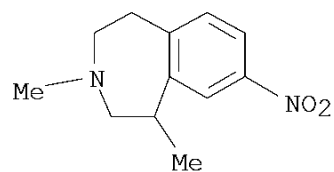
RN 1037301-43-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,3-dimethyl-7-nitro- (CA INDEX NAME)



RN 1037301-44-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,3-dimethyl-8-nitro- (CA INDEX NAME)



L20 ANSWER 17 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:703346 CAPLUS

DOCUMENT NUMBER: 149:32211

TITLE: Processes for preparing
(R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1h-3-benzazepine intermediates toward serotonin-2C (5-HT2C) receptor agonists

INVENTOR(S): Gharbaoui, Tawfik; Tandel, Sagun K.; Ma, You-An;
Carlos, Marlon; Fritch, John Robert

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008070111	A2	20080612	WO 2007-US24900	20071204
WO 2008070111	A3	20080807		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
CA 2670285	A1	20080612	CA 2007-2670285	20071204
EP 2099743	A2	20090916	EP 2007-867623	20071204
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
JP 2010511711	T	20100415	JP 2009-540272	20071204
IN 2009KN01898	A	20090612	IN 2009-KN1898	20090521
CN 101547892	A	20090930	CN 2007-80045133	20090605
PRIORITY APPLN. INFO.:			US 2006-873036P	P 20061205
			WO 2007-US24900	W 20071204

OTHER SOURCE(S): CASREACT 149:32211; MARPAT 149:32211

AB Processes for the preparation of (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1h-3-benzazepines and their intermediates is presented. Compds. of the present invention are useful as serotonin-2C (5-HT2C) receptor agonists for the treatment of obesity.

IT 616201-80-0P 1030624-49-7P

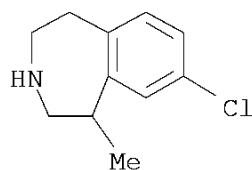
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(processes for preparing (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1h-3-benzazepine intermediates toward serotonin-2C (5-HT2C) receptor agonists)

RN 616201-80-0 CAPLUS

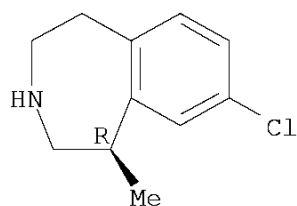
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

10/560,953



RN 1030624-49-7 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrate (2:1),
(1R)- (CA INDEX NAME)

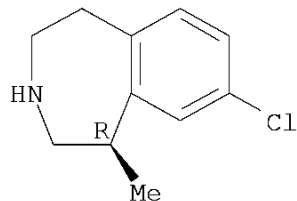
Absolute stereochemistry.



● 1/2 H₂O

IT 616202-92-7P 824430-78-6P 846589-98-8P,
(R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride
856681-05-5P 1030624-46-4P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
(Preparation)
(processes for preparing (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1h-3-
benzazepine intermediates toward serotonin-2C (5-HT₂C) receptor
agonists)
RN 616202-92-7 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX
NAME)

Absolute stereochemistry.

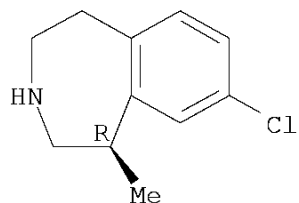


RN 824430-78-6 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-,
(2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)
CM 1

10/560,953

CRN 616202-92-7
CMF C11 H14 Cl N

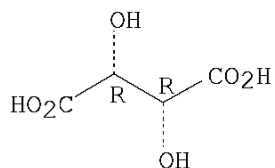
Absolute stereochemistry.



CM 2

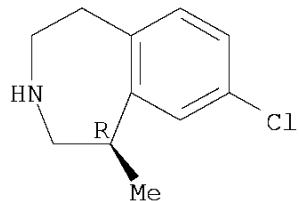
CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



RN 846589-98-8 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride
(1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

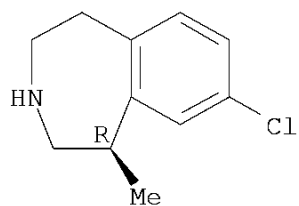


● HCl

RN 856681-05-5 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride,
hydrate (2:2:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

10/560,953



● HCl

● 1/2 H₂O

RN 1030624-46-4 CAPLUS

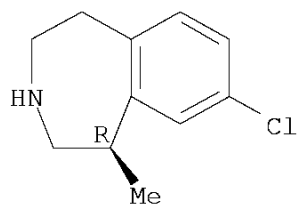
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-,
(2R,3R)-2,3-dihydroxybutanedioate (1:?) (CA INDEX NAME)

CM 1

CRN 616202-92-7

CMF C11 H14 Cl N

Absolute stereochemistry.

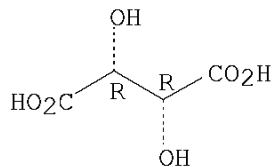


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



L20 ANSWER 18 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:529900 CAPLUS

DOCUMENT NUMBER: 148:538288

TITLE: Preparation of fused bicyclic derivatives of
2,4-diaminopyrimidine as ALK and c-Met kinase
inhibitorsINVENTOR(S): Ahmed, Gulzar; Bohnstedt, Adolph; Breslin, Henry
Joseph; Burke, Jason; Curry, Matthew A.; Diebold,
James L.; Dorsey, Bruce; Dugan, Benjamin J.; Feng,
Daming; Gingrich, Diane E.; Guo, Tao; Ho, Koc-Kan;
Learn, Keith S.; Lisko, Joseph G.; Liu, Rong-Qiang;
Mesaros, Eugen F.; Milkiewicz, Karen; Ott, Gregory R.;
Parrish, Jonathan; Theroff, Jay P.; Thieu, Tho V.;
Tripathy, Rabindranath; Underiner, Theodore L.;
Wagner, Jason C.; Weinberg, Linda; Wells, Gregory J.;
You, Ming; Zificsak, Craig A.PATENT ASSIGNEE(S): Cephalon, Inc., USA; Pharmacoepia Drug Discovery, Inc.
SOURCE: PCT Int. Appl., 1297 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008051547	A1	20080502	WO 2007-US22496	20071023
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007309427	A1	20080502	AU 2007-309427	20071023
CA 2669111	A1	20080502	CA 2007-2669111	20071023
AR 63527	A1	20090128	AR 2007-104687	20071023
JP 2010507665	T	20100311	JP 2009-534629	20071023
US 20090221555	A1	20090903	US 2009-162851	20090113
MX 2009004426	A	20090812	MX 2009-4426	20090423
CN 101535276	A	20090916	CN 2007-80039464	20090423
PRIORITY APPLN. INFO.:			US 2006-853562P	P 20061023
			WO 2007-US22496	W 20071023

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 148:538288

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I and II [R1 = H, halo, NO₂, OH and derivs., aryl, alkyl, etc.; R2 = (un)substituted alk(en/yn)yl, (hetero)aryl, R3-R5 = independently H, CO₂H and derivs., NH₂ and derivs., OCHF₂, etc.; A1-A5 = independently (CH₂)₁₋₂ and derivs., CO, NH and derivs., S, SO, SO₂, O, with provisos; with the exception of specified compds.; and their pharmaceutically acceptable salts] were prepared as ALK and c-Met kinase inhibitors for treating proliferative disorders. Thus, nitration of 1,3,4,5-tetrahydrobenzo[b]azepin-2-one with HNO₃/H₂SO₄, alkylation with Me iodide, reduction of the nitro intermediate and amination of 2-[(2,5-dichloropyrimidin-4-yl)amino]-N-methylbenzamide gave benzazepinylaminopyrimidine III. III inhibited ALK and C-Met kinases with IC₅₀ < 0.1 μM.

IT 1022970-66-6P

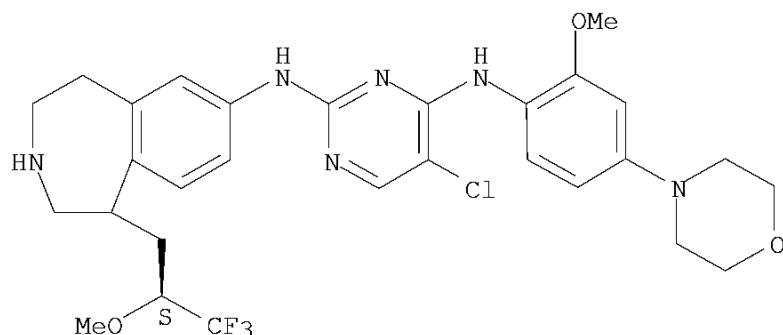
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of fused bicyclic derivs. of 2,4-diaminopyrimidine as ALK and c-Met kinase inhibitors)

RN 1022970-66-6 CAPLUS

CN 2,4-Pyrimidinediamine, 5-chloro-N4-[2-methoxy-4-(4-morpholinyl)phenyl]-N2-[2,3,4,5-tetrahydro-1-[(2S)-3,3,3-trifluoro-2-methoxypropyl]-1H-3-benzazepin-7-yl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 19 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:526837 CAPLUS

DOCUMENT NUMBER: 148:509943

TITLE: Combination therapy for diabetes, hypertension, migraine, epilepsy, sleep apnea, depression, impulse control disorders or alcoholism

INVENTOR(S): Tam, Peter Y.; Wilson, Leland F.

PATENT ASSIGNEE(S): Vivus, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 19 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080103179	A1	20080501	US 2007-764116	20070615
US 20080255093	A1	20081016	US 2008-111793	20080429
AU 2008262566	A1	20081218	AU 2008-262566	20080429
CA 2692042	A1	20081218	CA 2008-2692042	20080429
WO 2008153632	A2	20081218	WO 2008-US5549	20080429
WO 2008153632	A3	20090702		
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
EP 2167064	A2	20100331	EP 2008-767455	20080429
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, AL, BA, MK, RS			

PRIORITY APPLN. INFO.:

US 2006-854756P	P	20061027
US 1999-139022P	P	19990614
US 2000-178563P	P	20000126
US 2000-181265P	P	20000209
US 2000-593555	B2	20000614
US 2003-454368	A2	20030603
US 2006-385233	A2	20060320
US 2007-764116	A2	20070615
WO 2008-US5549	W	20080429

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The present invention features a novel therapy for treating diabetes, hypertension, migraine, epilepsy, sleep apnea, depression, impulse control disorders or alc. addiction which involves treating a subject with a sympathomimetic agent (e.g., phentermine or a phentermine-like drug) in combination with an anticonvulsant sulfamate compound (e.g., topiramate) or an anticonvulsive sulfonylurea compound (e.g. zonisamide).

IT 846589-98-8, Lorcaserin hydrochloride

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

10/560,953

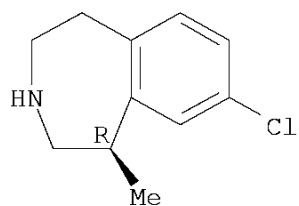
(Biological study); USES (Uses)

(combination therapy for diabetes, hypertension, migraine, epilepsy,
sleep apnea, depression, impulse control disorders or alcoholism)

RN 846589-98-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride
(1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L20 ANSWER 20 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2007:1204827 CAPLUS
 DOCUMENT NUMBER: 147:486344
 TITLE: Processes for preparation of
 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 and intermediates
 INVENTOR(S): Weigl, Ulrich; Porstmann, Frank; Straessler,
 Christoph; Ulmer, Lars; Koetz, Ulf
 PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 35 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007120517	A2	20071025	WO 2007-US8170	20070402
WO 2007120517	A3	20080619		
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
CA 2646044	A1	20071025	CA 2007-2646044	20070402
EP 2001852	A2	20081217	EP 2007-754661	20070402
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
JP 2009532470	T	20090910	JP 2009-504248	20070402
IN 2008KN03623	A	20090220	IN 2008-KN3623	20080904
CN 101466684	A	20090624	CN 2007-80010901	20080925
US 20090143576	A1	20090604	US 2009-225966	20090114
PRIORITY APPLN. INFO.:			US 2006-789191P	P 20060403
			WO 2007-US8170	W 20070402

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 147:486344

AB The present invention provides a process for the preparation of 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine, salts, hydrates, and crystal forms thereof. For example, 2-(4-chlorophenyl)ethanol was brominated with phosphorous tribromide, followed by addition of 1-amino-2-propanol and reaction with thionyl chloride to give 4-chloro-N-(2-chloropropyl)benzeneethanamine hydrochloride. The intermediate obtained in the previous step was reacted with aluminum chloride in 1,2-dichlorobenzene, followed by optical resolution with L-tartaric acid to give (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hemitartrate. The compds. are useful serotonin (5-HT) receptor agonists for the treatment of central nervous system disorders, such as obesity.

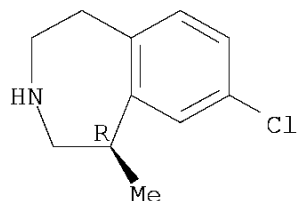
10/560,953

IT 847063-12-1P
RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT
(Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine and
intermediates)
RN 847063-12-1 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-,
(2R,3R)-2,3-dihydroxybutanedioate (2:1) (CA INDEX NAME)

CM 1

CRN 616202-92-7
CMF C11 H14 Cl N

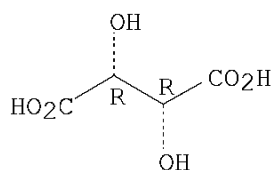
Absolute stereochemistry.



CM 2

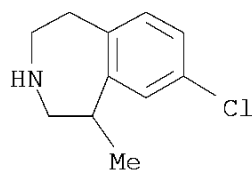
CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



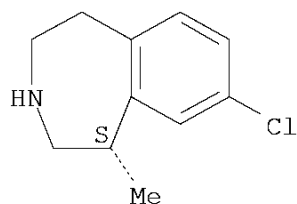
IT 616201-80-0P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine and
intermediates)
RN 616201-80-0 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

10/560,953



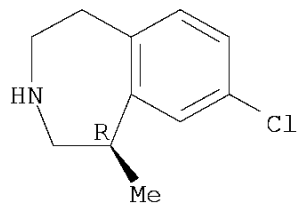
IT 616202-81-4P 616202-92-7P 846589-98-8P
856681-05-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
(Preparation)
(preparation of 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine and
intermediates)
RN 616202-81-4 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX
NAME)

Absolute stereochemistry.



RN 616202-92-7 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX
NAME)

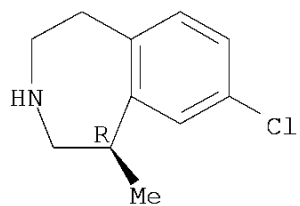
Absolute stereochemistry.



RN 846589-98-8 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride
(1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

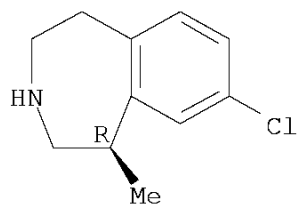
10/560,953



● HCl

RN 856681-05-5 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride,
hydrate (2:2:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

● 1/2 H₂O

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L20 ANSWER 21 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:1176022 CAPLUS

DOCUMENT NUMBER: 147:469249

TITLE: Benzazepinyloxyacetic acid derivatives as PPAR-delta agonists used for the increase of HDL-C, lower LDL-C and lower cholesterol and their preparation

INVENTOR(S): Kuo, Gee-Hong; Zhang, Yan; Shen, Lan; Lu, Songfeng; Demarest, Keith T.; Peiton, Patricia

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: U.S. Pat. Appl. Publ., 113 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

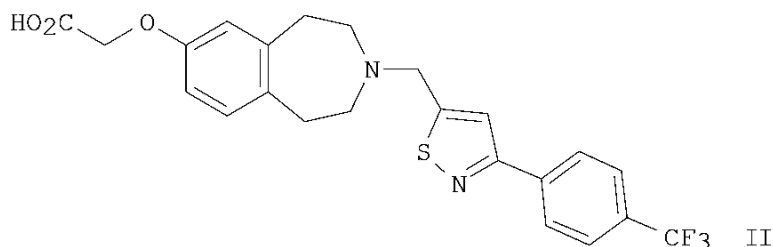
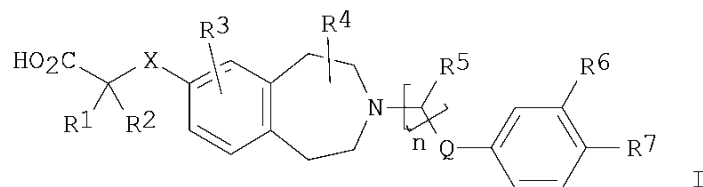
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070244094	A1	20071018	US 2007-736221	20070417
US 7678786	B2	20100316		
AU 2007237928	A1	20071025	AU 2007-237928	20070417
CA 2649700	A1	20071025	CA 2007-2649700	20070417
WO 2007121432	A2	20071025	WO 2007-US66772	20070417
WO 2007121432	A3	20081030		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
EP 2010289	A2	20090107	EP 2007-760766	20070417
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009534402	T	20090924	JP 2009-506716	20070417
MX 2008013534	A	20081029	MX 2008-13534	20081020
IN 2008KN04282	A	20090306	IN 2008-KN4282	20081022
KR 2008109933	A	20081217	KR 2008-727903	20081114
ZA 2008009790	A	20100127	ZA 2008-9790	20081117
NO 2008004847	A	20081216	NO 2008-4847	20081118
CN 101479008	A	20090708	CN 2007-80022639	20081217
US 20100120748	A1	20100513	US 2010-689335	20100119
PRIORITY APPLN. INFO.:			US 2006-793001P	P 20060418
			US 2007-736221	A3 20070417
			WO 2007-US66772	W 20070417

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 147:469249

GI



AB The invention is directed to compds. of formula I useful as PPAR agonists. Pharmaceutical compns. and methods of treating one or more conditions including, but not limited to, diabetes, nephropathy, neuropathy, retinopathy, polycystic ovary syndrome, hypertension, ischemia, stroke, irritable bowel disorder, inflammation, cataract, cardiovascular diseases, Metabolic X Syndrome, hyper-LDL-cholesterolemia, dyslipidemia (including hypertriglyceridemia, hypercholesterolemia, mixed hyperlipidemia, and hypo-HDL-cholesterolemia), atherosclerosis, obesity, and other disorders related to lipid metabolism and energy homeostasis complications thereof, using compds. of the invention are also described. Compds. of formula I wherein X is a covalent bond, O and S; R1 and R2 are independently H, and (un)substituted C1-8 alkyl; R1R2 and the carbon they are attached together may form C3-7 cycloalkyl; R3 is H; R4 and R5 are independently H, halo, C1-8 alkyl, C3-7 cycloalkyl, etc.; R5 and R7 are independently H, halo, C1-3 (halo)alkyl and C1-3 (halo)alkoxy; n is 1; Q is (un)substituted 5- to 6-membered heteroarom. ring; and their enantiomers, diastereoisomers, tautomers, solvates and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a multistep procedure (detailed procedure given). All the invention compds. were evaluated for their PPAR- δ agonistic activity. From the assay, it was determined that compound II exhibited EC50 value of 34.1 nM against PPAR δ .

IT 952709-53-4P 952709-54-5P

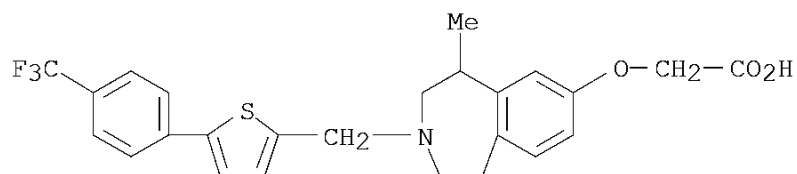
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzazepinyloxyacetic acid derivs. as PPAR-delta agonists useful for increasing HDL-C, lower LDL-C and lower cholesterol)

RN 952709-53-4 CAPLUS

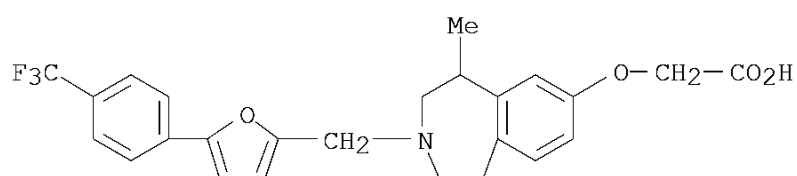
CN Acetic acid, 2-[[[2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)

10/560,953



RN 952709-54-5 CAPLUS

CN Acetic acid, 2-[[2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)

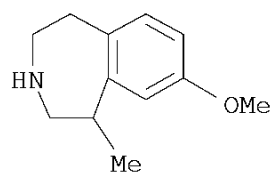


IT 849663-07-6P 952710-34-8P 952710-35-9P
952710-36-0P 952710-37-1P 952710-38-2P
952710-39-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzazepinyloxyacetic acid derivs. as PPAR-delta agonists useful for increasing HDL-C, lower LDL-C and lower cholesterol)

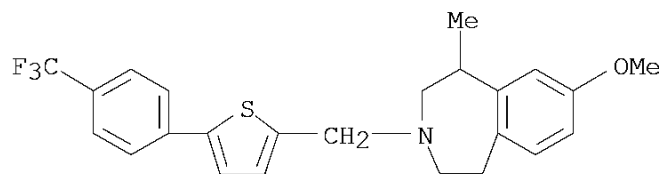
RN 849663-07-6 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-methoxy-1-methyl- (CA INDEX NAME)



RN 952710-34-8 CAPLUS

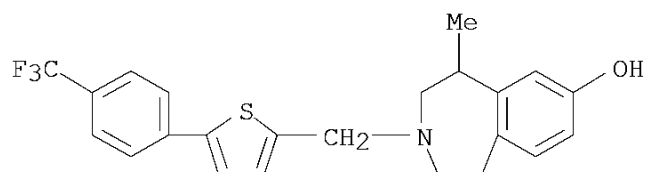
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-methoxy-1-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]- (CA INDEX NAME)



RN 952710-35-9 CAPLUS

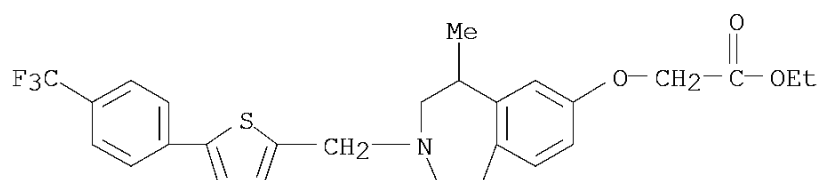
10/560,953

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]- (CA INDEX NAME)



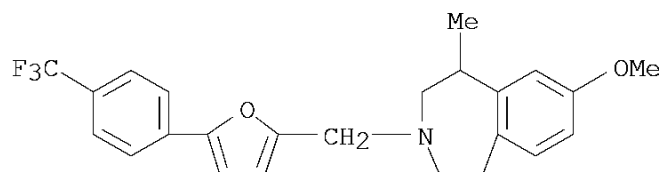
RN 952710-36-0 CAPLUS

CN Acetic acid, 2-[[2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]-1H-3-benzazepin-7-yl]oxy]-, ethyl ester (CA INDEX NAME)



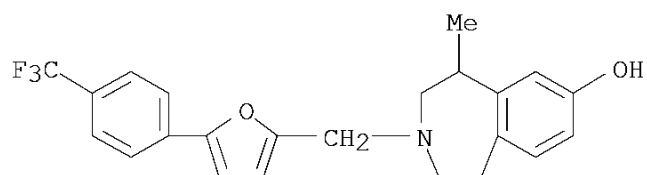
RN 952710-37-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-methoxy-1-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 952710-38-2 CAPLUS

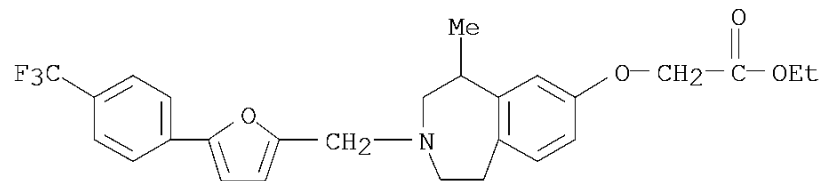
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 952710-39-3 CAPLUS

CN Acetic acid, 2-[[2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]-1H-3-benzazepin-7-yl]oxy]-, ethyl ester (CA INDEX NAME)

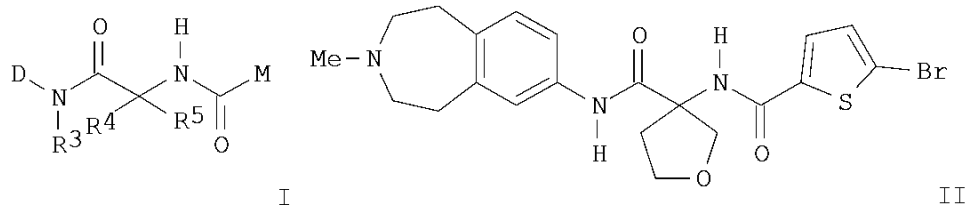
10/560,953



OS.CITING REF COUNT:	1	THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT:	27	THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 22 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2007:35810 CAPLUS
 DOCUMENT NUMBER: 146:142521
 TITLE: Preparation of 2,3,4,5-tetrahydro-1H-3-benzazepines as
 antithrombotic agents
 INVENTOR(S): Priepke, Henning; Dahmann, Georg; Gerlach, Kai; Pfau,
 Roland; Wienen, Wolfgang; Schuler-Metz, Annette;
 Handschuh, Sandra; Nar, Herbert
 PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany;
 Boehringer Ingelheim Pharma GmbH & Co. KG
 SOURCE: PCT Int. Appl., 185pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007003536	A1	20070111	WO 2006-EP63611	20060628
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
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AU 2006265216	A1	20070111	AU 2006-265216	20060628
CA 2613059	A1	20070111	CA 2006-2613059	20060628
EP 1899330	A1	20080319	EP 2006-763910	20060628
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, YU			
JP 2008546741	T	20081225	JP 2008-517518	20060628
AR 54627	A1	20070704	AR 2006-102825	20060630
ZA 2007008525	A	20090826	ZA 2007-8525	20071005
NO 2007005186	A	20080214	NO 2007-5186	20071011
IN 2007DN09037	A	20080104	IN 2007-DN9037	20071123
MX 2007016253	A	20080307	MX 2007-16253	20071218
CN 101213195	A	20080702	CN 2006-80024267	20080102
KR 2008033318	A	20080416	KR 2008-702478	20080130
PRIORITY APPLN. INFO.:			EP 2005-14270	A 20050630
			WO 2006-EP63611	W 20060628
OTHER SOURCE(S):			CASREACT 146:142521; MARPAT 146:142521	
GI				



AB Title compds. I [D = substituted bicyclic ring system with provisos; R3 = H, alkyl; R4, R5 = H, alkyl, alkenyl, etc.; M = substituted thiophene with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, benzazepine II was prepared from 3-trifluoroacetyl-7-nitro-2,3,4,5-tetrahydro-1H-benzo(d)azepine in 6-steps. Compds. I are claimed useful as antithrombotic agents.

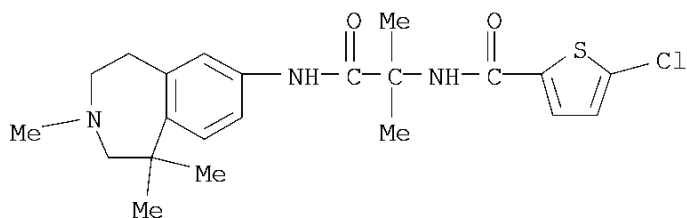
IT 919097-19-1P 919097-21-5P 919097-26-0P
919097-94-2P 919097-96-4P 919098-92-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Preparation of 2,3,4,5-tetrahydro-1H-3-benzazepines as antithrombotic agents)

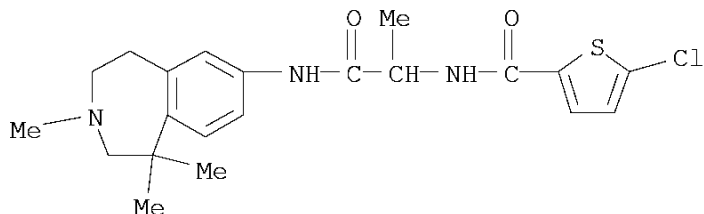
RN 919097-19-1 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[1,1-dimethyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,1,3-trimethyl-1H-3-benzazepin-7-yl)amino]ethyl]- (CA INDEX NAME)



RN 919097-21-5 CAPLUS

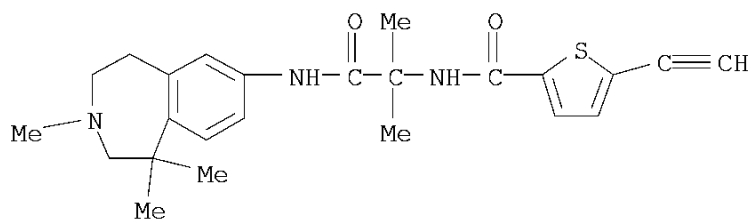
CN 2-Thiophenecarboxamide, 5-chloro-N-[1-methyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,1,3-trimethyl-1H-3-benzazepin-7-yl)amino]ethyl]- (CA INDEX NAME)



RN 919097-26-0 CAPLUS

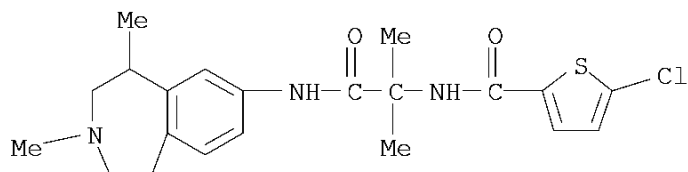
CN 2-Thiophenecarboxamide, N-[1,1-dimethyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,1,3-

trimethyl-1H-3-benzazepin-7-yl)amino]ethyl]-5-ethynyl- (CA INDEX NAME)



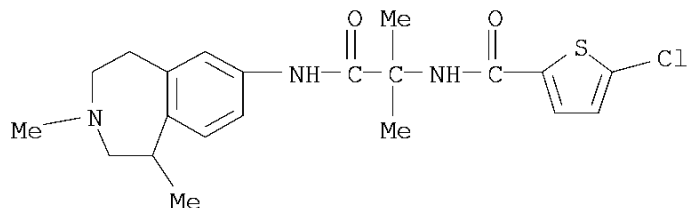
RN 919097-94-2 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[1,1-dimethyl-2-oxo-2-[(2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl)amino]ethyl]- (CA INDEX NAME)



RN 919097-96-4 CAPLUS

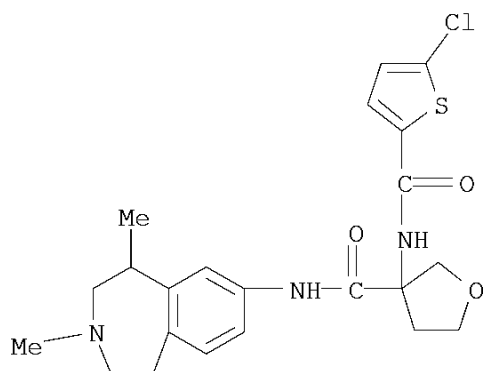
CN 2-Thiophenecarboxamide, 5-chloro-N-[1,1-dimethyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,3-dimethyl-1H-3-benzazepin-7-yl)amino]ethyl]- (CA INDEX NAME)



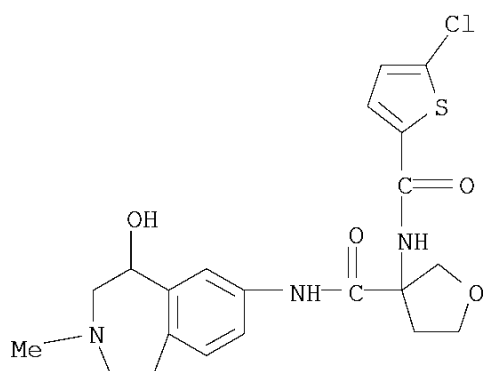
RN 919098-92-3 CAPLUS

CN 3-Furancarboxamide, 3-[[5-chloro-2-thienyl]carbonyl]amino]tetrahydro-N-(2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl)- (CA INDEX NAME)

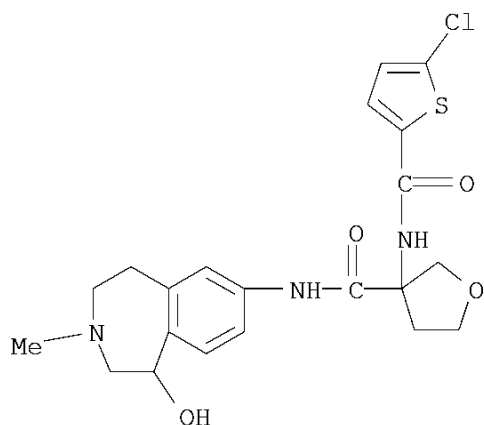
10/560,953



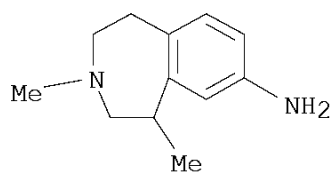
IT 1066578-03-7 1066578-07-1
RL: PRPH (Prophetic)
(Preparation of 2,3,4,5-tetrahydro-1H-3-benzazepines as antithrombotic agents)
RN 1066578-03-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



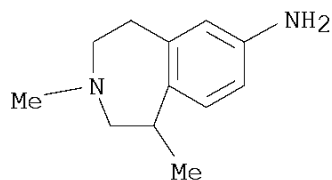
RN 1066578-07-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



IT 919099-24-4P 919099-25-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (Preparation of 2,3,4,5-tetrahydro-1H-3-benzazepines as antithrombotic
 agents)
 RN 919099-24-4 CAPLUS
 CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-3,5-dimethyl- (CA INDEX NAME)



RN 919099-25-5 CAPLUS
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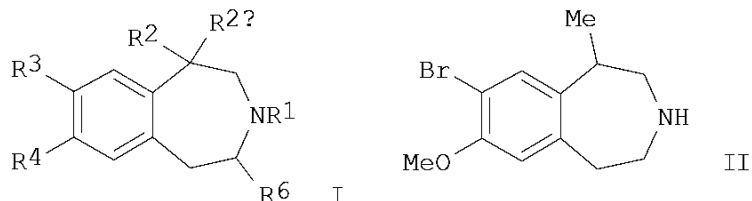


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 23 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2006:656846 CAPLUS
 DOCUMENT NUMBER: 145:124478
 TITLE: Preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivatives as selective 5HT-2C receptor agonists
 INVENTOR(S): Behan, Dominic P.; Smith, Brian M.; Bjenning, Christina
 PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 94 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006071740	A2	20060706	WO 2005-US46654	20051221
WO 2006071740	A3	20070419		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2005322183	A1	20060706	AU 2005-322183	20051221
CA 2588941	A1	20060706	CA 2005-2588941	20051221
EP 1833473	A2	20070919	EP 2005-855247	20051221
EP 1833473	B1	20090909		
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JP 2008525480	T	20080717	JP 2007-548479	20051221
AT 442135	T	20090915	AT 2005-855247	20051221
EP 2111859	A1	20091028	EP 2009-7812	20051221
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
PT 1833473	E	20091217	PT 2005-855247	20051221
ES 2331656	T3	20100112	ES 2005-855247	20051221
SG 158168	A1	20100129	SG 2009-8557	20051221
IN 2007KN02012	A	20070810	IN 2007-KN2012	20070604
CN 101123955	A	20080213	CN 2005-80043743	20070620
MX 2007007761	A	20080829	MX 2007-7761	20070622
ZA 2007005165	A	20090128	ZA 2007-5165	20070622
KR 2007091030	A	20070906	KR 2007-716812	20070720
HK 1102766	A1	20091113	HK 2007-111115	20071016
US 20090197868	A1	20090806	US 2008-793941	20080411
PRIORITY APPLN. INFO.:			US 2004-638667P	P 20041223
			US 2005-688901P	P 20050608
			EP 2005-855247	A3 20051221
			WO 2005-US46654	W 20051221

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 145:124478; MARPAT 145:124478
 GI

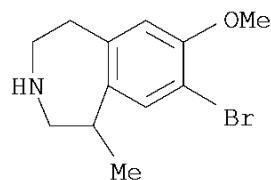


AB Title compds. represented by the formula I [wherein R1 = H or alkyl; R2 = alkyl, OH, CH2OH, etc.; R2a = H or R2R2a = -CH2CH2-; R3, R4 = independently H, halo, cyano, etc., or R3R4 = one oxygen containing heterocyclyl; and pharmaceutically acceptable salts, solvates or hydrates thereof] were prepared as 5HT-2C receptor agonists. For example, II was provided in a multi-step synthesis starting from 3-methoxyphenethylamine. II showed EC50 with 4.2 nM in intracellular IP3 accumulation assay, and was tested for inhibition of food intake in food-deprived rats. Thus, I and their pharmaceutical compns. are useful as selective 5HT-2C receptor agonist for the treatment of obesity.

IT 616201-55-9P, 8-Bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-57-1P 616201-80-0P,
 8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
 (preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

RN 616201-55-9 CAPLUS

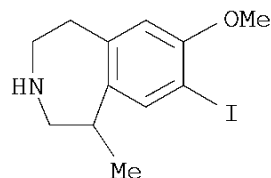
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl- (CA INDEX NAME)



RN 616201-57-1 CAPLUS

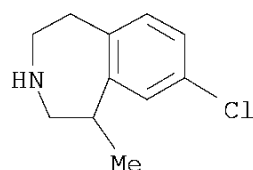
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl- (CA INDEX NAME)

10/560,953



RN 616201-80-0 CAPLUS

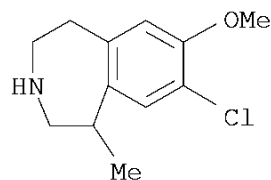
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



IT 616201-56-0P, 8-Chloro-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-73-1P, 8-Bromo-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-91-3P, N-Methyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-05-2P, 8-Trifluoromethyl-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-07-4P, 8-Chloro-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

RN 616201-56-0 CAPLUS

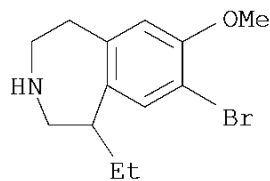
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl- (CA INDEX NAME)



RN 616201-73-1 CAPLUS

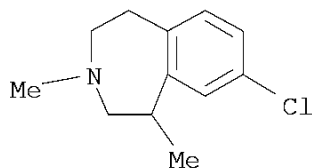
CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)

10/560,953



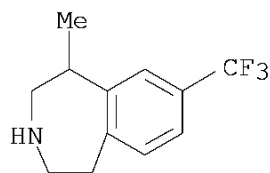
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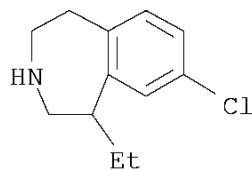
RN 616202-05-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)- (CA INDEX NAME)



RN 616202-07-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)



IT 616202-75-6P 616202-76-7P 616202-77-8P
616202-79-0P 616202-81-4P 616202-82-5P
616202-84-7P 616202-85-8P 616202-86-9P
616202-87-0P 616202-88-1P 616202-90-5P
616202-92-7P, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-93-8P 616202-95-0P
616202-96-1P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

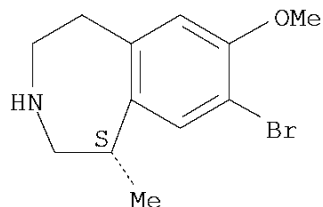
10/560,953

(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

RN 616202-75-6 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1S)-
(CA INDEX NAME)

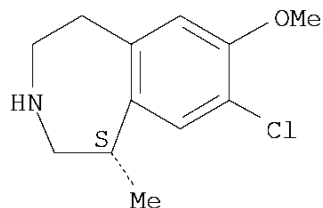
Absolute stereochemistry.



RN 616202-76-7 CAPLUS

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(CA INDEX NAME)

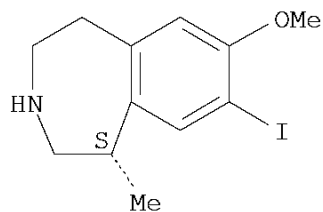
Absolute stereochemistry.



RN 616202-77-8 CAPLUS

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(CA INDEX NAME)

Absolute stereochemistry.

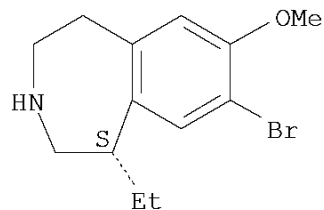


RN 616202-79-0 CAPLUS

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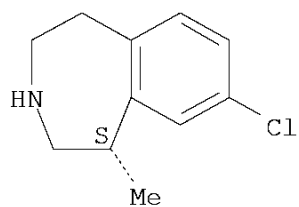
Absolute stereochemistry.

10/560,953



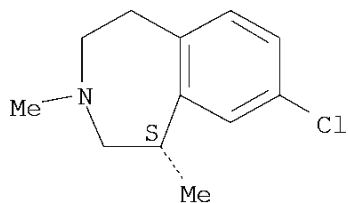
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Absolute stereochemistry.



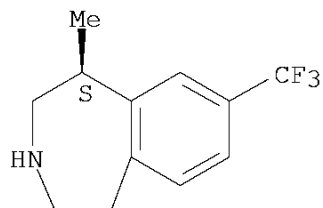
RN 616202-82-5 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 616202-84-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

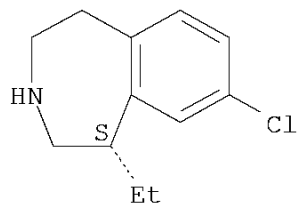


RN 616202-85-8 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-, (1S)- (CA INDEX NAME)

10/560,953

NAME)

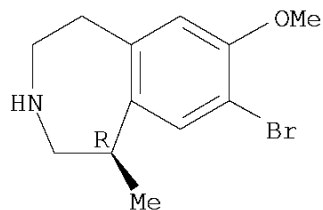
Absolute stereochemistry.



RN 616202-86-9 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1R)-
(CA INDEX NAME)

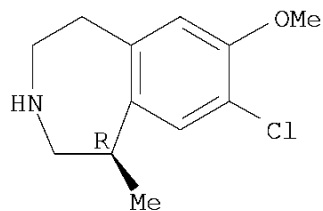
Absolute stereochemistry.



RN 616202-87-0 CAPLUS

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(CA INDEX NAME)

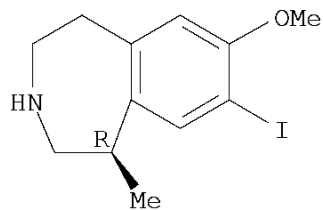
Absolute stereochemistry.



RN 616202-88-1 CAPLUS

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(CA INDEX NAME)

Absolute stereochemistry.

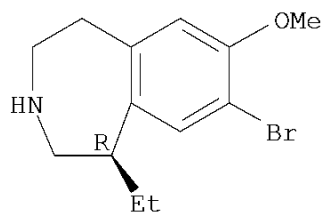


10/560,953

RN 616202-90-5 CAPLUS

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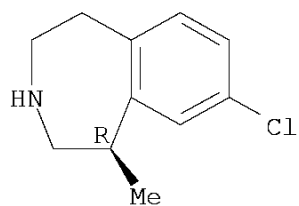
Absolute stereochemistry.



RN 616202-92-7 CAPLUS

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NAME)

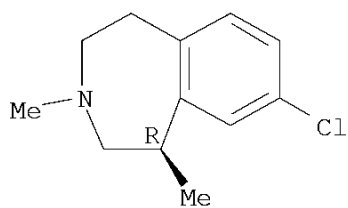
Absolute stereochemistry.



RN 616202-93-8 CAPLUS

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INDEX NAME)

Absolute stereochemistry.

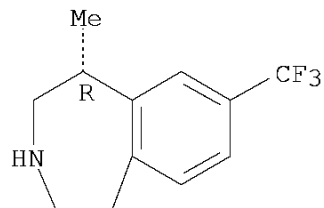


RN 616202-95-0 CAPLUS

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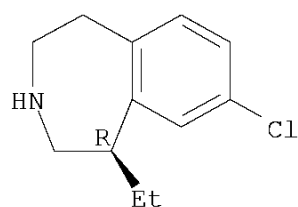
Absolute stereochemistry.

10/560,953

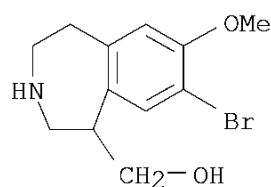


RN 616202-96-1 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 616201-72-0P, 8-Bromo-1-hydroxymethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)
RN 616201-72-0 CAPLUS
CN 1H-3-Benzazepine-1-methanol, 8-bromo-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)



IT 616201-58-2P, 8-Bromo-7-hydroxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-59-3P,
7-Allyloxy-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616201-60-6P, 7-Benzyloxy-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-61-7P,
8-Bromo-7-ethoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616201-62-8P, 8-Bromo-7-isopropoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-63-9P,
N-Methyl-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616201-64-0P, N-Propyl-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-65-1P,

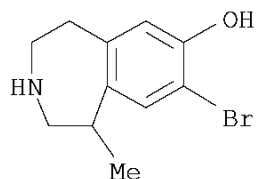
7-Hydroxy-8-iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 616201-66-2P, 7-Allyloxy-8-iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-
 benzazepine 616201-67-3P 616201-68-4P,
 7-Allyloxy-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 616201-69-5P, 7-Methoxy-1-methyl-8-(2-thienyl)-2,3,4,5-tetrahydro-
 1H-3-benzazepine 616201-70-8P,
 8-Cyano-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 616201-74-2P, 8-Chloro-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-
 benzazepine 616201-75-3P,
 8-Bromo-1-isopropyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine
 616201-76-4P, 8-Bromo-7-hydroxy-1-isopropyl-2,3,4,5-tetrahydro-1H-
 3-benzazepine 616201-77-5P,
 7-Allyloxy-8-bromo-1-isopropyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 616201-81-1P, 7-(2-Methyl-2H-pyrazol-3-yl)-1-methyl-2,3,4,5-
 tetrahydro-1H-3-benzazepine 616201-82-2P,
 7-(4-Bromo-2-methyl-2H-pyrazol-3-yl)-1-methyl-2,3,4,5-tetrahydro-1H-3-
 benzazepine 616201-83-3P,
 7-(3-Chlorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 616201-84-4P, 7-(2-Chlorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-
 benzazepine 616201-85-5P,
 8-Chloro-1-hydroxy-2,3,4,5-tetrahydro-1H-3-benzazepine
 616201-86-6P, 8-Bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 616201-87-7P, 8-Fluoro-1-methyl-2,3,4,5-tetrahydro-1H-3-
 benzazepine 616201-88-8P,
 7-Fluoro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 616201-89-9P, 7-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-
 benzazepine 616201-90-2P,
 7,8-Dichloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 616201-92-4P, 1-Methyl-7-trifluoromethoxy-2,3,4,5-tetrahydro-1H-3-
 benzazepine 616201-93-5P,
 8-Iodo-1-methyl-7-trifluoromethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine
 616201-94-6P, N-Propyl-8-iodo-7-methoxy-1-methyl-2,3,4,5-
 tetrahydro-1H-3-benzazepine 616201-95-7P,
 1-Ethyl-8-iodo-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine
 616201-96-8P, 7-(3-Methoxyphenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-
 benzazepine 616201-97-9P,
 7-(2,6-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 616201-98-0P, 7-(2-Fluorophenyl)-8-chloro-1-methyl-2,3,4,5-
 tetrahydro-1H-3-benzazepine 616201-99-1P,
 7-(2-Trifluoromethylphenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 616202-00-7P, 7-(3-Trifluoromethylphenyl)-1-methyl-2,3,4,5-
 tetrahydro-1H-3-benzazepine 616202-01-8P,
 7-(4-Trifluoromethylphenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 616202-02-9P, 8-(2-Chlorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-
 benzazepine 616202-03-0P,
 7-Methoxy-1-methyl-8-trifluoromethyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 616202-04-1P, 7-Methoxy-1-methyl-8-pentafluoroethyl-2,3,4,5-
 tetrahydro-1H-3-benzazepine 616202-06-3P
 616202-08-5P, 8-Chloro-7-fluoro-1-methyl-2,3,4,5-tetrahydro-1H-3-
 benzazepine 616202-69-8P,
 8-Iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-70-1P
 , 8-Trifluoromethyl-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 616202-71-2P, 8-Bromo-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 616202-72-3P, 8-Iodo-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 616202-73-4P, 7,8-Dichloro-1-ethyl-2,3,4,5-tetrahydro-1H-3-
 benzazepine 616202-74-5P,
 8-Chloro-7-fluoro-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

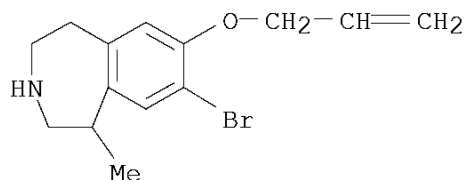
RN 616201-58-2 CAPLUS

CN 1H-3-Benzazepine-7-ol, 8-bromo-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



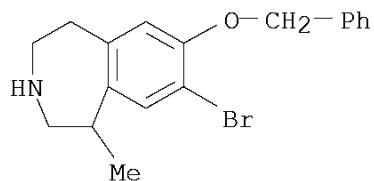
RN 616201-59-3 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)- (CA INDEX NAME)



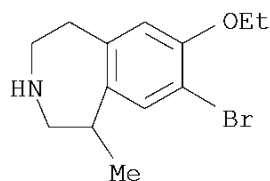
RN 616201-60-6 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)- (CA INDEX NAME)



RN 616201-61-7 CAPLUS

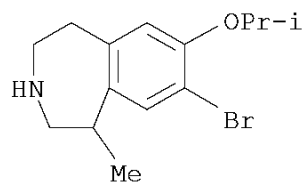
CN 1H-3-Benzazepine, 8-bromo-7-ethoxy-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



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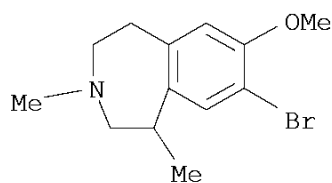
RN 616201-62-8 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(1-methylethoxy)-
(CA INDEX NAME)



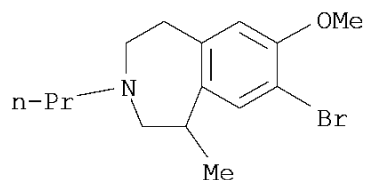
RN 616201-63-9 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1,3-dimethyl- (CA
INDEX NAME)



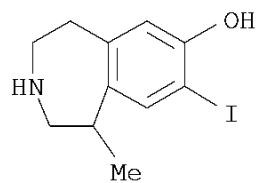
RN 616201-64-0 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-3-propyl-
(CA INDEX NAME)



RN 616201-65-1 CAPLUS

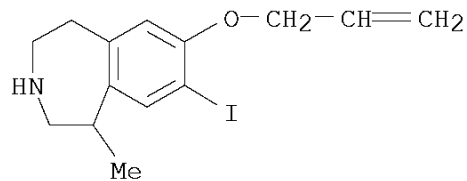
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-8-iodo-1-methyl- (CA INDEX NAME)



RN 616201-66-2 CAPLUS

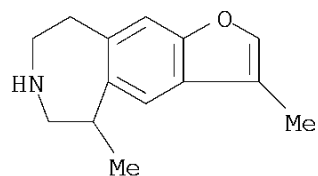
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl-7-(2-propen-1-yloxy)-
(CA INDEX NAME)

10/560,953



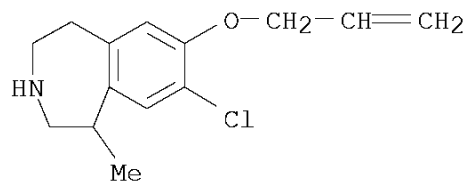
RN 616201-67-3 CAPLUS

CN 5H-Furo[2,3-h][3]benzazepine, 6,7,8,9-tetrahydro-3,5-dimethyl- (CA INDEX NAME)



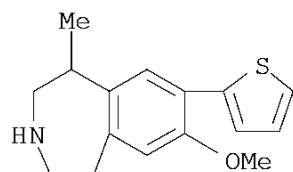
RN 616201-68-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)- (CA INDEX NAME)



RN 616201-69-5 CAPLUS

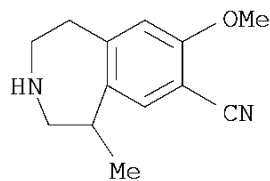
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-thienyl)- (CA INDEX NAME)



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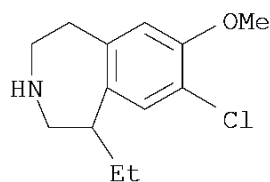
CN 1H-3-Benzazepine-7-carbonitrile, 2,3,4,5-tetrahydro-8-methoxy-5-methyl- (CA INDEX NAME)

10/560,953



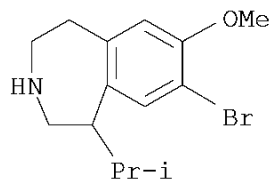
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CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)



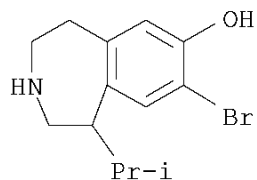
RN 616201-75-3 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)- (CA INDEX NAME)



RN 616201-76-4 CAPLUS

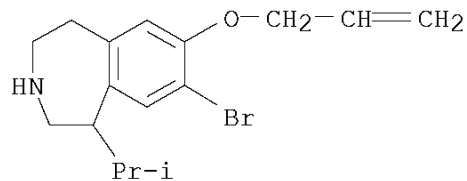
CN 1H-3-Benzazepin-7-ol, 8-bromo-2,3,4,5-tetrahydro-1-(1-methylethyl)- (CA INDEX NAME)



RN 616201-77-5 CAPLUS

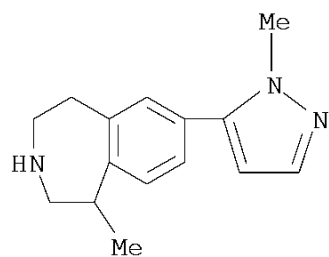
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-(1-methylethyl)-7-(2-propen-1-yloxy)- (CA INDEX NAME)

10/560,953



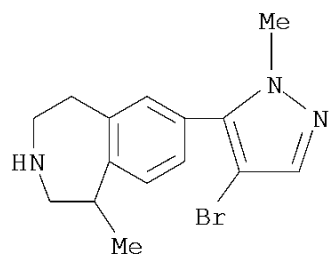
RN 616201-81-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-methyl-1H-pyrazol-5-yl)-
(CA INDEX NAME)



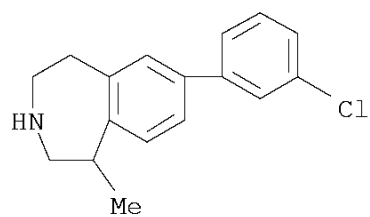
RN 616201-82-2 CAPLUS

CN 1H-3-Benzazepine, 7-(4-bromo-1-methyl-1H-pyrazol-5-yl)-2,3,4,5-tetrahydro-
1-methyl- (CA INDEX NAME)



RN 616201-83-3 CAPLUS

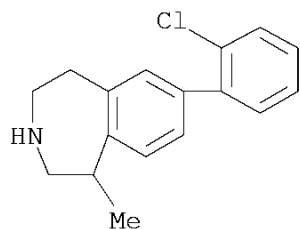
CN 1H-3-Benzazepine, 7-(3-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA
INDEX NAME)



RN 616201-84-4 CAPLUS

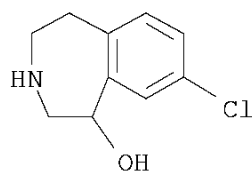
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CN 1H-3-Benzazepine, 7-(2-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



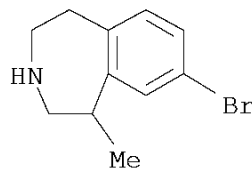
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CN 1H-3-Benzazepin-1-ol, 8-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)



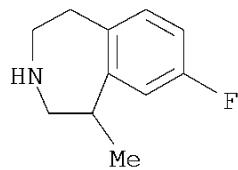
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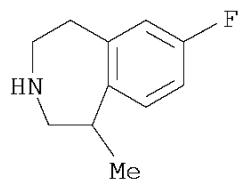
CN 1H-3-Benzazepine, 8-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-88-8 CAPLUS

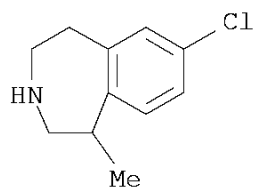
CN 1H-3-Benzazepine, 7-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

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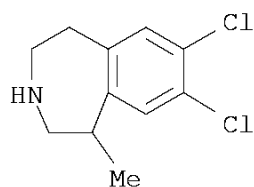
RN 616201-89-9 CAPLUS

CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



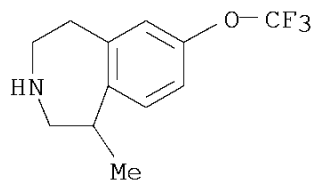
RN 616201-90-2 CAPLUS

CN 1H-3-Benzazepine, 7,8-dichloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-92-4 CAPLUS

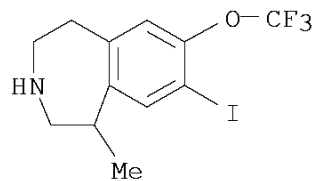
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(trifluoromethoxy)- (CA INDEX NAME)



RN 616201-93-5 CAPLUS

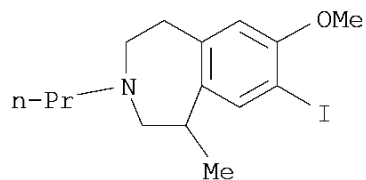
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl-7-(trifluoromethoxy)- (CA INDEX NAME)

10/560,953



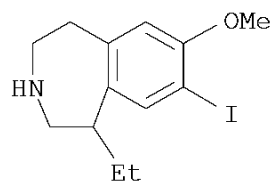
RN 616201-94-6 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-3-propyl-
(CA INDEX NAME)



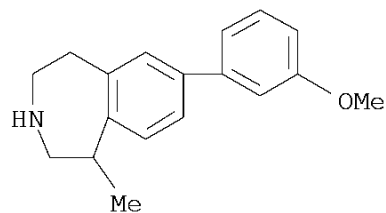
RN 616201-95-7 CAPLUS

CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-iodo-7-methoxy- (CA INDEX
NAME)



RN 616201-96-8 CAPLUS

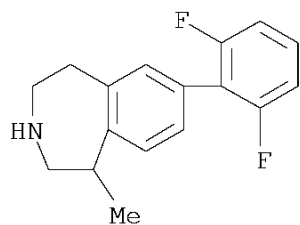
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-(3-methoxyphenyl)-1-methyl- (CA
INDEX NAME)



RN 616201-97-9 CAPLUS

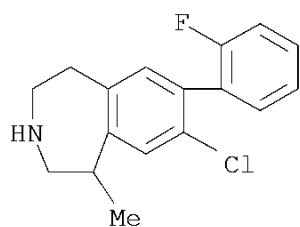
CN 1H-3-Benzazepine, 7-(2,6-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA
INDEX NAME)

10/560,953



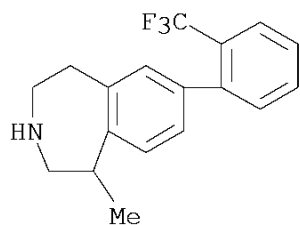
RN 616201-98-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-7-(2-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-
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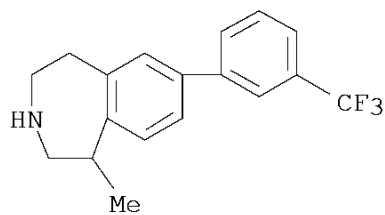
RN 616201-99-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



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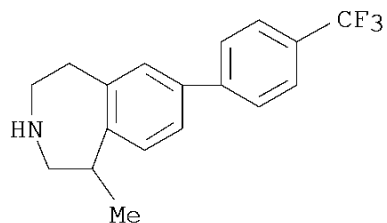
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 616202-01-8 CAPLUS

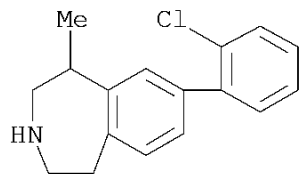
10/560,953

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



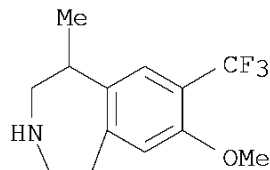
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CN 1H-3-Benzazepine, 8-(2-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



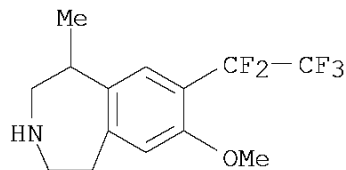
RN 616202-03-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(trifluoromethyl)- (CA INDEX NAME)



RN 616202-04-1 CAPLUS

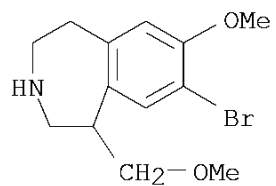
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(1,1,2,2,2-pentafluoroethyl)- (CA INDEX NAME)



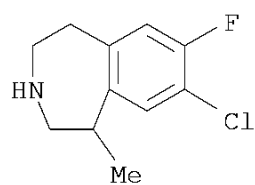
RN 616202-06-3 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-(methoxymethyl)- (CA INDEX NAME)

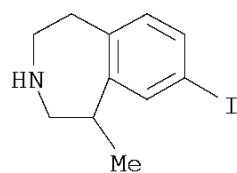
10/560,953



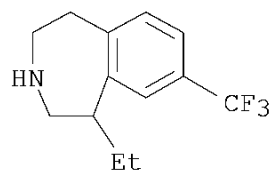
RN 616202-08-5 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-7-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616202-69-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl- (CA INDEX NAME)

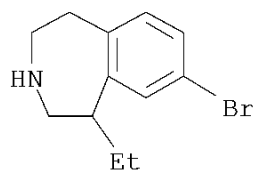


RN 616202-70-1 CAPLUS
CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-(trifluoromethyl)- (CA INDEX NAME)



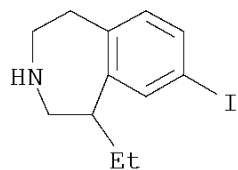
RN 616202-71-2 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)

10/560,953



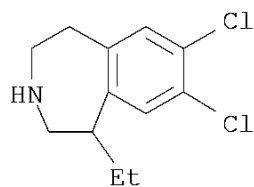
RN 616202-72-3 CAPLUS

CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-iodo- (CA INDEX NAME)



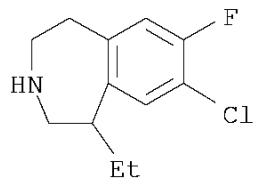
RN 616202-73-4 CAPLUS

CN 1H-3-Benzazepine, 7,8-dichloro-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 616202-74-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-7-fluoro-2,3,4,5-tetrahydro- (CA INDEX NAME)



IT 616202-59-6, N-(Trifluoroacetyl)-1-methyl-7-trifluoromethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-60-9,

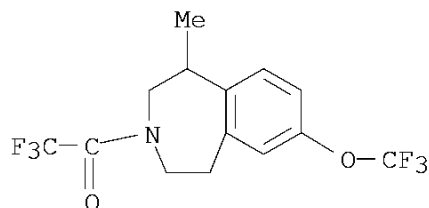
N-(Trifluoroacetyl)-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

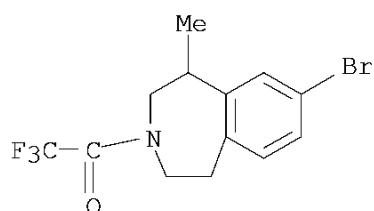
RN 616202-59-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-methyl-7-(trifluoromethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 616202-60-9 CAPLUS

CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-
2,2,2-trifluoro- (CA INDEX NAME)

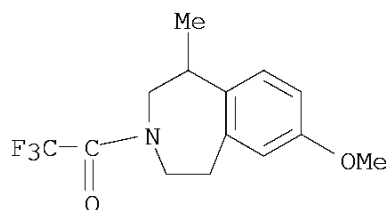


IT 616202-11-0P, N-(Trifluoroacetyl)-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-12-1P,
N-(Trifluoroacetyl)-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-13-2P,
N-(Trifluoroacetyl)-8-chloro-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-14-3P,
N-(Trifluoroacetyl)-8-iodo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-15-4P,
N-(Trifluoroacetyl)-8-bromo-7-hydroxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-16-5P,
N-(Trifluoroacetyl)-7-allyloxy-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-17-6P,
N-(Trifluoroacetyl)-7-benzyloxy-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-18-7P,
N-(Trifluoroacetyl)-8-bromo-7-ethyloxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-19-8P,
N-(Trifluoroacetyl)-8-bromo-7-isopropoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-20-1P,
N-(Trifluoroacetyl)-7-hydroxy-8-iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-21-2P,
N-(Trifluoroacetyl)-7-allyloxy-8-iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-22-3P 616202-23-4P,
N-(Trifluoroacetyl)-8-chloro-7-hydroxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-24-5P,
N-(Trifluoroacetyl)-7-allyloxy-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-25-6P,
N-(Trifluoroacetyl)-7-methoxy-1-methyl-8-(2-thienyl)-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-26-7P,
N-(Trifluoroacetyl)-8-cyano-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-29-0P,
N-(Trifluoroacetyl)-1-hydroxymethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-30-3P,

N-(Trifluoroacetyl)-8-bromo-1-hydroxymethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-33-6P,
 N-(Trifluoroacetyl)-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-34-7P, N-(Trifluoroacetyl)-8-bromo-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-35-8P,
 N-(Trifluoroacetyl)-8-chloro-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-38-1P,
 N-(Trifluoroacetyl)-1-isopropyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-39-2P,
 N-(Trifluoroacetyl)-8-bromo-1-isopropyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-40-5P,
 N-(Trifluoroacetyl)-8-bromo-7-hydroxy-1-isopropyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-41-6P,
 N-(Trifluoroacetyl)-7-allyloxy-8-bromo-1-isopropyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-51-8P,
 N-(Trifluoroacetyl)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-52-9P, N-(Trifluoroacetyl)-7-hydroxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-53-0P
 616202-54-1P, N-(Trifluoroacetyl)-7-(2-Methyl-2H-pyrazol-3-yl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-55-2P,
 N-(Trifluoroacetyl)-7-(4-bromo-2-Methyl-2H-pyrazol-3-yl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-65-4P
 616202-67-6P, N-(Trifluoroacetyl)-8-chloro-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-68-7P,
 N-(Trifluoroacetyl)-8-chloro-7-fluoro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 897366-25-5P,
 N-(Trifluoroacetyl)-1-ethylen-7-ylmethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 897366-26-6P,
 N-(Trifluoroacetyl)-1-isopropyl-7-ylmethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

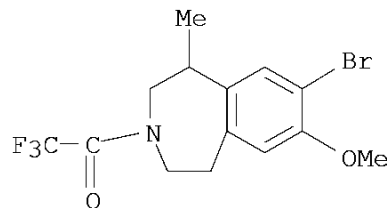
RN 616202-11-0 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



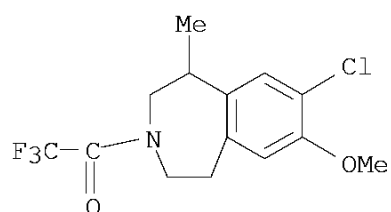
RN 616202-12-1 CAPLUS

CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



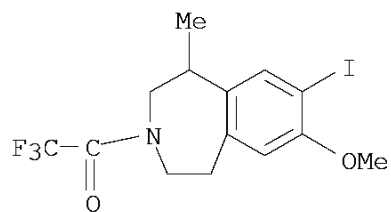
RN 616202-13-2 CAPLUS

CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



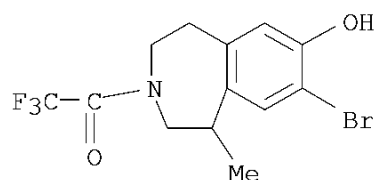
RN 616202-14-3 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



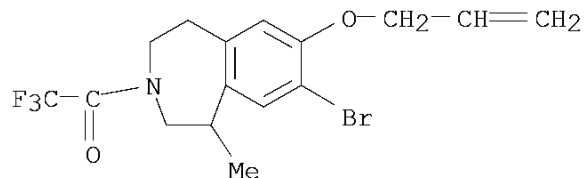
RN 616202-15-4 CAPLUS

CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



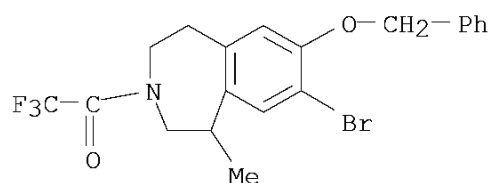
RN 616202-16-5 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



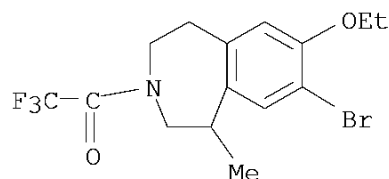
RN 616202-17-6 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



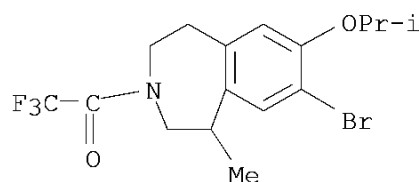
RN 616202-18-7 CAPLUS

CN Ethanone, 1-(8-bromo-7-ethoxy-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-19-8 CAPLUS

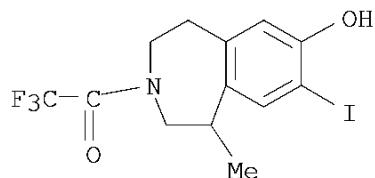
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(1-methylethoxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-20-1 CAPLUS

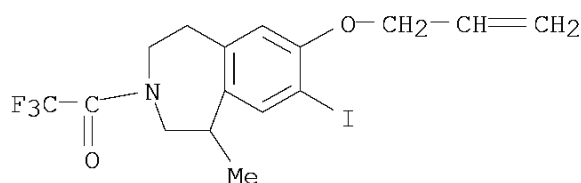
CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-hydroxy-8-iodo-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

10/560,953



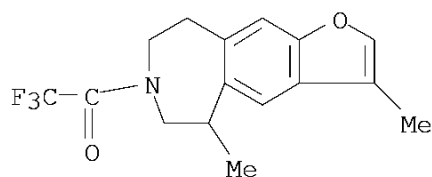
RN 616202-21-2 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-8-iodo-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



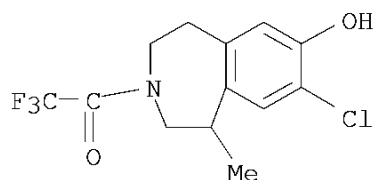
RN 616202-22-3 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(5,6,8,9-tetrahydro-3,5-dimethyl-7H-furo[2,3-h][3]benzazepin-7-yl)- (CA INDEX NAME)



RN 616202-23-4 CAPLUS

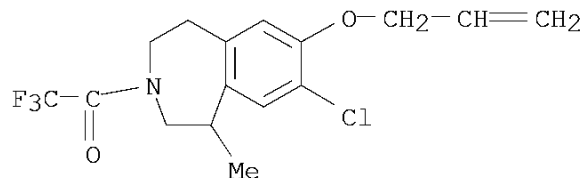
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-24-5 CAPLUS

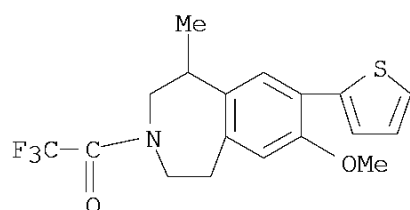
CN Ethanone, 1-[8-chloro-1,2,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

10/560,953



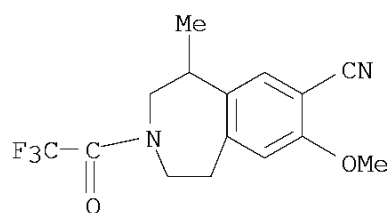
RN 616202-25-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-thienyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



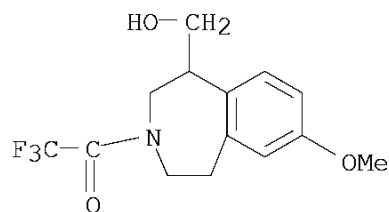
RN 616202-26-7 CAPLUS

CN 1H-3-Benzazepine-7-carbonitrile, 2,3,4,5-tetrahydro-8-methoxy-5-methyl-3-(2,2,2-trifluoroacetyl)- (CA INDEX NAME)



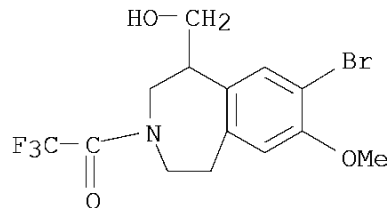
RN 616202-29-0 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-methoxy-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

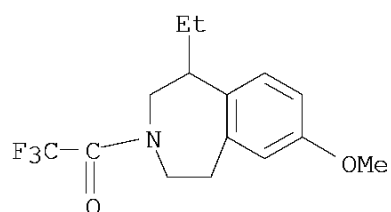


RN 616202-30-3 CAPLUS

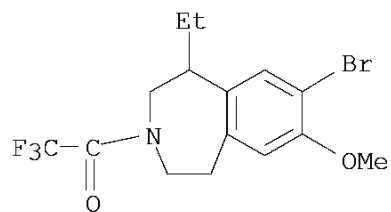
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-methoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



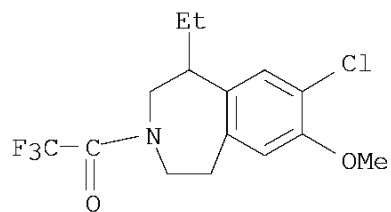
RN 616202-33-6 CAPLUS
 CN Ethanone, 1-(1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-
 2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-34-7 CAPLUS
 CN Ethanone, 1-(8-bromo-1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-
 3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

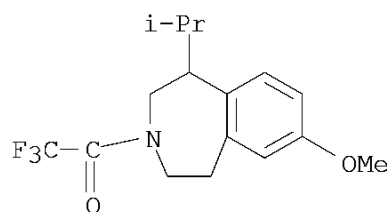


RN 616202-35-8 CAPLUS
 CN Ethanone, 1-(8-chloro-1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-
 3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



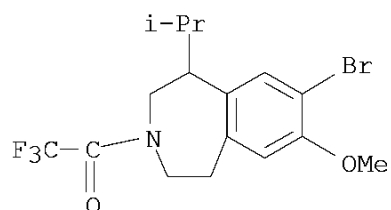
RN 616202-38-1 CAPLUS
 CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-(1-
 methylethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

10/560,953



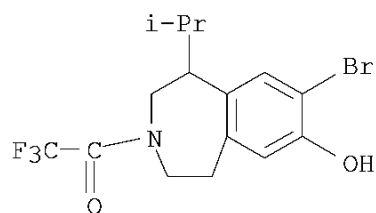
RN 616202-39-2 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



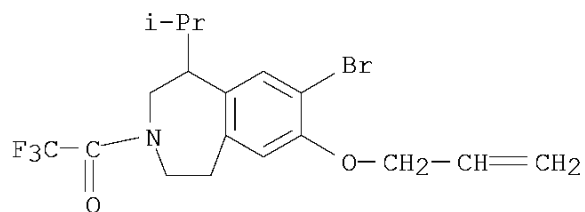
RN 616202-40-5 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-7-hydroxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-41-6 CAPLUS

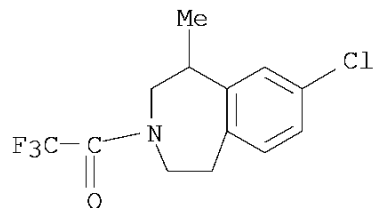
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-(1-methylethyl)-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-51-8 CAPLUS

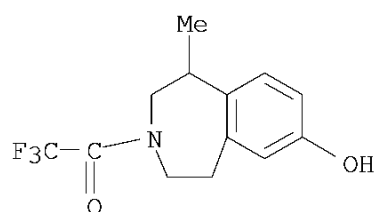
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

10/560,953



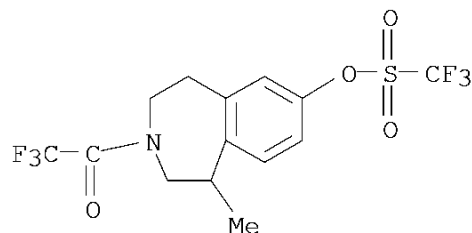
RN 616202-52-9 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



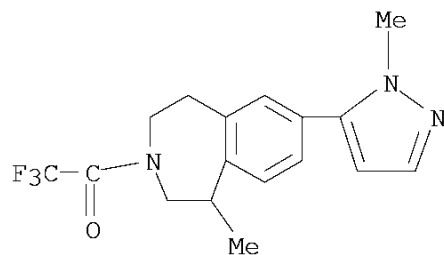
RN 616202-53-0 CAPLUS

CN Methanesulfonic acid, 1,1,1-trifluoro-, 2,3,4,5-tetrahydro-1-methyl-3-(2,2,2-trifluoroacetyl)-1H-3-benzazepin-7-yl ester (CA INDEX NAME)



RN 616202-54-1 CAPLUS

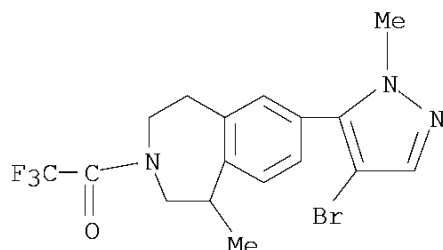
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-methyl-7-(1-methyl-1H-pyrazol-5-yl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 616202-55-2 CAPLUS

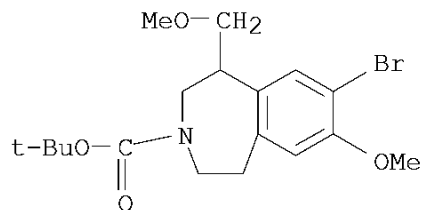
10/560,953

CN Ethanone, 1-[7-(4-bromo-1-methyl-1H-pyrazol-5-yl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



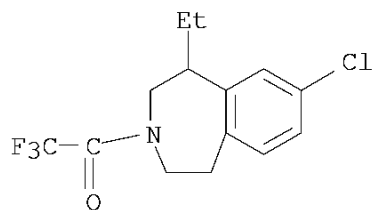
RN 616202-65-4 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-(methoxymethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



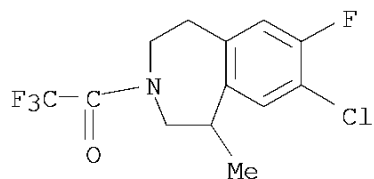
RN 616202-67-6 CAPLUS

CN Ethanone, 1-(8-chloro-1-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-68-7 CAPLUS

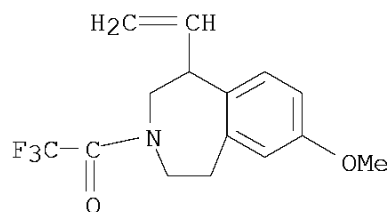
CN Ethanone, 1-(8-chloro-7-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



10/560,953

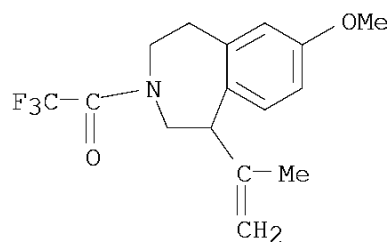
RN 897366-25-5 CAPLUS

CN Ethanone, 1-(1-ethenyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-
2,2,2-trifluoro- (CA INDEX NAME)



RN 897366-26-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-(1-methylethenyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



OS.CITING REF COUNT:	2	THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT:	6	THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 24 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:635052 CAPLUS

DOCUMENT NUMBER: 145:83251

TITLE: Preapartion of polymorphic crystalline forms of
(R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-
benzazepine hydrochloride and its sesquihydrateINVENTOR(S): Agarwal, Rajesh Kumar; Betts, William L., III;
Henshilwood, James A.; Kiang, Yuan-Hon; Post, Noah

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006069363	A2	20060629	WO 2005-US46983	20051220
WO 2006069363	A3	20070510		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2005318959	A1	20060629	AU 2005-318959	20051220
CA 2589988	A1	20060629	CA 2005-2589988	20051220
EP 1838677	A2	20071003	EP 2005-855526	20051220
EP 1838677	B1	20090909		
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
CN 101084193	A	20071205	CN 2005-80043392	20051220
JP 2008524262	T	20080710	JP 2007-547060	20051220
BR 2005019726	A2	20090310	BR 2005-19726	20051220
AT 442359	T	20090915	AT 2005-855526	20051220
PT 1838677	E	20091116	PT 2005-855526	20051220
ES 2332009	T3	20100122	ES 2005-855526	20051220
EP 2149562	A1	20100203	EP 2009-11453	20051220
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
ZA 2007005123	A	20091230	ZA 2007-5123	20070620
ZA 2007005123	D	20100127		
IN 2007KN02296	A	20070817	IN 2007-KN2296	20070621
MX 2007007566	A	20080829	MX 2007-7566	20070621
KR 2007098870	A	20071005	KR 2007-716727	20070720
HK 1102812	A1	20091231	HK 2007-111117	20071016
US 20100004223	A1	20100107	US 2007-793473	20071102
PRIORITY APPLN. INFO.:			US 2004-638221P	P 20041221

US 2004-638004P P 20041220
 EP 2005-855526 A3 20051220
 WO 2005-US46983 W 20051220

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

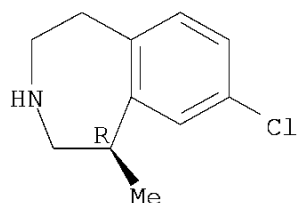
AB Polymorphic crystalline forms of (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride and its sesquihydrate, useful as a 5-HT_{2c} receptor agonist and for the treatment of diseases responsive to 5-HT_{2c} receptor agonists (e.g., depression), are prepared

IT 616202-92-7, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of polymorphic crystalline forms of
 (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride
 and its sesquihydrate)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

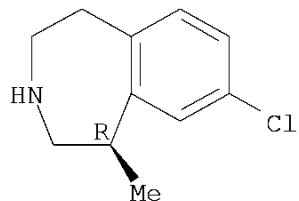


IT 846589-98-8P, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of polymorphic crystalline forms of
 (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride
 and its sesquihydrate)

RN 846589-98-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 856681-05-5P 893407-21-1P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

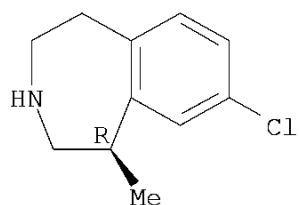
10/560,953

study); PREP (Preparation); USES (Uses)
(preparation of polymorphic crystalline forms of
(R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride
and its sesquihydrate)

RN 856681-05-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride,
hydrate (2:2:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



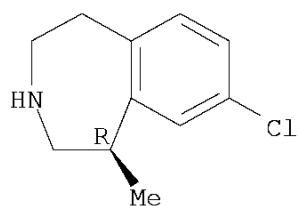
● HCl

● 1/2 H₂O

RN 893407-21-1 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride,
hydrate (2:2:3), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

● 3/2 H₂O

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L20 ANSWER 25 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:409483 CAPLUS

DOCUMENT NUMBER: 142:463622

TITLE: Preparation of benzazepine derivatives and methods of prophylaxis or treatment of 5-HT_{2C} receptor associated diseases like obesity

INVENTOR(S): Smith, Brian; Gilson, Charles, III; Schultz, Jeffrey; Estrada, Scott

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

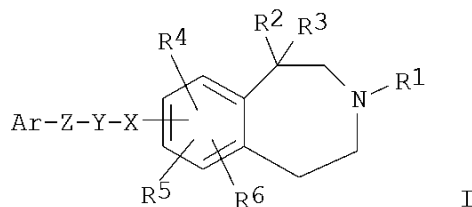
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042491	A1	20050512	WO 2004-US34917	20041021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20080009478	A1	20080110	US 2007-576849	20070409
PRIORITY APPLN. INFO.:			US 2003-513865P	P 20031022
			WO 2004-US34917	W 20041021

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:463622; MARPAT 142:463622

GI



AB The present invention relates to substituted-2,3,4,5-tetrahydro-3-benzazepine derivs. (shown as I; variables defined below; e.g. (S)-7-benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride (II) and 8-benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride (III)) that are modulators of the 5-HT_{2C} receptor. Accordingly, compds. of the present invention are useful for the prophylaxis or treatment of 5-HT_{2C} receptor associated diseases,

conditions or disorders, such as, obesity and related disorders. For I: X is O, S, SO, SO₂, CO, COO, NR₇, CONR₇, SONR₇, SO₂NR₇, NR₇CONR₇ or is absent; Y is C₁-C₁₀ alkenyl or is absent, wherein Y is (un)substituted by halo, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkyl, C₁-C₄ haloalkoxy, hydroxy, carboxy, amino, alkylamino, or dialkylamino; Z is O, S, SO, SO₂ or absent; R₁ is H, C₁-C₈ alkyl, C₃-C₇ cycloalkyl, or C₁-C₈ haloalkyl; R₂ is C₁-C₈ alkyl or C₁-C₈ haloalkyl; R₃ is H, C₁-C₈ alkyl, or C₁-C₈ haloalkyl; or R₂ and R₃ together with the C atom to which they are attached form a C₃-C₇ cycloalkyl. R₄, R₅, and R₆ = H, halo, C₁-C₈ alkyl, C₁-C₈ haloalkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, aryl, heteroaryl, C₃-C₇ cycloalkyl, heterocycloalkyl, hydroxy, mercapto, C₁-C₈ alkoxy, C₁-C₈ thioalkoxy, C₁-C₈ haloalkoxy, aryloxy, cycloalkyloxy, heteroaryloxy, heterocycloalkyloxy, cyano, nitro, NR₈R₉, NR₈COR₁₀, COR₁₀, COOR₁₁, or CONR₈R₉; R₇ is H, C₁-C₄ alkyl, or C₁-C₄ haloalkyl; R₈ and R₉ = H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₃-C₇ cycloalkyl, cycloalkylalkyl, aryl, or arylalkyl; or R₈ and R₉ together with the N atom to which they are attached form a 5- or 6-membered heterocycloalkyl. R₁₀ is H, C₁-C₄ alkyl, C₃-C₇ cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; R₁₁ is H, C₁-C₄ alkyl, C₃-C₇ cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl. Ar is aryl or heteroaryl, each (un)substituted by ≥ 1 halo, cyano, nitro, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl, heteroaryl, C₃-C₇ cycloalkyl, heterocycloalkyl, hydroxy, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₃-C₇ cycloalkyloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, mercapto, C₁-C₆ thioalkoxy, C₃-C₇ thiocycloalkyloxy, thioaryloxy, thioheteroaryloxy, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonfyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonfyl, COR₁₂, COOR₁₃, NR₁₄R₁₅, NR₁₄COR₁₂, NR₁₄CONR₁₄R₁₅, or CONR₁₄R₁₅. Or Ar together with Y and Z form a benzo-fused cycloalkyl or benzo-fused heterocycloalkyl group, each (un)substituted by ≥ 1 halo, cyano, nitro, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl, heteroaryl, C₃-C₇ cycloalkyl, heterocycloalkyl, hydroxy, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₃-C₇, cycloalkyloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, mercapto, C₁-C₆ thioalkoxy, C₃-C₇ thiocycloalkyloxy, thioaryloxy, thioheteroaryloxy, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonfyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonfyl, COR₁₂, COOR₁₃, NR₁₄R₁₅, NR₁₄COR₁₂, NR₁₄CONR₁₄R₁₅, or CONR₁₄R₁₅. R₁₂ is H, C₁-C₄ alkyl, C₃-C₇ cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; R₃ is H, C₁-C₄ alkyl, C₃-C₇ cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; and R₁₄ and R₁₅ = H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₃-C₇ cycloalkyl, cycloalkylalkyl, aryl, or arylalkyl; or R₁₄ and R₁₅ together with the N atom to which they are attached form a 5- or 6-membered heterocycloalkyl group; provisos are given in the claims. Although the methods of preparation are not claimed, 39 example preps. are included. For example, II was prepared in 3 steps starting from (S)-N-(trifluoroacetyl)-8-chloro-1-methyl-2,3,4,5-tetrahydrobenzo[d]azepine and involving intermediates (S)-N-(Trifluoroacetyl)-8-chloro-7-iodo-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine and (S)-N-(Trifluoroacetyl)-7-benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine. 5-HT_{2C} IC₅₀ values are reported for II and III as 30 and 7 nM, resp., from an intracellular IP₃ accumulation assay.

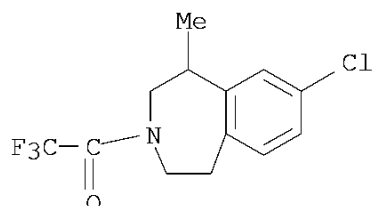
IT 616202-51-8P, N-(Trifluoroacetyl)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)

(chromatog. resolution; preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT_{2C} receptor associated diseases like obesity)

RN 616202-51-8 CAPLUS

CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



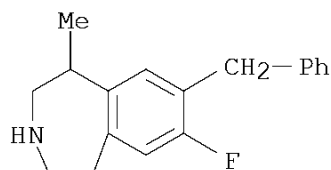
IT 851478-31-4P, 8-Benzyl-7-fluoro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT_{2C} receptor associated diseases like obesity)

RN 851478-31-4 CAPLUS

CN 1H-3-Benzazepine, 7-fluoro-2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

IT 851477-53-7P, (2-Fluorobenzyl) [(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-55-9P, (3-Fluorobenzyl) [(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-56-0P, (4-Fluorobenzyl) [(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-57-1P, (Indan-1-yl) [(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-58-2P, (Biphenyl-4-ylmethyl) [(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-59-3P, [2-(3,4-Dimethoxyphenyl)ethyl] [(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-60-6P, (S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid benzylamide hydrochloride 851477-63-9P,

(S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
 phenylamide hydrochloride 851477-64-0P,
 (S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
 phenethylamide hydrochloride 851477-65-1P,
 (S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
 N-(phenpropyl)amide hydrochloride 851477-66-2P,
 (S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
 4-phenylbenzylamide hydrochloride 851477-67-3P,
 (S)-8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride
 851477-70-8P, (S)-7-Benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-
 benzo[d]azepine hydrochloride 851477-73-1P,
 8-Benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 hydrochloride 851477-74-2P,
 6-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol hydrochloride
 851477-79-7P, (S)-8-(3-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-
 1H-benzo[d]azepine trifluoroacetate 851477-81-1P,
 (R)-8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 trifluoroacetate 851477-84-4P,
 8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol hydrochloride
 851477-87-7P, (S)-1-Methyl-8-phenethyl-2,3,4,5-tetrahydro-1H-
 benzo[d]azepine hydrochloride 851477-90-2P,
 (S)-8-(2-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 trifluoroacetate 851477-92-4P,
 (S)-8-(3-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 trifluoroacetate 851477-96-8P,
 (S)-8-(4-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 trifluoroacetate 851477-99-1P,
 (S)-1-Methyl-8-(3-trifluoromethylbenzyl)-2,3,4,5-tetrahydro-1H-
 benzo[d]azepine trifluoroacetate 851478-02-9P,
 (S)-8-(2,6-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 trifluoroacetate 851478-05-2P,
 (S)-8-(2,4-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 trifluoroacetate 851478-07-4P,
 (S)-8-(2,5-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 trifluoroacetate 851478-09-6P,
 (S)-8-(3,5-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 trifluoroacetate 851478-12-1P,
 (S)-8-(3,4-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 trifluoroacetate 851478-14-3P,
 (S)-8-(2-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 trifluoroacetate 851478-16-5P,
 (S)-8-(4-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 trifluoroacetate 851478-18-7P,
 (S)-1-Methyl-8-(1-phenylethyl)-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 trifluoroacetate 851478-19-8P,
 (8-Methoxy-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-
 yl)phenylmethanone hydrochloride 851478-22-3P,
 (S)-(5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)phenylmethanone
 hydrochloride 851478-24-5P,
 (S)-8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol
 hydrochloride 851478-29-0P,
 (S)-6-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol
 hydrochloride 851478-32-5P,
 (S)-8-(3-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol
 hydrochloride 851478-36-9P,
 7-(3-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 851478-38-1P, 1-Methyl-8-(2-phenoxyethoxy)-2,3,4,5-tetrahydro-1H-

benzo[d]azepine 851478-39-2P,
 (4-Fluorobenzyl) (5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl) amine
 851478-40-5P, (Biphenyl-4-ylmethyl) (5-methyl-2,3,4,5-tetrahydro-1H-
 benzo[d]azepin-7-yl) amine 851478-41-6P,
 5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
 phenylamide 851478-42-7P,
 5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
 benzylamide 851478-43-8P,
 5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
 phenethylamide 851478-44-9P,
 5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
 N-(phenpropyl) amide 851478-45-0P 851478-46-1P,
 [2-(3,4-Dimethoxyphenyl)ethyl] (5-methyl-2,3,4,5-tetrahydro-1H-
 benzo[d]azepin-7-yl) amine 851478-47-2P,
 8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 851478-48-3P, (Indan-1-yl) (5-methyl-2,3,4,5-tetrahydro-1H-
 benzo[d]azepin-7-yl) amine 851478-49-4P,
 7-Benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 851478-50-7P, 8-Benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-
 benzo[d]azepine 851478-51-8P,
 6-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol
 851478-52-9P, 8-(3-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-
 benzo[d]azepine 851478-53-0P,
 8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol
 851478-54-1P, 1-Methyl-8-phenethyl-2,3,4,5-tetrahydro-1H-
 benzo[d]azepine 851478-55-2P,
 8-(2-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 851478-56-3P, 8-(3-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-
 benzo[d]azepine 851478-57-4P,
 8-(4-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 851478-58-5P, 1-Methyl-8-(3-trifluoromethylbenzyl)-2,3,4,5-
 tetrahydro-1H-benzo[d]azepine 851478-59-6P,
 8-(2,6-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 851478-60-9P, 8-(2,4-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-
 1H-benzo[d]azepine 851478-61-0P,
 8-(2,5-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 851478-62-1P, 8-(3,5-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-
 1H-benzo[d]azepine 851478-63-2P,
 8-(3,4-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 851478-64-3P, 8-(2-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-
 benzo[d]azepine 851478-65-4P,
 8-(4-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 851478-66-5P, 1-Methyl-8-(1-phenylethyl)-2,3,4,5-tetrahydro-1H-
 benzo[d]azepine 851478-67-6P,
 (8-Methoxy-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-
 yl)phenylmethanone 851478-68-7P,
 (5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)phenylmethanone
 851478-69-8P, 8-Benzyl-7-fluoro-1-methyl-2,3,4,5-tetrahydro-1H-
 benzo[d]azepine 851478-70-1P,
 8-(3-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

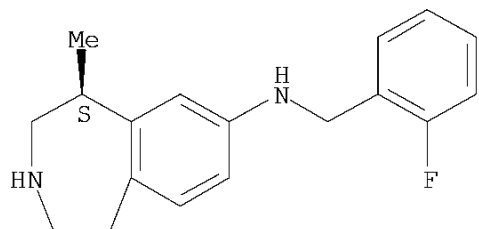
(drug candidate; preparation of benzazepine derivs. and methods of
 prophylaxis or treatment of 5-HT_{2C} receptor associated diseases like
 obesity)

RN 851477-53-7 CAPLUS

10/560,953

CN 1H-3-Benzazepin-7-amine, N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

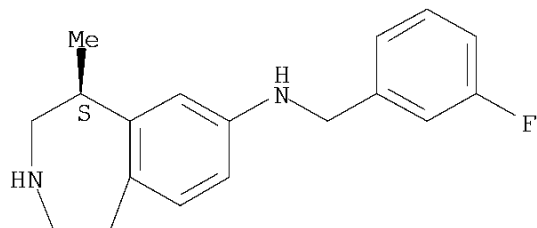


● HCl

RN 851477-55-9 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.



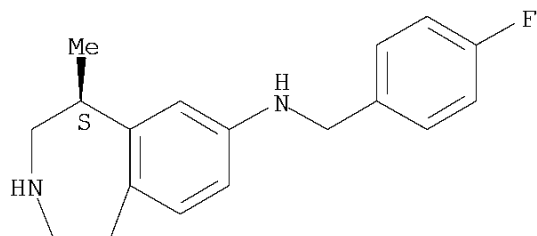
● HCl

RN 851477-56-0 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

10/560,953

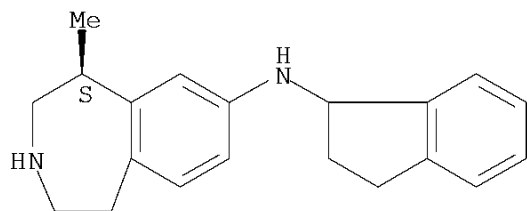


● HCl

RN 851477-57-1 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-(2,3-dihydro-1H-inden-1-yl)-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

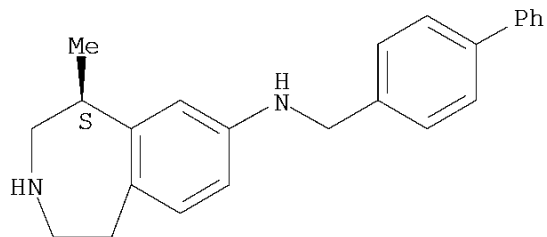


● HCl

RN 851477-58-2 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.



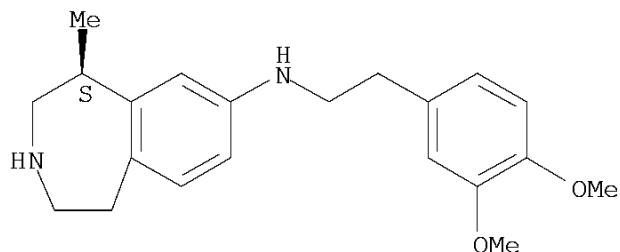
● HCl

10/560,953

RN 851477-59-3 CAPLUS

CN 1H-3-Benzazepine-7-amine, N-[2-(3,4-dimethoxyphenyl)ethyl]-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

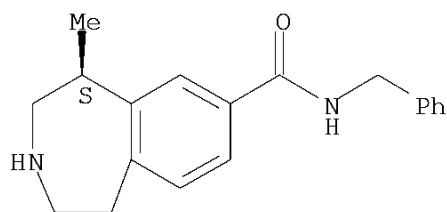


● HCl

RN 851477-60-6 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(phenylmethyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.



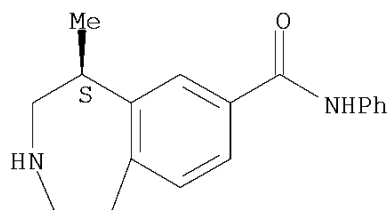
● HCl

RN 851477-63-9 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-phenyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

10/560,953

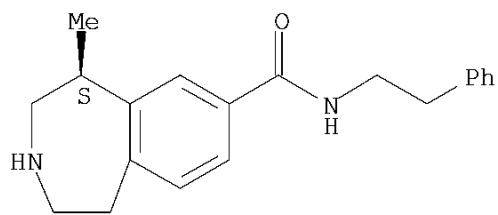


● HCl

RN 851477-64-0 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(2-phenylethyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

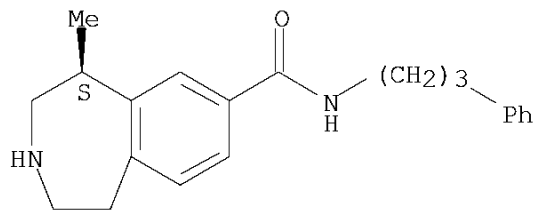


● HCl

RN 851477-65-1 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(3-phenylpropyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

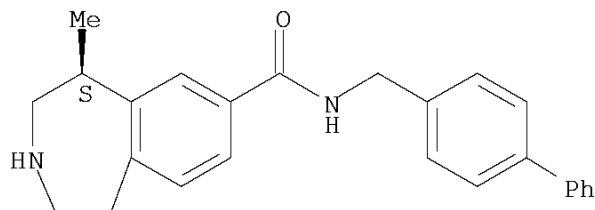
RN 851477-66-2 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, N-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-

10/560,953

tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

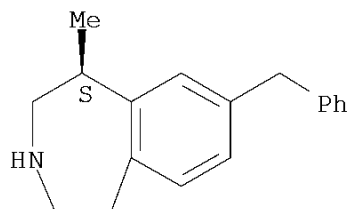


● HCl

RN 851477-67-3 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

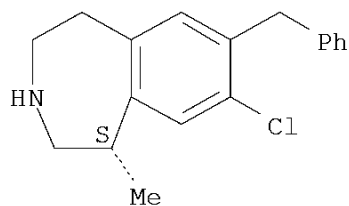


● HCl

RN 851477-70-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-7-(phenylmethyl)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

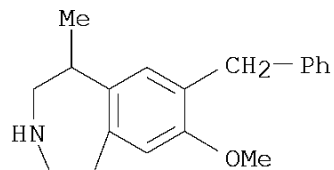


● HCl

10/560,953

RN 851477-73-1 CAPLUS

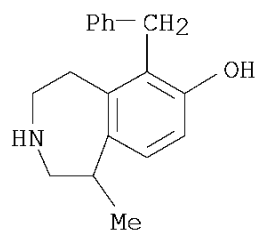
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(phenylmethyl)-,
hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 851477-74-2 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-6-(phenylmethyl)-,
hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 851477-79-7 CAPLUS

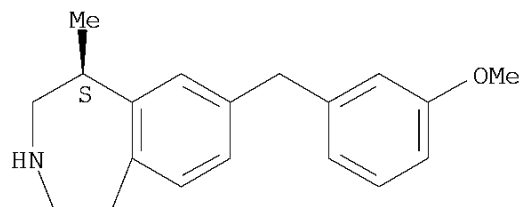
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(3-methoxyphenyl)methyl]-1-methyl-
, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-78-6

CMF C19 H23 N O

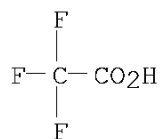
Absolute stereochemistry.



10/560,953

CM 2

CRN 76-05-1
CMF C2 H F3 O2

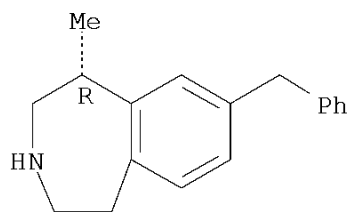


RN 851477-81-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, (1R)-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

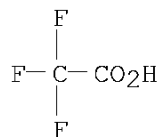
CRN 851477-80-0
CMF C18 H21 N

Absolute stereochemistry.



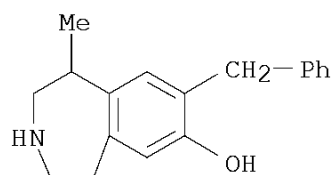
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 851477-84-4 CAPLUS
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-,
hydrochloride (1:1) (CA INDEX NAME)

10/560,953

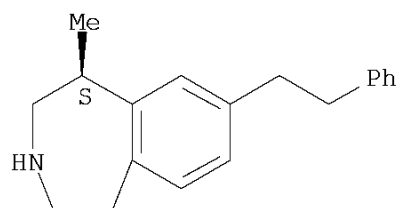


● HCl

RN 851477-87-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-phenylethyl)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 851477-90-2 CAPLUS

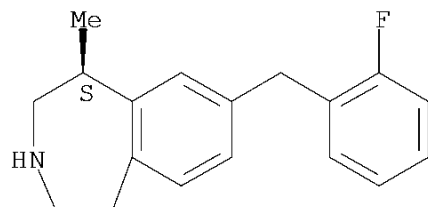
CN 1H-3-Benzazepine, 8-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-89-9

CMF C18 H20 F N

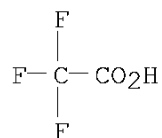
Absolute stereochemistry.



CM 2

10/560,953

CRN 76-05-1
CMF C2 H F3 O2

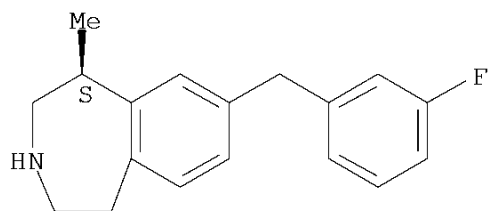


RN 851477-92-4 CAPLUS
CN 1H-3-Benzazepine, 8-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-,
(1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

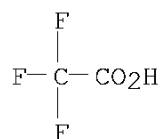
CRN 851477-91-3
CMF C18 H20 F N

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



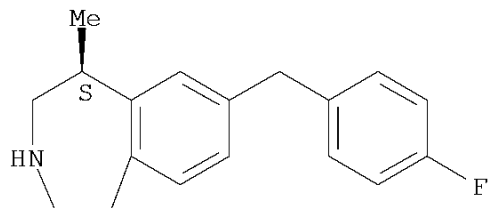
RN 851477-96-8 CAPLUS
CN 1H-3-Benzazepine, 8-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-,
(1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-95-7
CMF C18 H20 F N

Absolute stereochemistry.

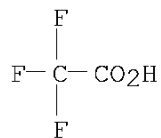
10/560,953



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 851477-99-1 CAPLUS

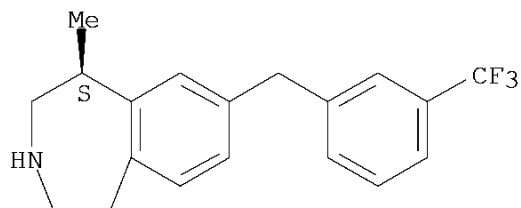
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-[[3-(trifluoromethyl)phenyl]methyl]-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-98-0

CMF C19 H20 F3 N

Absolute stereochemistry.

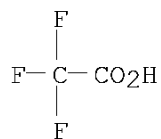


CM 2

CRN 76-05-1

CMF C2 H F3 O2

10/560,953



RN 851478-02-9 CAPLUS

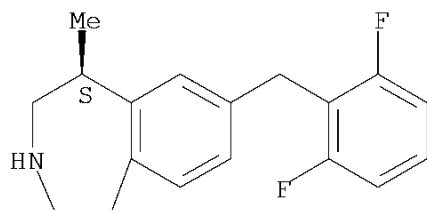
CN 1H-3-Benzazepine, 8-[(2,6-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-01-8

CMF C18 H19 F2 N

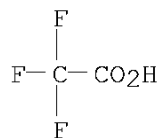
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 851478-05-2 CAPLUS

CN 1H-3-Benzazepine, 8-[(2,4-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

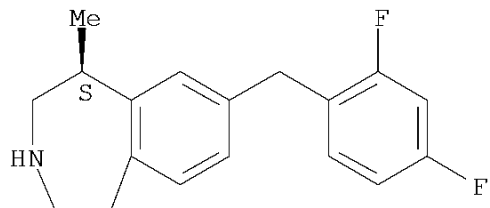
CM 1

CRN 851478-04-1

CMF C18 H19 F2 N

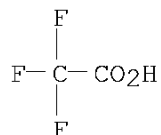
Absolute stereochemistry.

10/560,953



CM 2

CRN 76-05-1
CMF C2 H F3 O2

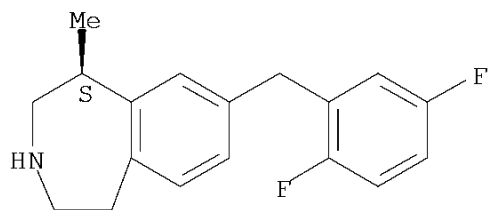


RN 851478-07-4 CAPLUS
CN 1H-3-Benzazepine, 8-[(2,5-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

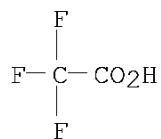
CRN 851478-06-3
CMF C18 H19 F2 N

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



10/560,953

RN 851478-09-6 CAPLUS

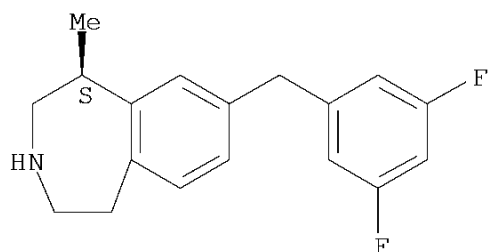
CN 1H-3-Benzazepine, 8-[(3,5-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-08-5

CMF C18 H19 F2 N

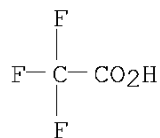
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 851478-12-1 CAPLUS

CN 1H-3-Benzazepine, 8-[(3,4-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

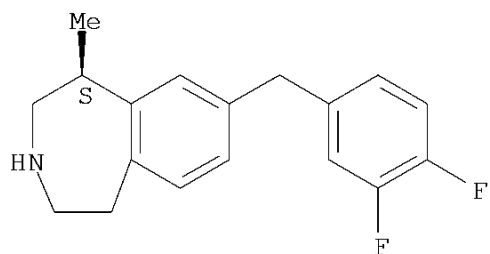
CM 1

CRN 851478-11-0

CMF C18 H19 F2 N

Absolute stereochemistry.

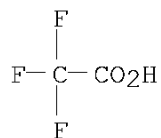
10/560,953



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 851478-14-3 CAPLUS

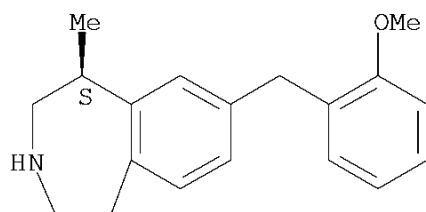
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(2-methoxyphenyl)methyl]-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-13-2

CMF C19 H23 N O

Absolute stereochemistry.

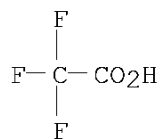


CM 2

CRN 76-05-1

CMF C2 H F3 O2

10/560,953



RN 851478-16-5 CAPLUS

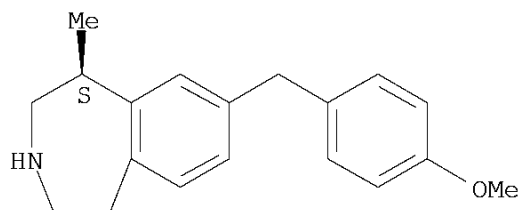
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(4-methoxyphenyl)methyl]-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-15-4

CMF C19 H23 N O

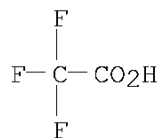
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 851478-18-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(1-phenylethyl)-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

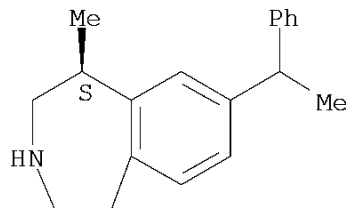
CM 1

CRN 851478-17-6

CMF C19 H23 N

Absolute stereochemistry.

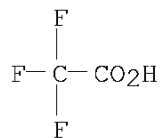
10/560,953



CM 2

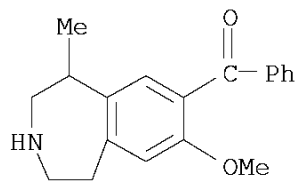
CRN 76-05-1

CMF C2 H F3 O2



RN 851478-19-8 CAPLUS

CN Methanone, phenyl (2,3,4,5-tetrahydro-8-methoxy-5-methyl-1H-3-benzazepin-7-yl)-, hydrochloride (1:1) (CA INDEX NAME)



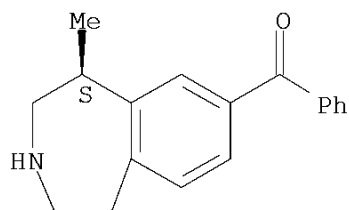
● HCl

RN 851478-22-3 CAPLUS

CN Methanone, phenyl[(5S)-2,3,4,5-tetrahydro-5-methyl-1H-3-benzazepin-7-yl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

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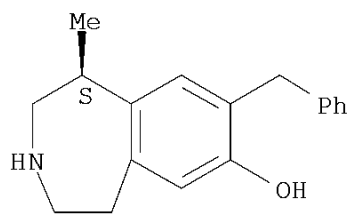


● HCl

RN 851478-24-5 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

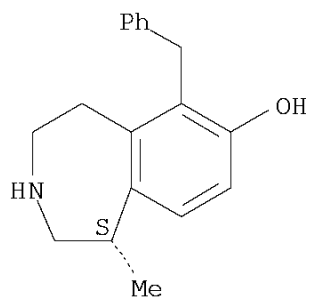


● HCl

RN 851478-29-0 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-6-(phenylmethyl)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.



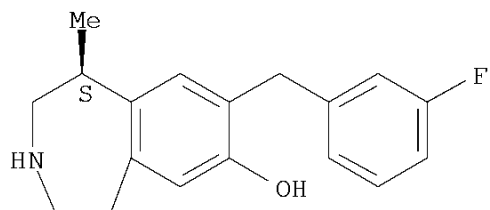
● HCl

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RN 851478-32-5 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

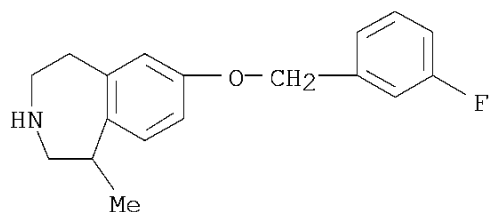
Absolute stereochemistry.



● HCl

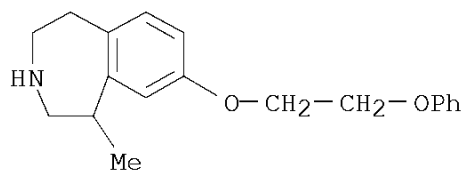
RN 851478-36-9 CAPLUS

CN 1H-3-Benzazepine, 7-[(3-fluorophenyl)methoxy]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 851478-38-1 CAPLUS

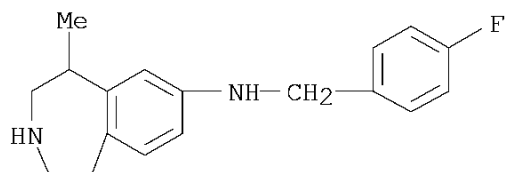
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-phenoxyethoxy)- (CA INDEX NAME)



RN 851478-39-2 CAPLUS

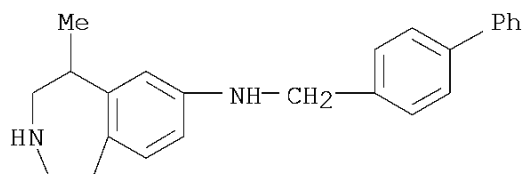
CN 1H-3-Benzazepin-7-amine, N-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)

10/560,953



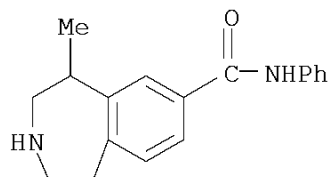
RN 851478-40-5 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)



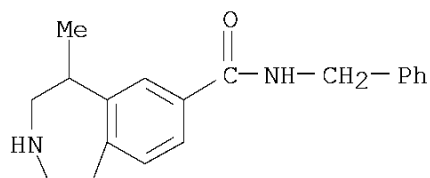
RN 851478-41-6 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-phenyl- (CA INDEX NAME)



RN 851478-42-7 CAPLUS

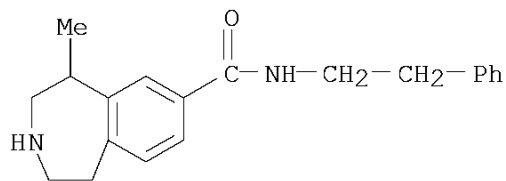
CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(phenylmethyl)- (CA INDEX NAME)



RN 851478-43-8 CAPLUS

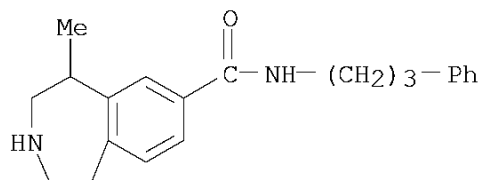
CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(2-phenylethyl)- (CA INDEX NAME)

10/560,953



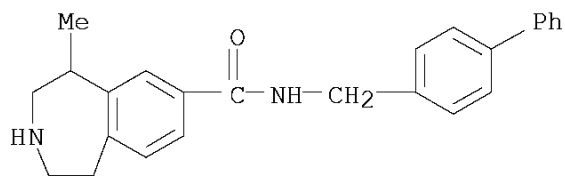
RN 851478-44-9 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)



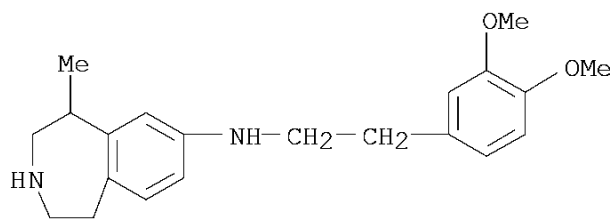
RN 851478-45-0 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, N-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)



RN 851478-46-1 CAPLUS

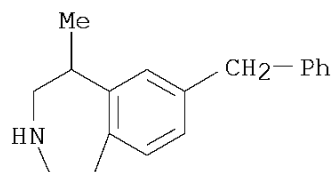
CN 1H-3-Benzazepine-7-carboxamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)



RN 851478-47-2 CAPLUS

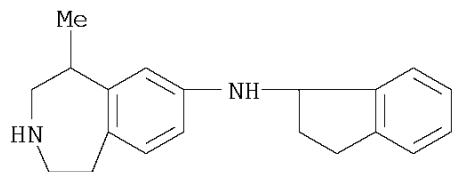
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)- (CA INDEX NAME)

10/560,953



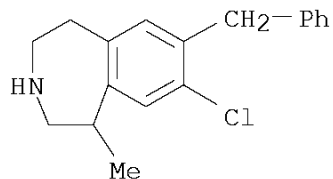
RN 851478-48-3 CAPLUS

CN 1H-3-Benzazepine, N-(2,3-dihydro-1H-inden-1-yl)-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)



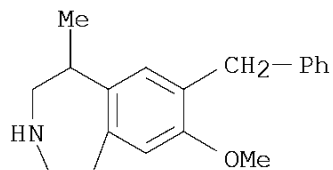
RN 851478-49-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-7-(phenylmethyl)- (CA INDEX NAME)



RN 851478-50-7 CAPLUS

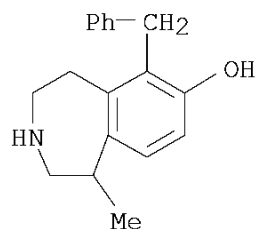
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(phenylmethyl)- (CA INDEX NAME)



RN 851478-51-8 CAPLUS

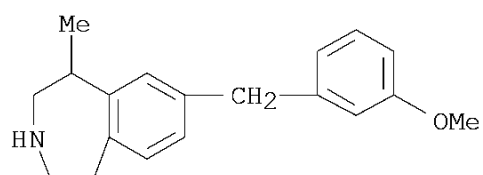
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-6-(phenylmethyl)- (CA INDEX NAME)

10/560,953



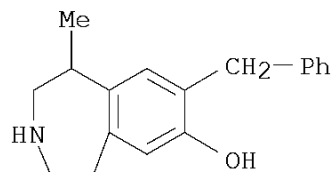
RN 851478-52-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(3-methoxyphenyl)methyl]-1-methyl-
(CA INDEX NAME)



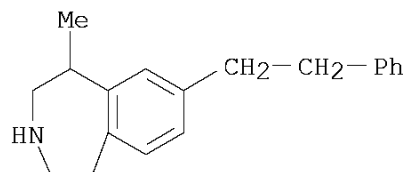
RN 851478-53-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)- (CA
INDEX NAME)



RN 851478-54-1 CAPLUS

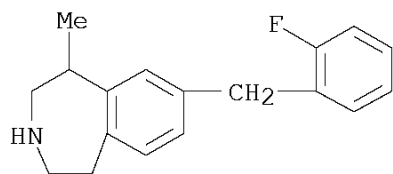
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-phenylethyl)- (CA
INDEX NAME)



RN 851478-55-2 CAPLUS

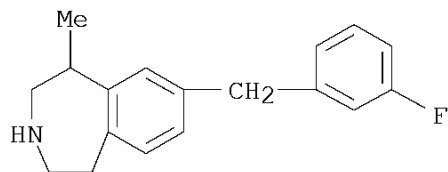
CN 1H-3-Benzazepine, 8-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-
(CA INDEX NAME)

10/560,953



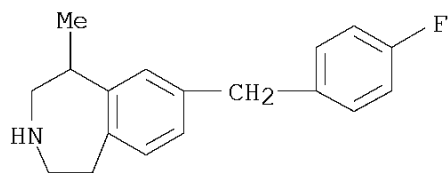
RN 851478-56-3 CAPLUS

CN 1H-3-Benzazepine, 8-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-
(CA INDEX NAME)



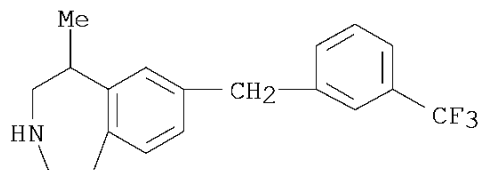
RN 851478-57-4 CAPLUS

CN 1H-3-Benzazepine, 8-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-
(CA INDEX NAME)



RN 851478-58-5 CAPLUS

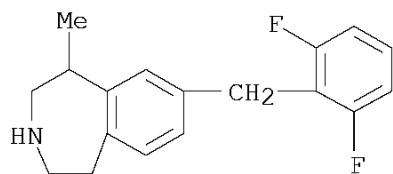
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



RN 851478-59-6 CAPLUS

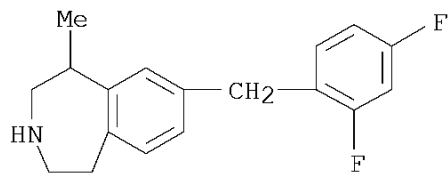
CN 1H-3-Benzazepine, 8-[(2,6-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

10/560,953



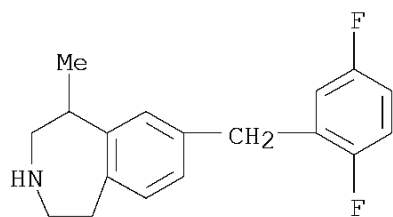
RN 851478-60-9 CAPLUS

CN 1H-3-Benzazepine, 8-[(2,4-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



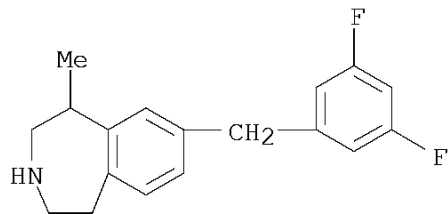
RN 851478-61-0 CAPLUS

CN 1H-3-Benzazepine, 8-[(2,5-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 851478-62-1 CAPLUS

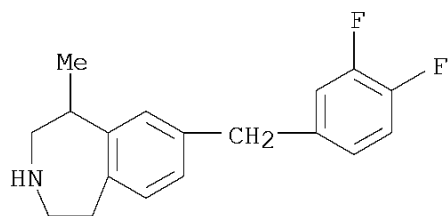
CN 1H-3-Benzazepine, 8-[(3,5-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 851478-63-2 CAPLUS

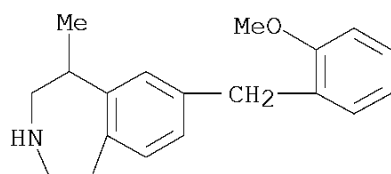
CN 1H-3-Benzazepine, 8-[(3,4-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

10/560,953



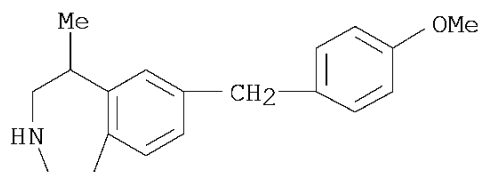
RN 851478-64-3 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(2-methoxyphenyl)methyl]-1-methyl-
(CA INDEX NAME)



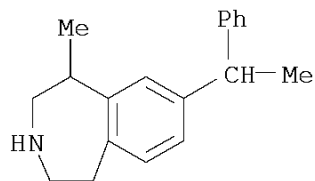
RN 851478-65-4 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(4-methoxyphenyl)methyl]-1-methyl-
(CA INDEX NAME)



RN 851478-66-5 CAPLUS

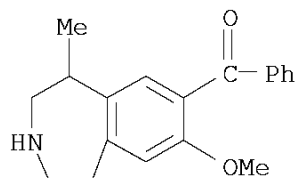
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(1-phenylethyl)- (CA
INDEX NAME)



RN 851478-67-6 CAPLUS

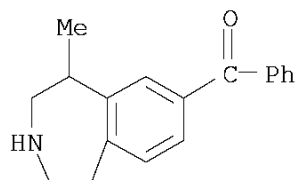
CN Methanone, phenyl(2,3,4,5-tetrahydro-8-methoxy-5-methyl-1H-3-benzazepin-7-
yl)- (CA INDEX NAME)

10/560,953



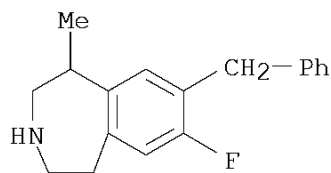
RN 851478-68-7 CAPLUS

CN Methanone, phenyl (2,3,4,5-tetrahydro-5-methyl-1H-3-benzazepin-7-yl)- (CA INDEX NAME)



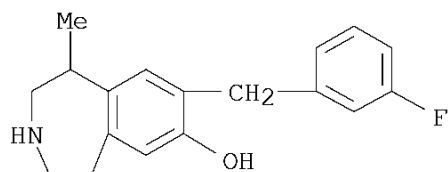
RN 851478-69-8 CAPLUS

CN 1H-3-Benzazepine, 7-fluoro-2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)- (CA INDEX NAME)



RN 851478-70-1 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



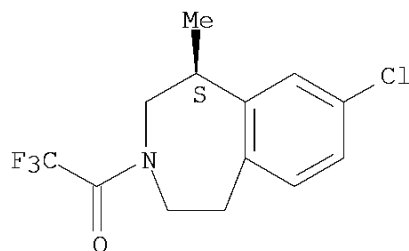
IT 616202-78-9P, (S)-N-(Trifluoroethanoyl)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT_{2C} receptor associated diseases like obesity)

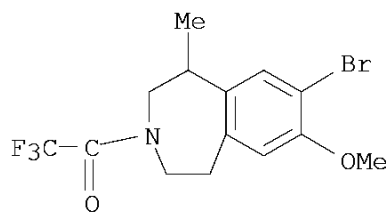
RN 616202-78-9 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



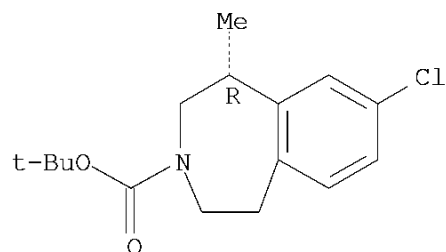
- IT 616202-12-1, N-(Trifluoroacetyl)-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-82-2,
 (R)-N-tert-Butoxycarbonyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-86-6,
 N-(Trifluoroacetyl)-8-benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-23-4,
 N-Boc-8-benzoyl-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepine 851478-26-7, (S)-N-(Trifluoroethanoyl)-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-30-3,
 (S)-7-Benzoyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-34-7, (S)-N-(Trifluoroethanoyl)-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT_{2C} receptor associated diseases like obesity)
 RN 616202-12-1 CAPLUS
 CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



- RN 851477-82-2 CAPLUS
 CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1R)- (CA INDEX NAME)

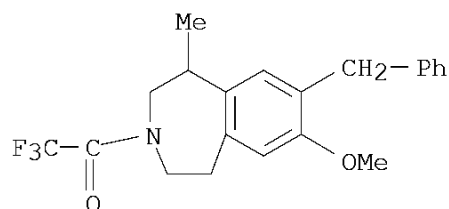
Absolute stereochemistry.

10/560,953



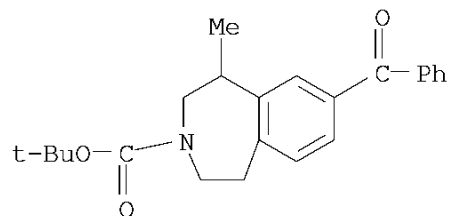
RN 851477-86-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(phenylmethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 851478-23-4 CAPLUS

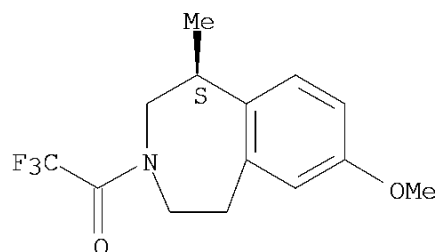
CN 3H-3-Benzazepine-3-carboxylic acid, 8-benzoyl-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 851478-26-7 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

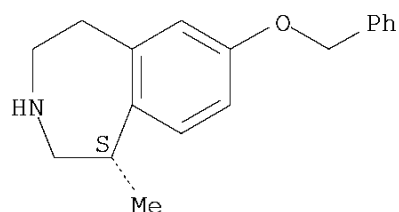
Absolute stereochemistry.



RN 851478-30-3 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-, (1S)-
(CA INDEX NAME)

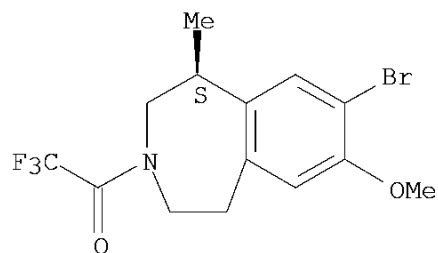
Absolute stereochemistry.



RN 851478-34-7 CAPLUS

CN Ethanone, 1-[(1S)-8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



IT 616202-81-4P, (S)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 851477-54-8P,
 (S)-N-tert-Butoxycarbonyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-61-7P,
 (S)-8-(Furan-2-yl)-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepine-3-carboxylic acid tert-butyl ester 851477-62-8P,
 (S)-5-Methyl-1,2,4,5-tetrahydrobenzo[d]azepine-3,7-dicarboxylic acid 3-tert-butyl ester 851477-68-4P,
 (S)-8-(N-Methoxy-N-methylcarbamoyl)-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepine-3-carboxylic acid tert-butyl ester 851477-69-5P, (S)-8-Benzoyl-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepine-3-carboxylic acid tert-butyl ester 851477-71-9P, (S)-N-(Trifluoroethanoyl)-8-chloro-7-iodo-1-methyl-

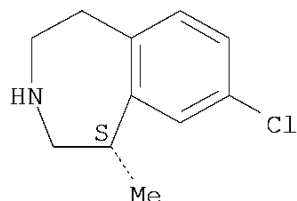
2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-72-0P,
 (S)-N-(Trifluoroethanoyl)-7-benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-77-5P,
 7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851477-83-3P, N-(Trifluoroacetyl)-8-benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851477-85-5P,
 N-(Trifluoroacetyl)-8-benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol 851477-88-8P,
 (S)-N-tert-Butoxycarbonyl-1-methyl-8-styryl-1,2,4,5-tetrahydro-3H-benzo[d]azepine 851478-20-1P,
 N-(Trifluoroacetyl)-7-methoxy-1-methyl-8-(1-phenylvinyl)-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-21-2P,
 [N-(Trifluoroacetyl)-8-methoxy-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]phenylmethanone 851478-25-6P,
 (S)-N-(Trifluoroethanoyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol 851478-27-8P, (S)-N-(Trifluoroethanoyl)-7-benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-28-9P,
 (S)-7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851478-33-6P,
 (S)-N-(Trifluoroethanoyl)-8-(3-fluorobenzyl)-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-35-8P,
 (S)-N-(Trifluoroethanoyl)-8-(3-fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT_{2C} receptor associated diseases like obesity)

RN 616202-81-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

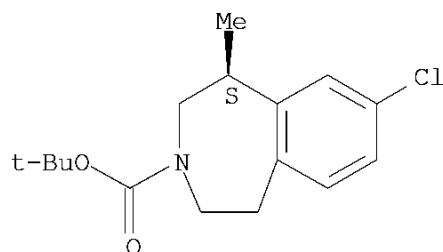


RN 851477-54-8 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

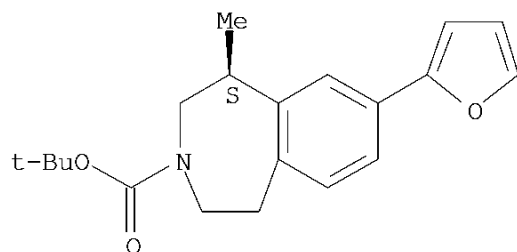
Absolute stereochemistry.

10/560,953



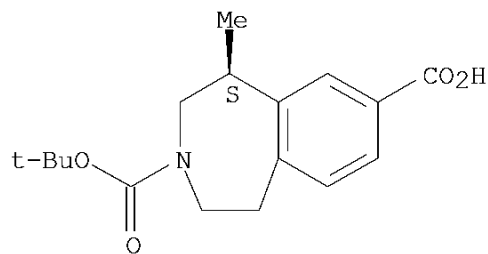
RN 851477-61-7 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid,
8-(2-furanyl)-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1S)-
(CA INDEX NAME)

Absolute stereochemistry.



RN 851477-62-8 CAPLUS
CN 3H-3-Benzazepine-3,7-dicarboxylic acid, 1,2,4,5-tetrahydro-5-methyl-,
3-(1,1-dimethylethyl) ester, (5S)- (CA INDEX NAME)

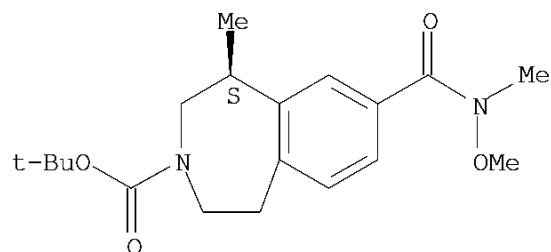
Absolute stereochemistry.



RN 851477-68-4 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid,
1,2,4,5-tetrahydro-8-[(methoxymethylamino)carbonyl]-1-methyl-,
1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

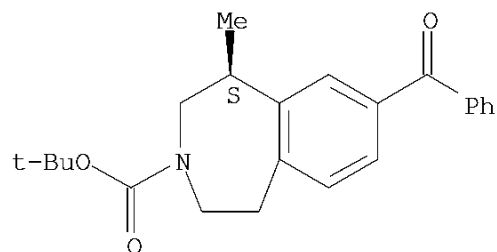
Absolute stereochemistry.

10/560,953



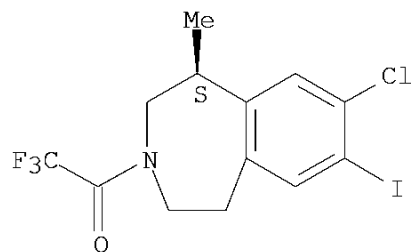
RN 851477-69-5 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid,
8-benzoyl-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1S)-
(CA INDEX NAME)

Absolute stereochemistry.



RN 851477-71-9 CAPLUS
CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-7-iodo-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

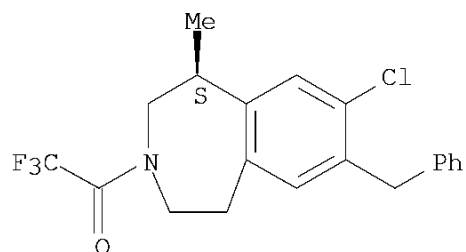
Absolute stereochemistry.



RN 851477-72-0 CAPLUS
CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

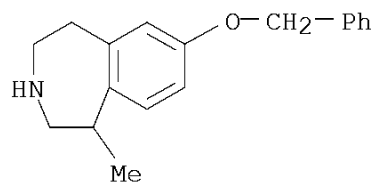
Absolute stereochemistry.

10/560,953



RN 851477-77-5 CAPLUS

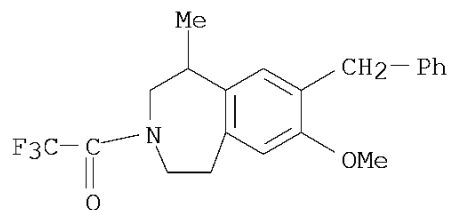
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 851477-83-3 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(phenylmethyl)-3H-3-benzazepin-3-yl]-, hydrochloride (1:1) (CA INDEX NAME)

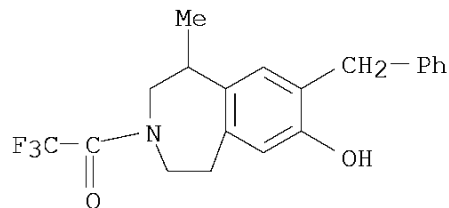


● HCl

RN 851477-85-5 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-hydroxy-1-methyl-8-(phenylmethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

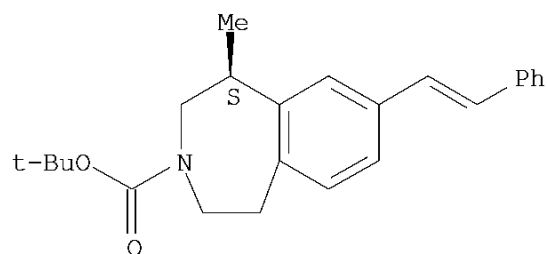
10/560,953



RN 851477-88-8 CAPLUS

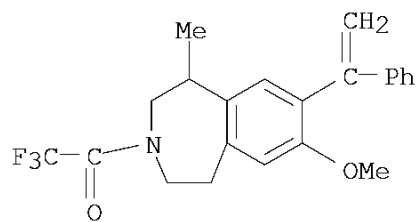
CN 3H-3-Benzazepine-3-carboxylic acid,
1,2,4,5-tetrahydro-1-methyl-8-(2-phenylethenyl)-, 1,1-dimethylethyl ester,
(1S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 851478-20-1 CAPLUS

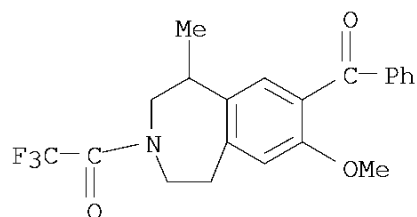
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(1-phenylethenyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 851478-21-2 CAPLUS

CN Ethanone, 1-(8-benzoyl-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

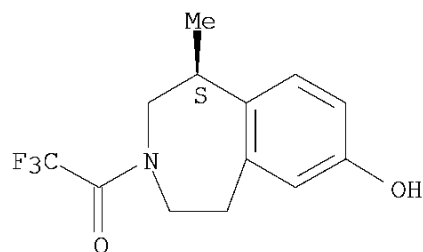
10/560,953



RN 851478-25-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

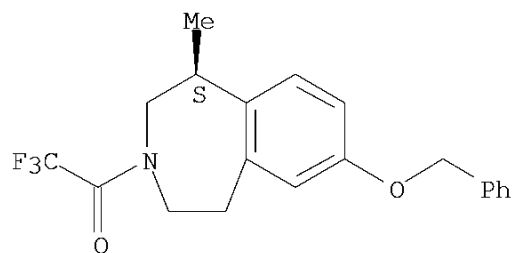
Absolute stereochemistry.



RN 851478-27-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

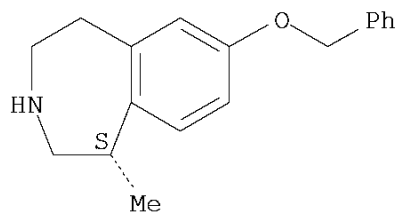


RN 851478-28-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

10/560,953

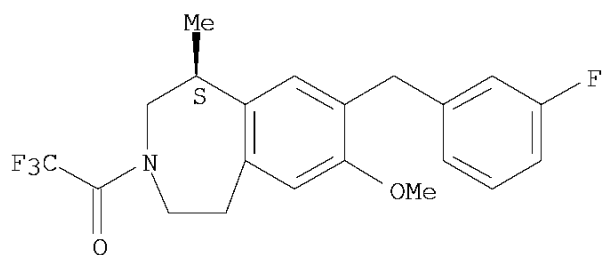


● HCl

RN 851478-33-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-8-[(3-fluorophenyl)methyl]-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

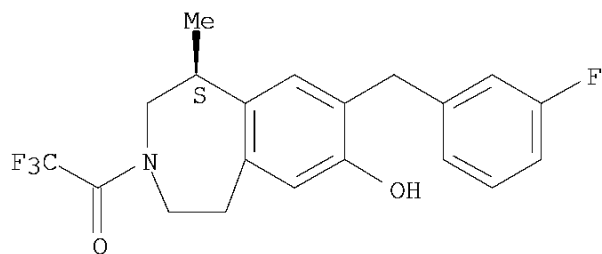
Absolute stereochemistry.



RN 851478-35-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-8-[(3-fluorophenyl)methyl]-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT:	7	THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT:	7	THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 26 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:409482 CAPLUS

DOCUMENT NUMBER: 142:463621

TITLE: Benzazepine derivatives, their preparation and use for prophylaxis or treatment of 5HT_{2C} receptor-associated diseases

INVENTOR(S): Smith, Brian; Schultz, Jeffrey; Gilson, Charles, III; Estrada, Scott

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

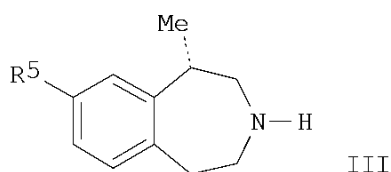
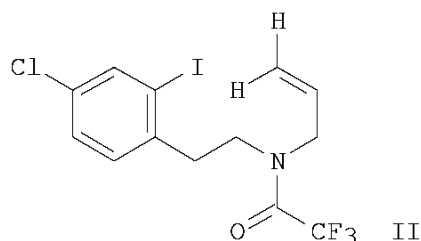
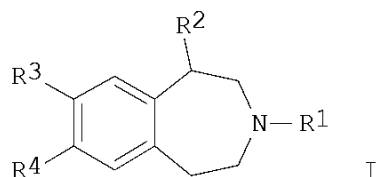
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005042490	A1	20050512	WO 2004-US34914	20041021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20070275949	A1	20071129	US 2006-573196	20060420
PRIORITY APPLN. INFO.:			US 2003-513894P	P 20031022
			WO 2004-US34914	W 20041021

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:463621; MARPAT 142:463621

GI



AB The invention relates to substituted 2,3,4,5-tetrahydro-3-benzazepine derivs. I, that are modulators of the 5HT_{2C} receptor. In compds. I, R₁ is H or C1-8 alkyl; R₂ is C1-8 alkyl; R₃ is H, aryl, arylalkyloxy, arylalkylamino, arylamino, or heteroaryl, where the N is optionally substituted and where the aryl is optionally substituted with up to two substituents selected from C1-8 alkyl, halo, perhaloalkyl, and alkoxy; R₄ is H, arylalkyloxy, alkoxy, or aryloxy; provided that at least one of R₃ and R₄ is other than H, etc. The invention also relates to the preparation of I, pharmaceutical compns. containing I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment of disorders involving 5HT_{2C} receptors. N-Protection of 4-chlorophenethylamine as the trifluoroacetamide followed by iodination and N-allylation resulted in the formation of II. II underwent intramol. Heck reaction followed by hydrogenation, separation of enantiomers, and deprotection to give III [R₅ = Cl; (S)-enantiomer shown], which, upon N-Boc-protection, substitution with benzylamine, and deprotection, produced III (R₅ = NHCH₂Ph) as the hydrochloride. Several compds. were tested for 5HT_{2C} agonist activity, with 12 of those having IC₅₀ values between 1 nM and 1.3 μM and several others below 10 μM. Some compds. of the invention have 3-10 times greater 5HT_{2C} agonist activity than 5HT_{2B} agonist activity.

IT 851478-28-9P, (S)-7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-34-8P
 851544-39-3P 851544-41-7P 851544-44-0P
 851544-47-3P 851544-49-5P 851544-51-9P
 851544-53-1P, 8-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-60-0P,
 (S)-1-Methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride
 851544-64-4P, 1-Methyl-7-(1-phenylethoxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-66-6P,
 1-Methyl-7-phenethyloxy-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-67-7P,
 1-Methyl-7-(3-phenylpropoxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-68-8P,
 8-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine

851544-73-5P, (R)-1-Methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-75-7P,
 7-Methoxy-1-methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-77-9P,
 (S)-8-(2-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-78-0P,
 (S)-8-(3-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-79-1P,
 (S)-8-(4-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-80-4P,
 (S)-8-(2,6-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-81-5P,
 (R)-8-(3-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-82-6P,
 (R)-8-(4-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-83-7P,
 (R)-8-(2,3-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-84-8P,
 (S)-8-(2,5-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-85-9P,
 (R)-1-Methyl-8-pyridin-3-yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-86-0P,
 1-Methyl-8-pyridin-2-yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-89-3P,
 7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-90-6P, 1-Methyl-7-(1-phenylethoxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-91-7P,
 1-Methyl-7-phenethyloxy-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-92-8P, 1-Methyl-7-(3-phenylpropoxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-93-9P,
 Benzyl[5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine 851544-94-0P, [5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl](1'-phenylethyl)amine 851544-95-1P,
 N-Benzyl-N-methyl[5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine 851544-96-2P, N-[5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]phenethylamine 851544-97-3P,
 N-[5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl](3-phenylpropyl)amine 851544-98-4P,
 N-[5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]phenylamine 851544-99-5P, 1-Methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-00-1P,
 7-Methoxy-1-methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-01-2P, 8-(2-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-02-3P,
 8-(3-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-03-4P, 8-(4-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-04-5P,
 8-(2,6-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-05-6P, 8-(2,3-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-06-7P,
 8-(2,5-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-07-8P, 1-Methyl-8-pyridin-3-yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-08-9P,
 1-Methyl-8-pyridin-2-yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

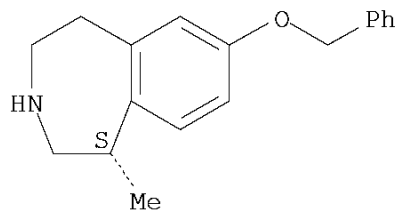
10/560,953

(drug candidate; preparation of benzazepine derivs. and use as 5HT_{2C} receptor agonists)

RN 851478-28-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

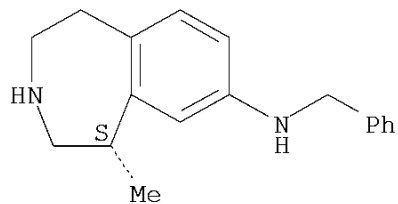


● HCl

RN 851544-34-8 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(phenylmethyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.



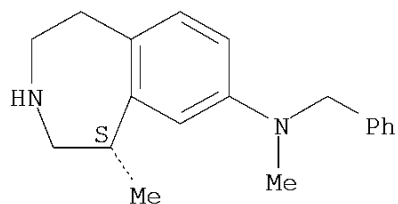
● HCl

RN 851544-39-3 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-N,5-dimethyl-N-(phenylmethyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

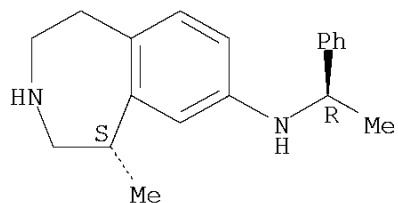
10/560,953



● HCl

RN 851544-41-7 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-[(1R)-1-phenylethyl]-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

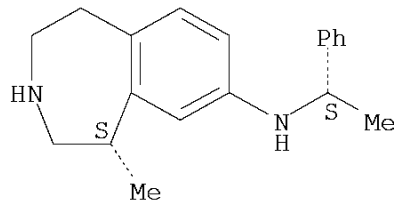
Absolute stereochemistry.



● HCl

RN 851544-44-0 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-[(1S)-1-phenylethyl]-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

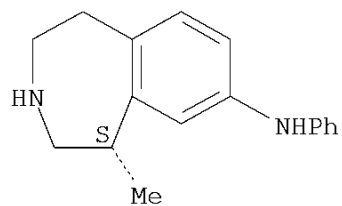


● HCl

RN 851544-47-3 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-phenyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

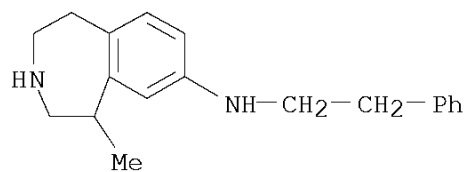
Absolute stereochemistry.

10/560,953



● HCl

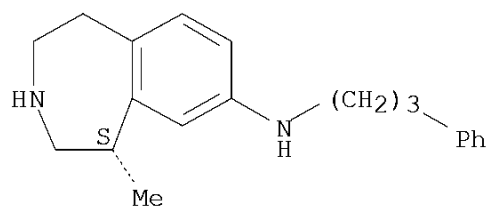
RN 851544-49-5 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(2-phenylethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 851544-51-9 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(3-phenylpropyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

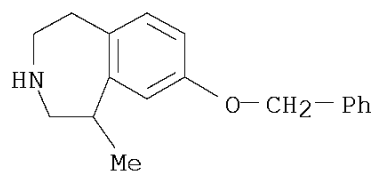
Absolute stereochemistry.



● HCl

RN 851544-53-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)

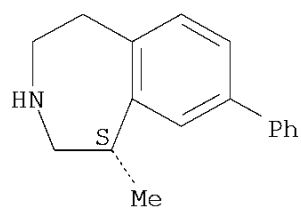
10/560,953



● HCl

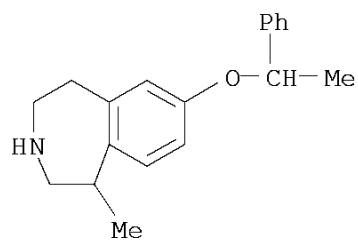
RN 851544-60-0 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-phenyl-, hydrochloride
(1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

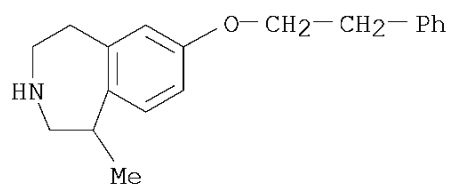
RN 851544-64-4 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-phenylethoxy)-,
hydrochloride (1:1) (CA INDEX NAME)



● HCl

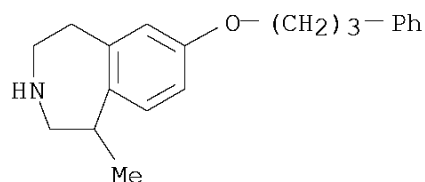
RN 851544-66-6 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(2-phenylethoxy)-,
hydrochloride (1:1) (CA INDEX NAME)

10/560,953



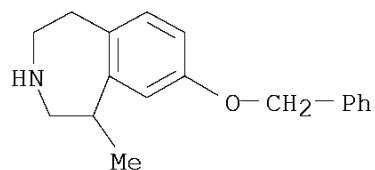
● HCl

RN 851544-67-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(3-phenylpropoxy)-,
hydrochloride (1:1) (CA INDEX NAME)



● HCl

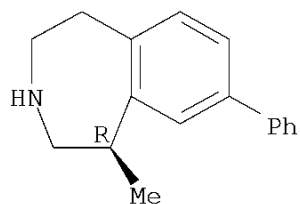
RN 851544-68-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethoxy)- (CA
INDEX NAME)



RN 851544-73-5 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-phenyl-, hydrochloride
(1:1), (1R)- (CA INDEX NAME)

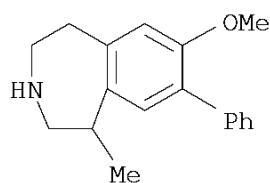
Absolute stereochemistry.

10/560,953



● HCl

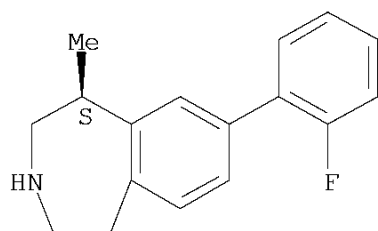
RN 851544-75-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-phenyl-,
hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 851544-77-9 CAPLUS
CN 1H-3-Benzazepine, 8-(2-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-,
hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

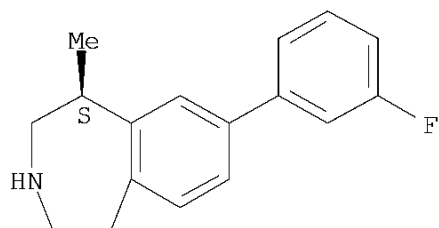


● HCl

RN 851544-78-0 CAPLUS
CN 1H-3-Benzazepine, 8-(3-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-,
hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

10/560,953

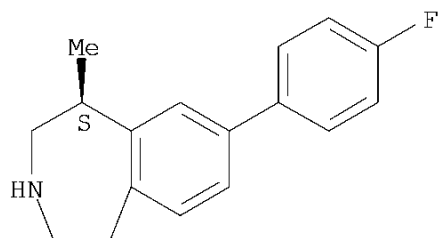


● HCl

RN 851544-79-1 CAPLUS

CN 1H-3-Benzazepine, 8-(4-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

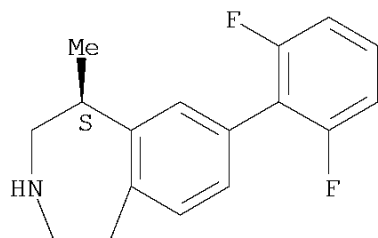


● HCl

RN 851544-80-4 CAPLUS

CN 1H-3-Benzazepine, 8-(2,6-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.



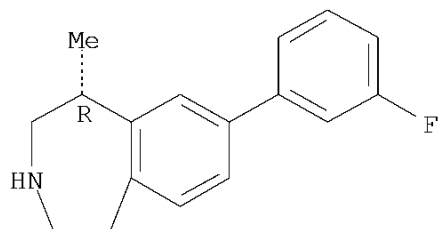
● HCl

10/560,953

RN 851544-81-5 CAPLUS

CN 1H-3-Benzazepine, 8-(3-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-,
hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

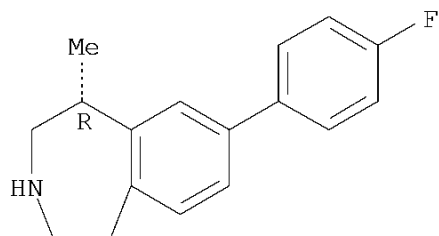


● HCl

RN 851544-82-6 CAPLUS

CN 1H-3-Benzazepine, 8-(4-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-,
hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



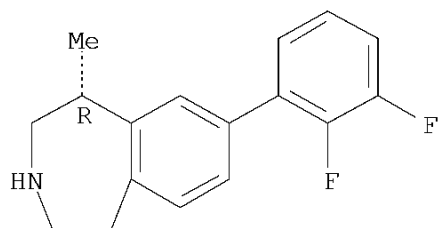
● HCl

RN 851544-83-7 CAPLUS

CN 1H-3-Benzazepine, 8-(2,3-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl-,
hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

10/560,953

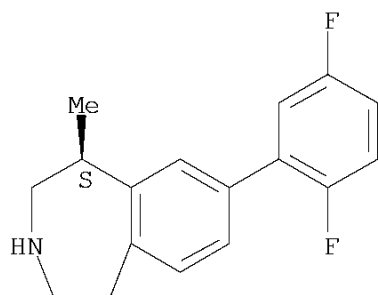


● HCl

RN 851544-84-8 CAPLUS

CN 1H-3-Benzazepine, 8-(2,5-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.



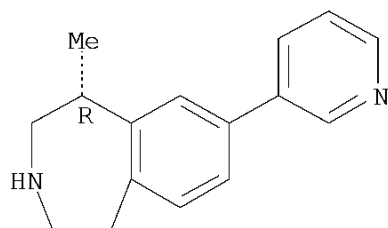
● HCl

RN 851544-85-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(3-pyridinyl)-, hydrochloride (1:?), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

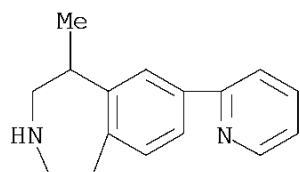
10/560,953



●x HCl

RN 851544-86-0 CAPLUS

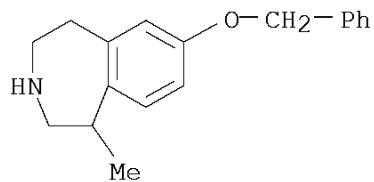
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-pyridinyl)-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

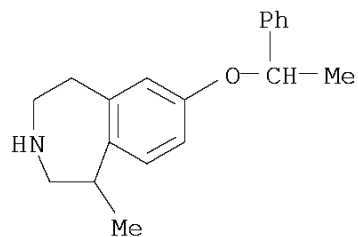
RN 851544-89-3 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)- (CA INDEX NAME)

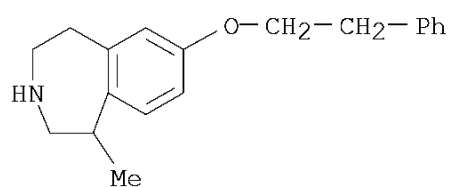


RN 851544-90-6 CAPLUS

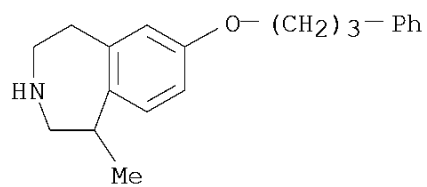
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-phenylethoxy)- (CA INDEX NAME)



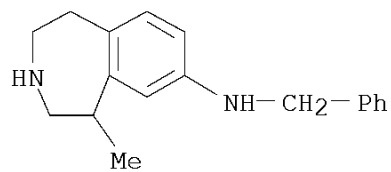
RN 851544-91-7 CAPLUS
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(2-phenylethoxy)- (CA INDEX NAME)



RN 851544-92-8 CAPLUS
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(3-phenylpropoxy)- (CA INDEX NAME)

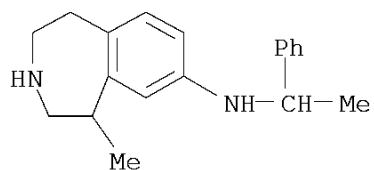


RN 851544-93-9 CAPLUS
 CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(phenylmethyl)- (CA INDEX NAME)



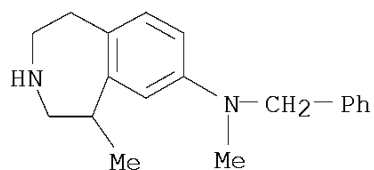
RN 851544-94-0 CAPLUS
 CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(1-phenylethyl)- (CA INDEX NAME)

10/560,953



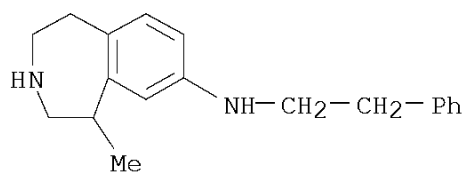
RN 851544-95-1 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-N,5-dimethyl-N-(phenylmethyl)-
(CA INDEX NAME)



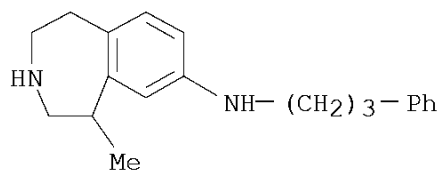
RN 851544-96-2 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(2-phenylethyl)-
(CA INDEX NAME)



RN 851544-97-3 CAPLUS

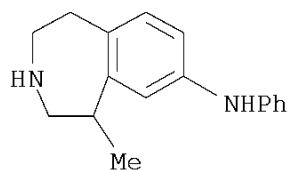
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(3-phenylpropyl)-
(CA INDEX NAME)



RN 851544-98-4 CAPLUS

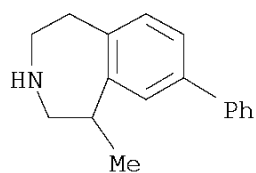
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-phenyl- (CA INDEX
NAME)

10/560,953



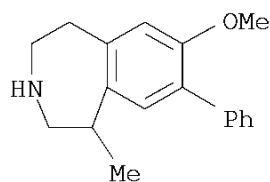
RN 851544-99-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-phenyl- (CA INDEX NAME)



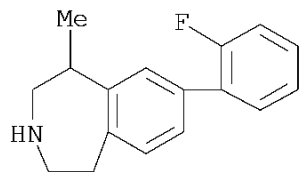
RN 851545-00-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-phenyl- (CA INDEX NAME)



RN 851545-01-2 CAPLUS

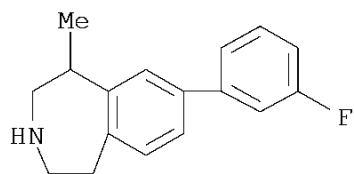
CN 1H-3-Benzazepine, 8-(2-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 851545-02-3 CAPLUS

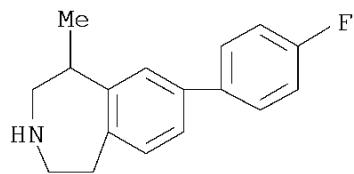
CN 1H-3-Benzazepine, 8-(3-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

10/560,953



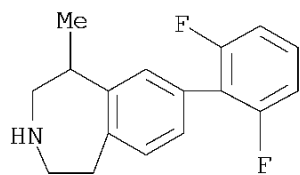
RN 851545-03-4 CAPLUS

CN 1H-3-Benzazepine, 8-(4-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



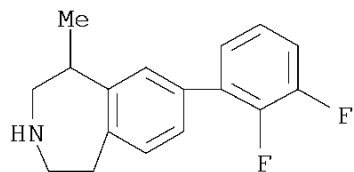
RN 851545-04-5 CAPLUS

CN 1H-3-Benzazepine, 8-(2,6-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



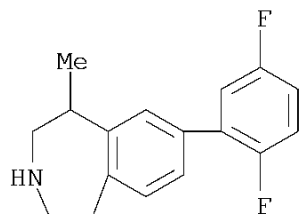
RN 851545-05-6 CAPLUS

CN 1H-3-Benzazepine, 8-(2,3-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

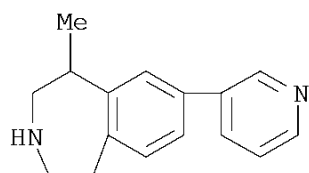


RN 851545-06-7 CAPLUS

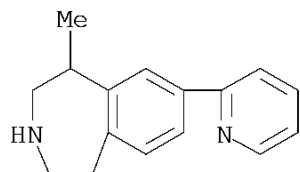
CN 1H-3-Benzazepine, 8-(2,5-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 851545-07-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(3-pyridinyl)- (CA INDEX NAME)



RN 851545-08-9 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-pyridinyl)- (CA INDEX NAME)



IT 616202-51-8P, N-Trifluoroacetyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-78-9P,
(S)-N-Trifluoroacetyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-81-4P,
(S)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
851477-54-8P, (S)-N-tert-Butoxycarbonyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-25-6P,
(S)-N-Trifluoroacetyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol
851478-27-8P, (S)-N-Trifluoroacetyl-7-benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-37-1P
851544-62-2P 851544-71-3P,
N-tert-Butoxycarbonyl-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol
851544-72-4P, N-tert-Butoxycarbonyl-8-benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-74-6P,
(R)-N-tert-Butoxycarbonyl-1-methyl-8-phenyl-1,2,4,5-tetrahydrobenzo[d]azepine 851544-76-8P,
N-Trifluoroacetyl-7-Methoxy-1-methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-87-1P, Trifluoromethanesulfonic acid
N-tert-butoxycarbonyl-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-8-yl ester 851544-88-2P, N-tert-Butoxycarbonyl-1-methyl-8-pyridin-2-

10/560,953

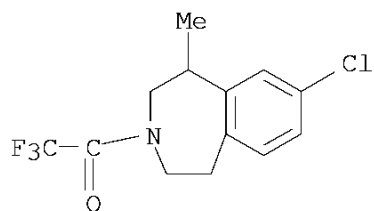
yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate; preparation of benzazepine derivs. and use as 5HT2C receptor
agonists)

RN 616202-51-8 CAPLUS

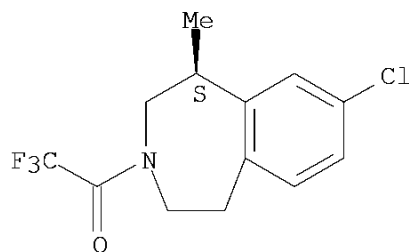
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-
2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-78-9 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

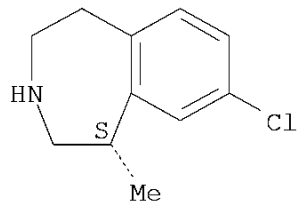
Absolute stereochemistry.



RN 616202-81-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

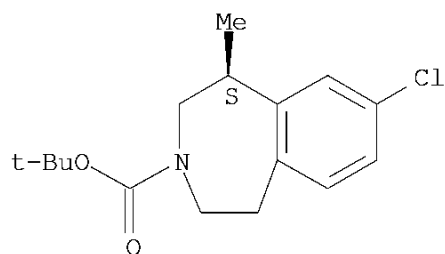


RN 851477-54-8 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-,
1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

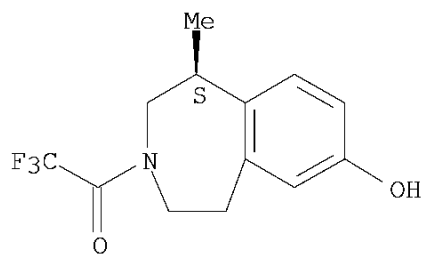
10/560,953



RN 851478-25-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

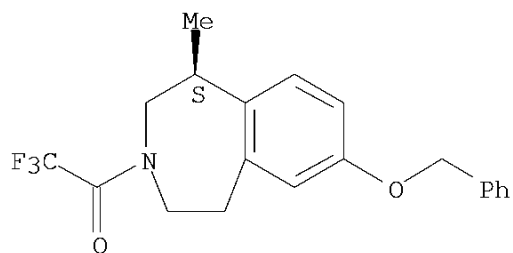
Absolute stereochemistry.



RN 851478-27-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

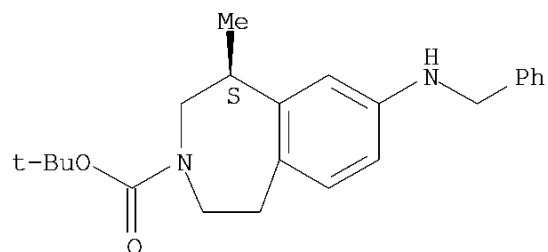


RN 851544-37-1 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-[(phenylmethyl)amino]-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

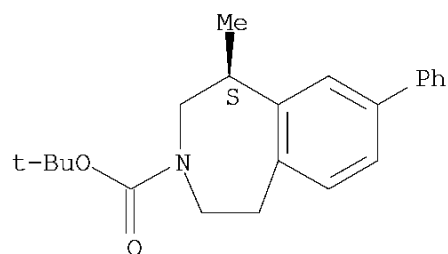
10/560,953



RN 851544-62-2 CAPLUS

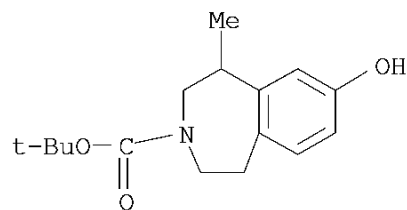
CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-phenyl-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 851544-71-3 CAPLUS

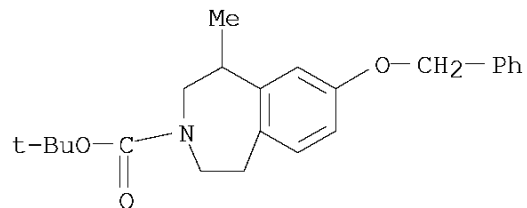
CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-8-hydroxy-1-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 851544-72-4 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-(phenylmethoxy)-, 1,1-dimethylethyl ester (CA INDEX NAME)

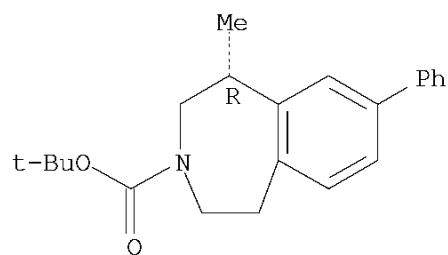
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RN 851544-74-6 CAPLUS

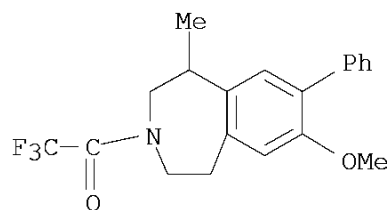
CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-phenyl-, 1,1-dimethylethyl ester, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



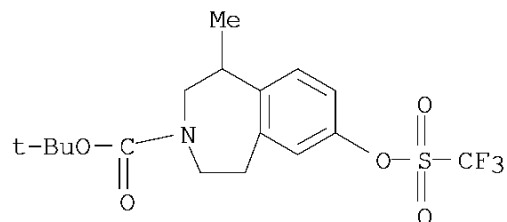
RN 851544-76-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-phenyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



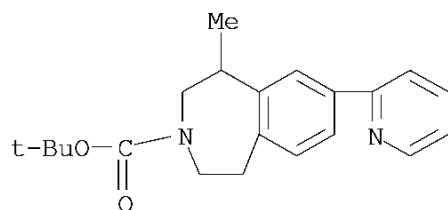
RN 851544-87-1 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-7-[[(trifluoromethyl) sulfonyl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



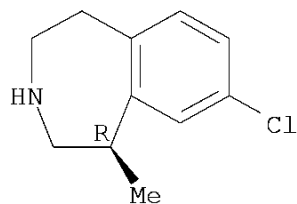
10/560,953

RN 851544-88-2 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid,
1,2,4,5-tetrahydro-1-methyl-8-(2-pyridinyl)-, 1,1-dimethylethyl ester (CA
INDEX NAME)

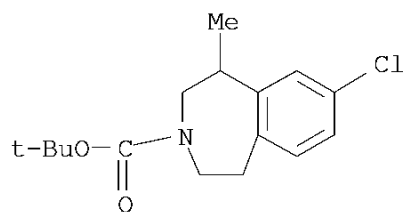


IT 616202-92-7 1019636-37-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzazepine derivs. and use as 5HT2C receptor agonists)
RN 616202-92-7 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX
NAME)

Absolute stereochemistry.



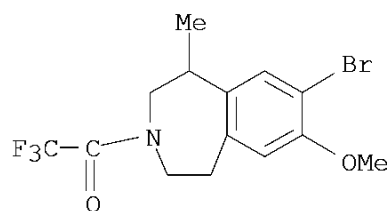
RN 1019636-37-3 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



IT 616202-12-1, N-Trifluoroacetyl-8-bromo-7-methoxy-1-methyl-
2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-82-2,
(R)-N-tert-Butoxycarbonyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-
benzo[d]azepine 851478-26-7,
(S)-N-Trifluoroacetyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-
benzo[d]azepine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of benzazepine derivs. and use as 5HT2C
receptor agonists)
RN 616202-12-1 CAPLUS

10/560,953

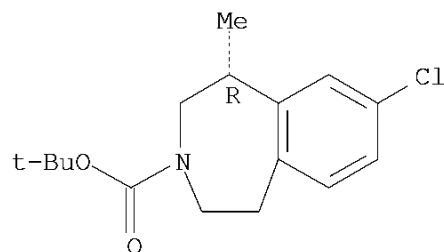
CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 851477-82-2 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1R)- (CA INDEX NAME)

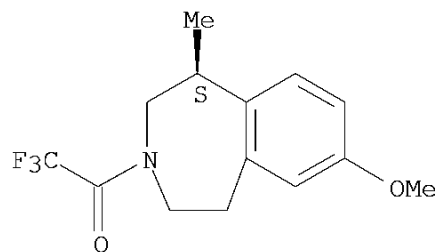
Absolute stereochemistry.



RN 851478-26-7 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT:	5	THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT:	3	THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 27 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:182631 CAPLUS

DOCUMENT NUMBER: 142:280072

TITLE: Processes for preparing 3-benzazepines

INVENTOR(S): Burbaum, Beverly W.; Gilson, Charles A., III; Aytes, Shelley; Estrada, Scott A.; Sengupta, Dipanjan; Smith, Brian; Rey, Max; Weigl, Ulrich

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 143 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

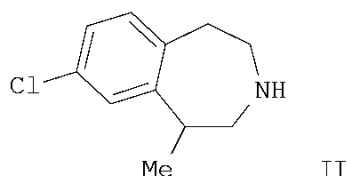
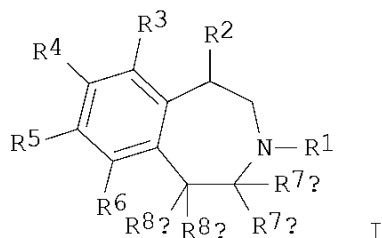
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019179	A2	20050303	WO 2004-US19279	20040616
WO 2005019179	A3	20050804		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004267016	A1	20050303	AU 2004-267016	20040616
CA 2529401	A1	20050303	CA 2004-2529401	20040616
EP 1636191	A2	20060322	EP 2004-801895	20040616
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			
CN 1805939	A	20060719	CN 2004-80016780	20040616
BR 2004011613	A	20060808	BR 2004-11613	20040616
JP 2007521269	T	20070802	JP 2006-517336	20040616
CN 101274911	A	20081001	CN 2008-10007314	20040616
EP 2189448	A1	20100526	EP 2009-12098	20040616
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK			
MX 2005013364	A	20060317	MX 2005-13364	20051208
KR 2006023163	A	20060313	KR 2005-724265	20051216
IN 2006KN00112	A	20070316	IN 2006-KN112	20060113
IN 239191	A1	20100312		
US 20080045502	A1	20080221	US 2007-560953	20070426
IN 2009KN00311	A	20090508	IN 2009-KN311	20090121
PRIORITY APPLN. INFO.:			US 2003-479280P	P 20030617
			US 2003-512967P	P 20031021
			CN 2004-80016780	A3 20040616
			EP 2004-801895	A3 20040616
			WO 2004-US19279	W 20040616
			IN 2006-KN112	A3 20060113

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:280072; MARPAT 142:280072

GI



AB A process for the preparation of 3-benzazepines I [R1 = H; R2 = alkyl, alkoxy, carboxy, etc.; R3-6 = H, halo, alk(en/yn)yl, etc.; R7a-7b = H, halo, alk(en/yn)yl, etc.; R8a-8b = H, halo, alk(en/yn)yl, etc.] is disclosed. For instance, 2-(4-chlorophenyl)ethylamine is acylated with 2-chloropropionyl chloride (CH3CN, Et3N). The resulting amide is cyclized in the presence of a metal hydride, e.g., AlCl3 to the corresponding benzazepin-2-one. Reduction of this amide is accomplished with BH3 in THF to give II. Alternative, but similar procedures are provided and there are examples of resolution of the final product by formation of the L-tartaric acid salts. I are useful as serotonin (5-HT) receptor agonists [no data] for the treatment of, e.g., central nervous system disorders such as obesity.

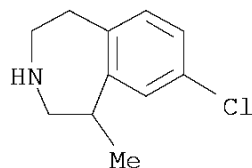
IT 616201-80-0P, 8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(processes for preparing 3-benzazepines as 5-HT receptor agonists)

RN 616201-80-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



IT 846589-98-8P, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

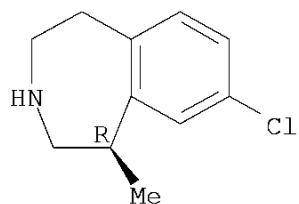
(processes for preparing 3-benzazepines as 5-HT receptor agonists)

RN 846589-98-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

10/560,953



● HCl

IT 847063-12-1P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(processes for preparing 3-benzazepines as 5-HT receptor agonists)

RN 847063-12-1 CAPLUS

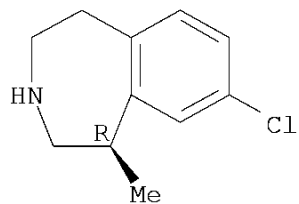
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (CA INDEX NAME)

CM 1

CRN 616202-92-7

CMF C11 H14 Cl N

Absolute stereochemistry.

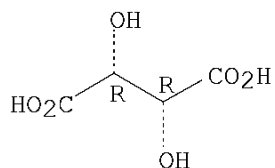


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



IT 616202-92-7, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine

RL: RCT (Reactant); RACT (Reactant or reagent)

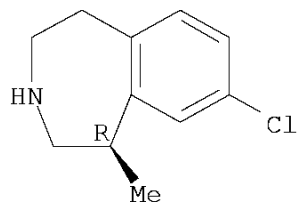
10/560,953

(processes for preparing 3-benzazepines as 5-HT receptor agonists)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT:	1	THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT:	4	THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 28 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:29313 CAPLUS

DOCUMENT NUMBER: 142:134482

TITLE: A preparation of benzazepine derivatives, useful as 5HT_{2C} receptor modulators

INVENTOR(S): Smith, Brian; Gilson, Charles, III; Schultz, Jeffrey; Smith, Jeffrey

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

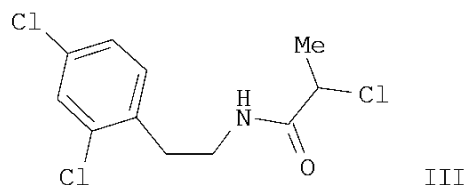
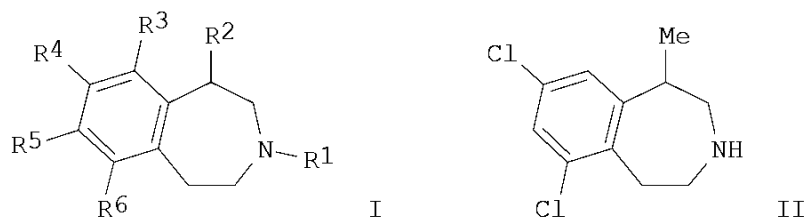
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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WO 2005003096	A1	20050113	WO 2004-US19670	20040616
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004253888	A1	20050113	AU 2004-253888	20040616
CA 2529320	A1	20050113	CA 2004-2529320	20040616
EP 1633720	A1	20060315	EP 2004-776811	20040616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004011470	A	20060711	BR 2004-11470	20040616
CN 1805938	A	20060719	CN 2004-80016773	20040616
JP 2007516941	T	20070628	JP 2006-517455	20040616
CN 101274911	A	20081001	CN 2008-10007314	20040616
EP 2189448	A1	20100526	EP 2009-12098	20040616
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK				
MX 2005013366	A	20060405	MX 2005-13366	20051208
ZA 2005010194	A	20070228	ZA 2005-10194	20051214
ZA 2005010255	A	20061227	ZA 2005-10255	20051215
KR 2006023156	A	20060313	KR 2005-724149	20051216
IN 2006KN00117	A	20070622	IN 2006-KN117	20060113
US 20070142357	A1	20070621	US 2006-561071	20060526
US 7704993	B2	20100427		
IN 2009KN00155	A	20090501	IN 2009-KN155	20090113
US 20100173894	A1	20100708	US 2010-729026	20100322
PRIORITY APPLN. INFO.:			US 2003-479280P	P 20030617
			US 2003-512967P	P 20031021
			CN 2004-80016780	A3 20040616
			EP 2004-801895	A3 20040616
			WO 2004-US19670	W 20040616
			IN 2006-KN117	A3 20060113
			US 2006-561071	A1 20060526

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S) : MARPAT 142:134482
 GI



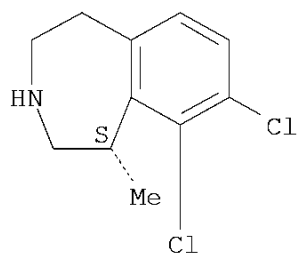
AB The invention relates to a preparation of benzazepine derivs. of formula I [wherein: R1 is H or alkyl; R2 is alkyl, CH2O-alkyl, haloalkyl, or CH2OH; R3, R4, R5, and R6 are independently selected from H, alkyl, amino, CN, or nitro, etc.], useful as 5HT2C receptor modulators. For instance, benzazepine derivative II (5HT2C, IP accumulation assay, IC50 = 11.7 nM) was prepared via heterocyclization of 2-chloropropionamide derivative III and subsequent reduction

IT 824430-72-0P 824430-76-4P 824430-80-0P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of benzazepine derivs. useful as 5HT2C receptor modulators)

RN 824430-72-0 CAPLUS

CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

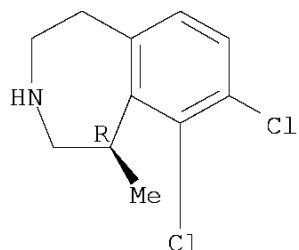


10/560,953

RN 824430-76-4 CAPLUS

CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

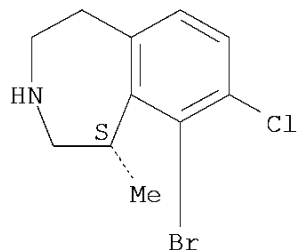
Absolute stereochemistry.



RN 824430-80-0 CAPLUS

CN 1H-3-Benzazepine, 9-bromo-8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 824430-66-2P 824430-68-4P 824430-69-5P

824430-71-9P 824430-74-2P 824430-82-2P

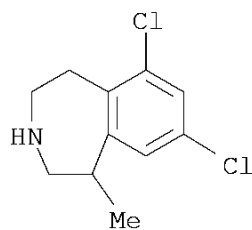
824430-83-3P 824430-84-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzazepine derivs. useful as 5HT_{2C} receptor modulators)

RN 824430-66-2 CAPLUS

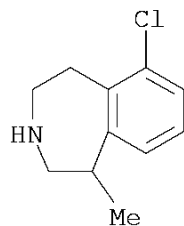
CN 1H-3-Benzazepine, 6,8-dichloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 824430-68-4 CAPLUS

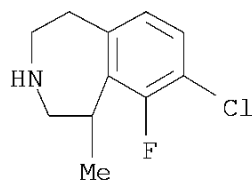
10/560,953

CN 1H-3-Benzazepine, 6-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



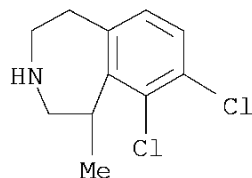
RN 824430-69-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-9-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 824430-71-9 CAPLUS

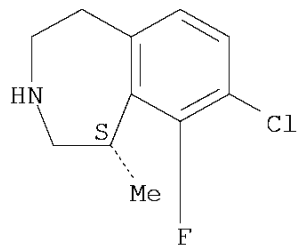
CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 824430-74-2 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-9-fluoro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

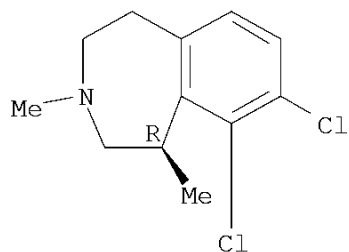


RN 824430-82-2 CAPLUS

10/560,953

CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1R)-
(CA INDEX NAME)

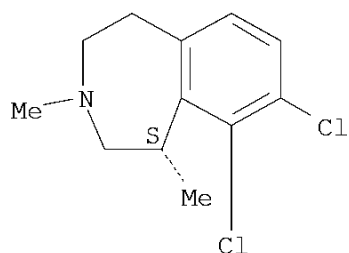
Absolute stereochemistry.



RN 824430-83-3 CAPLUS

CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1S)-
(CA INDEX NAME)

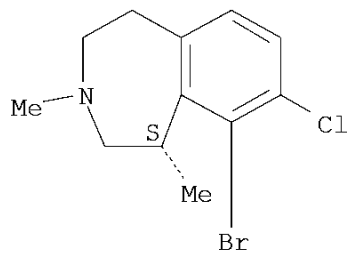
Absolute stereochemistry.



RN 824430-84-4 CAPLUS

CN 1H-3-Benzazepine, 9-bromo-8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1S)-
(CA INDEX NAME)

Absolute stereochemistry.



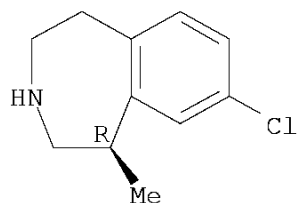
IT 616202-92-7P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzazepine derivs. useful as 5HT2C receptor modulators)

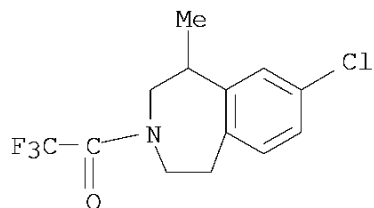
RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

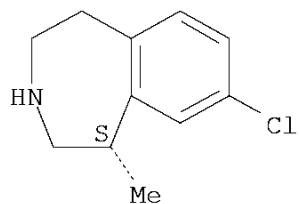


IT 616202-51-8 616202-81-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of benzazepine derivs. useful as 5HT2C receptor modulators)
 RN 616202-51-8 CAPLUS
 CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-
 2,2,2-trifluoro- (CA INDEX NAME)



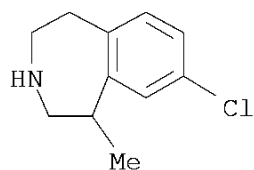
RN 616202-81-4 CAPLUS
 CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 616201-80-0P 616202-78-9P 616202-89-2P
 824430-70-8P 824430-73-1P 824430-75-3P
 824430-78-6P 824430-81-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of benzazepine derivs. useful as 5HT2C receptor modulators)
 RN 616201-80-0 CAPLUS
 CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

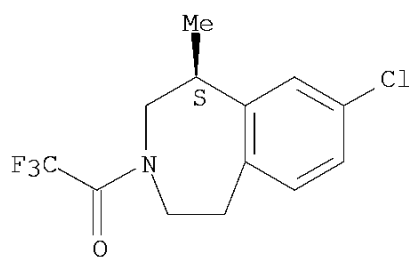
10/560,953



RN 616202-78-9 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

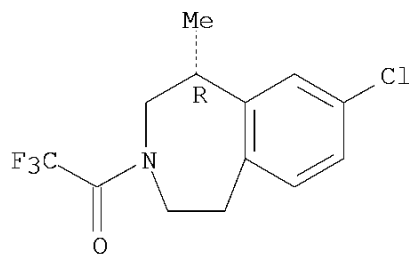
Absolute stereochemistry.



RN 616202-89-2 CAPLUS

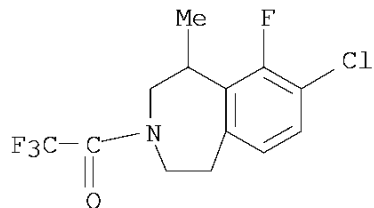
CN Ethanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



RN 824430-70-8 CAPLUS

CN Ethanone, 1-(8-chloro-9-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

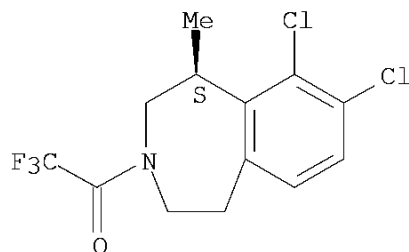


10/560,953

RN 824430-73-1 CAPLUS

CN Ethanone, 1-[(1S)-8,9-dichloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

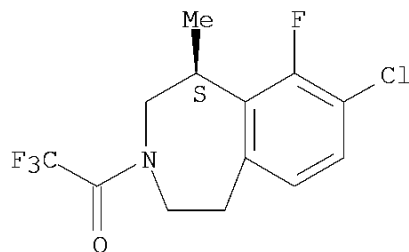
Absolute stereochemistry.



RN 824430-75-3 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-9-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



RN 824430-78-6 CAPLUS

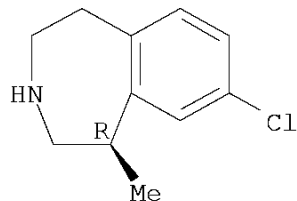
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 616202-92-7

CMF C11 H14 Cl N

Absolute stereochemistry.

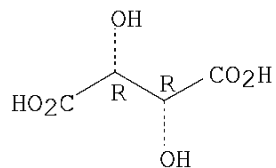


CM 2

10/560,953

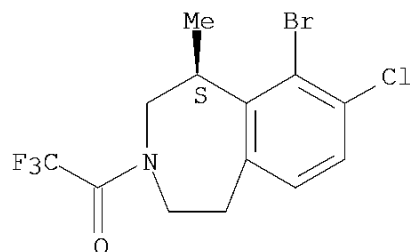
CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



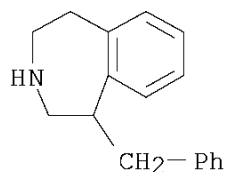
RN 824430-81-1 CAPLUS
CN Ethanone, 1-[(1S)-9-bromo-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

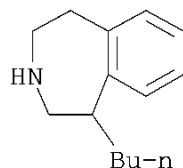


OS.CITING REF COUNT:	5	THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT:	4	THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 29 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2004:170817 CAPLUS
 DOCUMENT NUMBER: 140:417232
 TITLE: Synthesis and structure/NMDA receptor affinity relationships of 1-substituted tetrahydro-3-benzazepines
 AUTHOR(S): Krull, Olaf; Wunsch, Bernhard
 CORPORATE SOURCE: Institut für Pharmazeutische und Medizinische Chemie, Westfälische Wilhelms-Universität Münster, Münster, D-48149, Germany
 SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(6), 1439-1451
 CODEN: BMECEP; ISSN: 0968-0896
 PUBLISHER: Elsevier Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 140:417232
 AB A novel synthesis of 1-substituted tetrahydro-1H-3-benzazepines is described. Starting with (2-bromophenyl)acetaldehyde acetal, the nitrostyrene was prepared in three steps allowing the addition of various nucleophiles to yield the nitroacetals. The one-pot Zn/HCl reductive cyclization of the nitroacetals provided the 3-benzazepines, which were investigated for their affinity to the phencyclidine binding site of the NMDA receptor. A one-atomic spacer between the 3-benzazepine system and the Ph residue in position 1 seems to be favorable for high NMDA receptor binding. In this series the benzazepine substituted with the conformationally restricted and H-bond accepting acetanilide substituent in position 1 displays the highest NMDA receptor affinity ($K_i=89$ nM).
 IT 691899-63-5P 691899-93-1P 691899-98-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (synthesis and structure/NMDA receptor affinity relationships of 1-substituted tetrahydro-3-benzazepines)
 RN 691899-63-5 CAPLUS
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-(phenylmethyl)- (CA INDEX NAME)



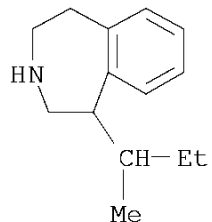
RN 691899-93-1 CAPLUS
 CN 1H-3-Benzazepine, 1-butyl-2,3,4,5-tetrahydro- (CA INDEX NAME)



10/560,953

RN 691899-98-6 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-(1-methylpropyl)- (CA INDEX NAME)



OS.CITING REF COUNT:	9	THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
REFERENCE COUNT:	20	THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 30 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2003:836783 CAPLUS
 DOCUMENT NUMBER: 139:337897
 TITLE: Preparation of benzazepines as 5HT2C receptor
 modulators
 INVENTOR(S): Smith, Jeffrey; Smith, Brian
 PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 96 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086306	A2	20031023	WO 2003-US11076	20030411
WO 2003086306	A3	20040219		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20030225057	A1	20031204	US 2003-410991	20030410
US 6953787	B2	20051011		
CA 2481723	A1	20031023	CA 2003-2481723	20030411
CA 2481723	C	20080219		
AU 2003221866	A1	20031027	AU 2003-221866	20030411
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BR 2003009303	A	20050426	BR 2003-9303	20030411
AT 294781	T	20050515	AT 2003-718323	20030411
CN 1646493	A	20050727	CN 2003-808272	20030411
CN 100486967	C	20090513		
EP 1557409	A1	20050727	EP 2005-2866	20030411
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JP 2005527579	T	20050915	JP 2003-583332	20030411
JP 4155926	B2	20080924		
PT 1411881	E	20050930	PT 2003-718323	20030411
ES 2242165	T3	20051101	ES 2003-718323	20030411
TW 252105	B	20060401	TW 2003-92108353	20030411
NZ 535381	A	20060728	NZ 2003-535381	20030411
RU 2317982	C2	20080227	RU 2004-133068	20030411
CN 101486677	A	20090722	CN 2009-10000190	20030411
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CN 101485664	A	20090722	CN 2009-10000193	20030411
US 20050020573	A1	20050127	US 2004-917979	20040813

US 7514422	B2	20090407		
HK 1064095	A1	20050916	HK 2004-106830	20040909
IN 2004KN01415	A	20060512	IN 2004-KN1415	20040923
IN 215528	A1	20080229		
MX 2004009965	A	20050930	MX 2004-9965	20041011
KR 812925	B1	20080311	KR 2004-716198	20041011
ZA 2004008506	A	20060628	ZA 2004-8506	20041020
NO 2004004928	A	20041213	NO 2004-4928	20041111
NO 323528	B1	20070604		
JP 2006143751	A	20060608	JP 2006-58747	20060303
JP 4191741	B2	20081203		
US 20070060568	A1	20070315	US 2006-599050	20061114
IN 2007KN02412	A	20070824	IN 2007-KN2412	20070629
KR 2008009340	A	20080128	KR 2008-700551	20080109
KR 908166	B1	20090716		
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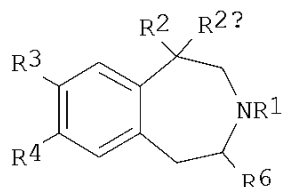
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US 2002-372058P	P	20020412
US 2002-405495P	P	20020823
US 2002-434607P	P	20021218
US 2003-410991	A	20030410
CN 2003-808272	A3	20030411
EP 2003-718323	A3	20030411
JP 2003-583332	A3	20030411
WO 2003-US11076	W	20030411
US 2004-917979	A1	20040813
IN 2004-KN1415	A3	20040923
KR 2004-716198	A3	20041011
JP 2006-58747	A3	20060303
KR 2008-700551	A3	20080109

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:337897

GI



I

AB The present invention relates to novel compds. I [R1 = H, C1-8-alkyl; R2 = C1-8-alkyl, CH2O-(C1-8-alkyl), C(:O)O-(C1-8-alkyl), C(:O)NH(C1-8-alkyl), OH, CH2OH; R2a = H; R2R2a = CH2CH2; R3, R4 = H, halo, perhaloalkyl, CN, OR5, SR5, NHR5, N(R5)2, OH, (un)substituted aryl (up to 2 substituents selected from C1-8-alkyl, halo, perhaloalkyl, alkoxy), (un)substituted heteroaryl (up to 2 substituents selected from C1-8-alkyl, halo); R3C:CR4 = 5- or 6-membered O-containing heterocycle; R5 = C1-8-alkyl, C1-8-alkyl, aryl, heteroaryl, arylalkyl, heteroa; rylalkyl, perhaloalkyl, allyl; R6 = H, C1-8-alkyl; provided that: (A) if R1 = R3 = H and R2 = Me, then R4 ≠

thiazole; (B) if $R_6 \neq H$, then $R_3, R_4 \neq H$; (C) if $R_1 = R_2 = Me$ and $R_4 = H$, then $R_3 \neq NHR_5, N(R_5)_2$; (D) if $R_1 = R_2 = Me$ and $R_4 = H$, $R_3 \neq imidazole$; (E) if $R_1 = Me$ and $R_3 = OH$, then $R_2 \neq cyclopentyl, CH_2-cyclohexyl, cyclopropylmethyl, cyclohexyl$, or their pharmaceutically acceptable salts, solvates or hydrates, which act as 5HT_{2C} receptor modulators. Thus, I ($R_1 = R_{2a} = R_6 = H, R_2 = Me, R_3 = Br, R_4 = OMe$) was prepared from 3-MeOC₆H₄CH₂CH₂NH₂, via N-trifluoroacetylation, regioselective iodination, N-allylation, palladium-catalyzed cyclization, hydrogenation, regioselective bromination and deacetylation. These compds. are useful in pharmaceutical compns. whose use includes the treatment of obesity. Intracellular IP₃ accumulation assay ($IC_{50} = 4.2$ nM) and inhibition of food intake in food-deprived rats were used to test the bioactivity of I ($R_1 = R_{2a} = R_6 = H, R_2 = Me, R_3 = Br, R_4 = OMe$).

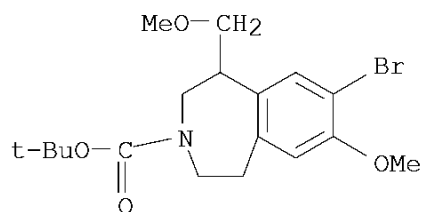
IT 616202-65-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and N-deprotection of; preparation of benzazepines as 5HT_{2C} receptor modulators)

RN 616202-65-4 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid,
8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-(methoxymethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 616202-78-9P 616202-89-2P

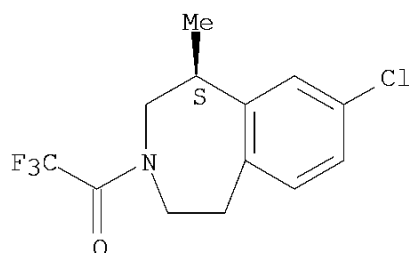
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and N-methylation or deacetylation of; preparation of benzazepines as 5HT_{2C} receptor modulators)

RN 616202-78-9 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

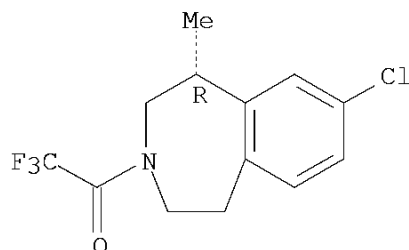
Absolute stereochemistry.



10/560,953

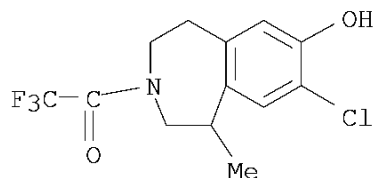
RN 616202-89-2 CAPLUS
CN Ethanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



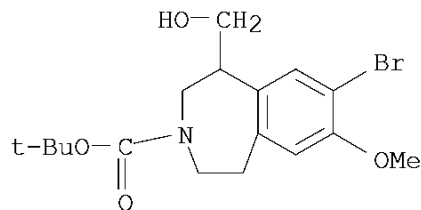
IT 616202-23-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and O-allylation of; preparation of benzazepines as 5HT2C receptor modulators)

RN 616202-23-4 CAPLUS
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



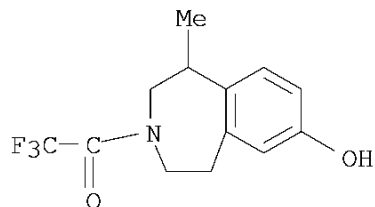
IT 616202-64-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and O-methylation of; preparation of benzazepines as 5HT2C receptor modulators)

RN 616202-64-3 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 8-bromo-1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-methoxy-, 1,1-dimethylethyl ester (CA INDEX NAME)

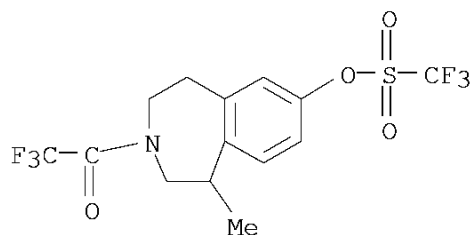


10/560,953

IT 616202-52-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and O-triflation of; preparation of benzazepines as 5HT2C
receptor
modulators)
RN 616202-52-9 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-
benzazepin-3-yl)- (CA INDEX NAME)



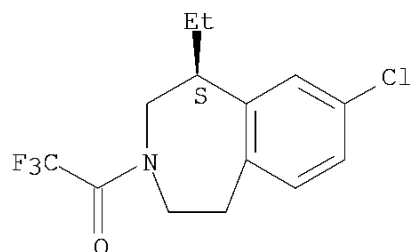
IT 616202-53-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and coupling reaction of; preparation of benzazepines as 5HT2C
receptor modulators)
RN 616202-53-0 CAPLUS
CN Methanesulfonic acid, 1,1,1-trifluoro-,
2,3,4,5-tetrahydro-1-methyl-3-(2,2,2-trifluoroacetyl)-1H-3-benzazepin-7-yl
ester (CA INDEX NAME)



IT 616202-80-3P 616202-91-6P 616202-94-9P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic
preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation of; preparation of benzazepines as 5HT2C
receptor
modulators)
RN 616202-80-3 CAPLUS
CN Ethanone, 1-[(1S)-8-chloro-1-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-
yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

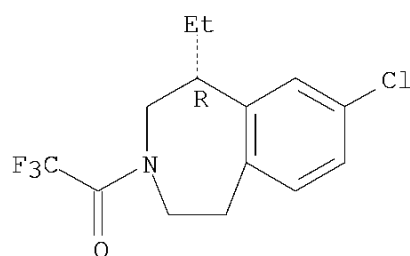
10/560,953



RN 616202-91-6 CAPLUS

CN Ethanone, 1-[(1R)-8-chloro-1-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

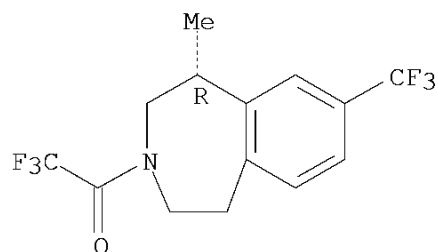
Absolute stereochemistry.



RN 616202-94-9 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1R)-1,2,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



IT	616202-16-5P	616202-17-6P	616202-18-7P
	616202-19-8P	616202-22-3P	616202-24-5P
	616202-25-6P	616202-26-7P	616202-30-3P
	616202-34-7P	616202-35-8P	616202-41-6P
	616202-55-2P	616202-56-3P	616202-57-4P
	616202-61-0P	616202-62-1P	616202-63-2P
	616202-68-7P		

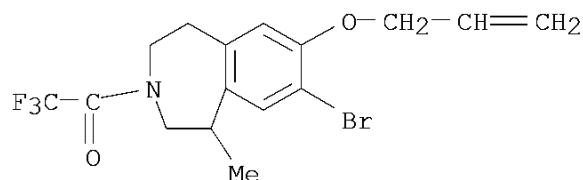
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation of; preparation of benzazepines as 5HT2C receptor

modulators)

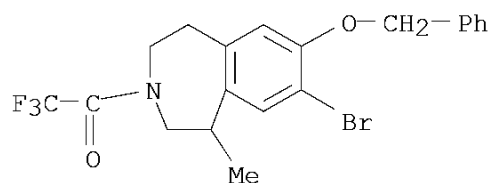
RN 616202-16-5 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



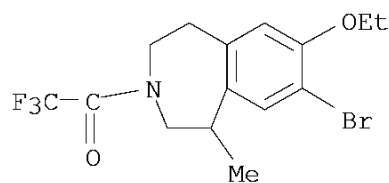
RN 616202-17-6 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



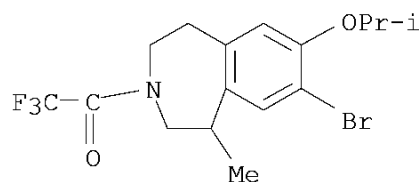
RN 616202-18-7 CAPLUS

CN Ethanone, 1-(8-bromo-7-ethoxy-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-19-8 CAPLUS

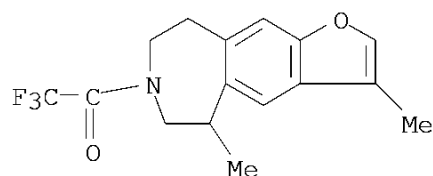
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(1-methylethoxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-22-3 CAPLUS

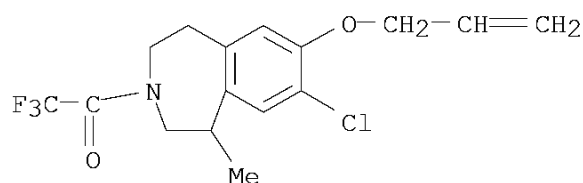
CN Ethanone, 2,2,2-trifluoro-1-(5,6,8,9-tetrahydro-3,5-dimethyl-7H-furo[2,3-h][3]benzazepin-7-yl)- (CA INDEX NAME)

10/560,953



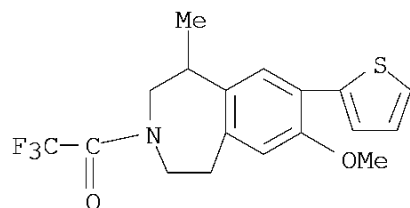
RN 616202-24-5 CAPLUS

CN Ethanone, 1-[8-chloro-1,2,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



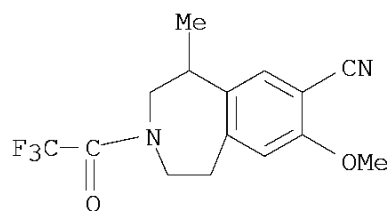
RN 616202-25-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-thienyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



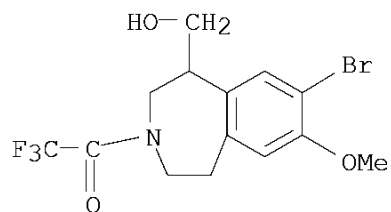
RN 616202-26-7 CAPLUS

CN 1H-3-Benzazepine-7-carbonitrile, 2,3,4,5-tetrahydro-8-methoxy-5-methyl-3-(2,2,2-trifluoroacetyl)- (CA INDEX NAME)



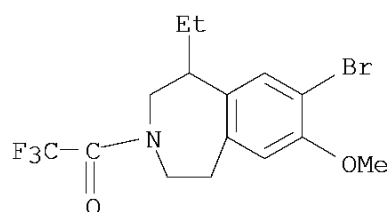
RN 616202-30-3 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-methoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



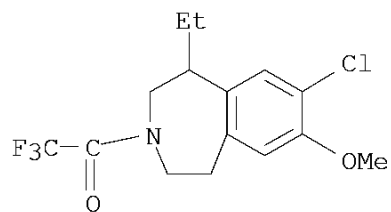
RN 616202-34-7 CAPLUS

CN Ethanone, 1-(8-bromo-1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



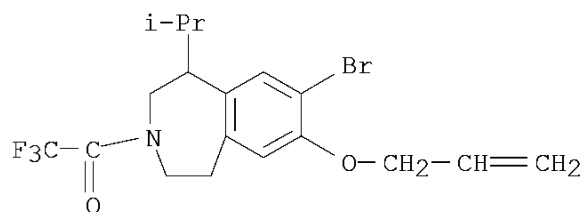
RN 616202-35-8 CAPLUS

CN Ethanone, 1-(8-chloro-1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



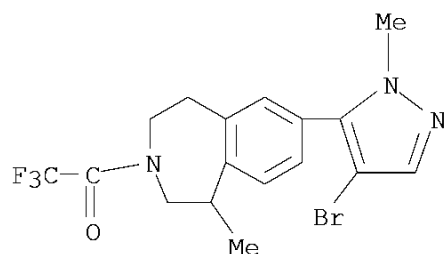
RN 616202-41-6 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-(1-methylethyl)-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



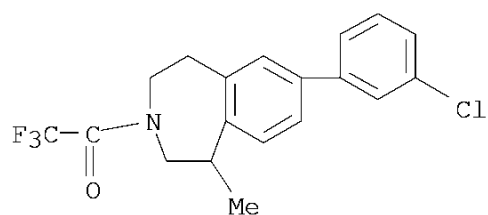
RN 616202-55-2 CAPLUS

CN Ethanone, 1-[7-(4-bromo-1-methyl-1H-pyrazol-5-yl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



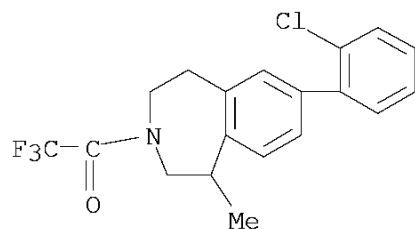
RN 616202-56-3 CAPLUS

CN Ethanone, 1-[7-(3-chlorophenyl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



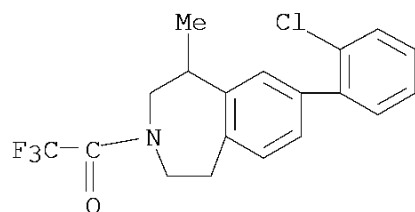
RN 616202-57-4 CAPLUS

CN Ethanone, 1-[7-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-61-0 CAPLUS

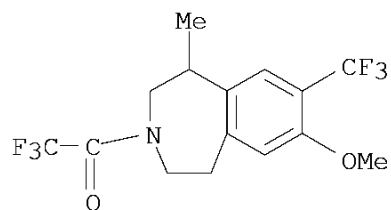
CN Ethanone, 1-[8-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-62-1 CAPLUS

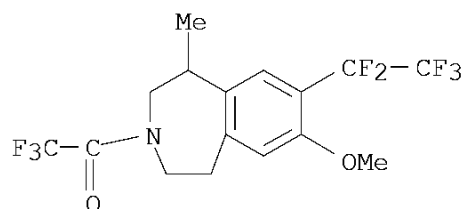
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-chlorophenyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

(trifluoromethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



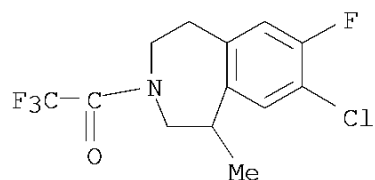
RN 616202-63-2 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(1,1,2,2,2-pentafluoroethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 616202-68-7 CAPLUS

CN Ethanone, 1-(8-chloro-7-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



IT 616202-15-4P

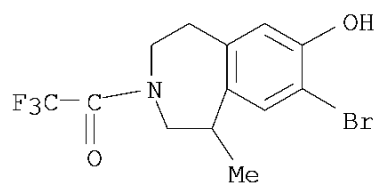
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation or -O-alkylation of; preparation of benzazepines

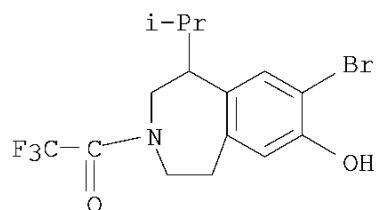
as 5HT2C receptor modulators)

RN 616202-15-4 CAPLUS

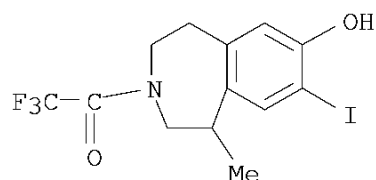
CN Ethanone, 1-(8-bromo-7-hydroxy-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



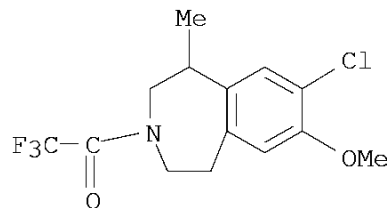
IT 616202-40-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and deacetylation or O-alkylation of; preparation of
 benzazepines as
 5HT2C receptor modulators)
 RN 616202-40-5 CAPLUS
 CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-7-hydroxy-1-(1-methylethyl)-3H-3-
 benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



IT 616202-20-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and deacetylation or O-allylation of; preparation of
 benzazepines as
 5HT2C receptor modulators)
 RN 616202-20-1 CAPLUS
 CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-hydroxy-8-iodo-1-methyl-
 3H-3-benzazepin-3-yl)- (CA INDEX NAME)

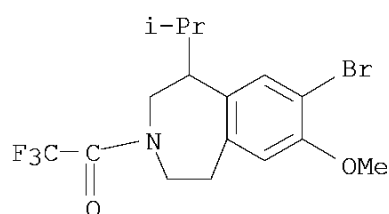


IT 616202-13-2P 616202-39-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and deacetylation or O-demethylation of; preparation of
 benzazepines
 as 5HT2C receptor modulators)
 RN 616202-13-2 CAPLUS
 CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-
 benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-39-2 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



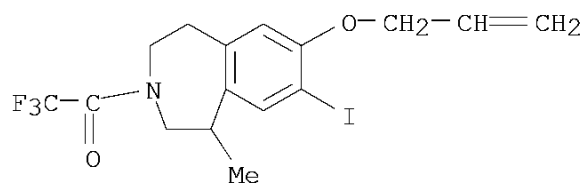
IT 616202-21-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation or palladium-catalyzed cyclization of; preparation of benzazepines as 5HT_{2C} receptor modulators)

RN 616202-21-2 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-8-iodo-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



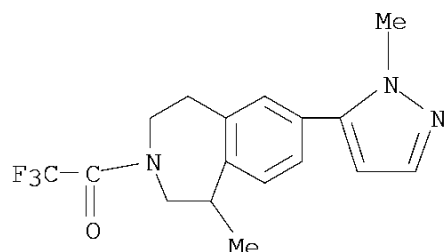
IT 616202-54-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

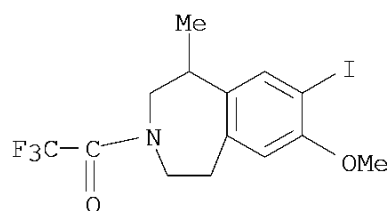
(preparation and deacetylation or regioselective bromination of; preparation of benzazepines as 5HT_{2C} receptor modulators)

RN 616202-54-1 CAPLUS

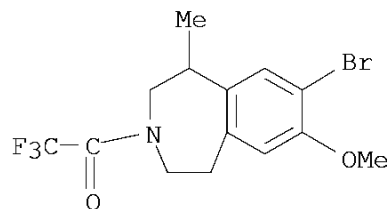
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-methyl-7-(1-methyl-1H-pyrazol-5-yl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



IT 616202-14-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and deacetylation, O-demethylation or coupling reactions of; preparation of benzazepines as 5HT2C receptor modulators)
 RN 616202-14-3 CAPLUS
 CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

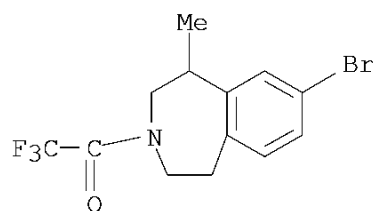


IT 616202-12-1P, N-(Trifluoroacetyl)-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and deacetylation, O-demethylation, cyanation or coupling reaction of; preparation of benzazepines as 5HT2C receptor modulators)
 RN 616202-12-1 CAPLUS
 CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



IT 616202-60-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and palladium-catalyzed coupling reactions of; preparation of benzazepines as 5HT2C receptor modulators)
 RN 616202-60-9 CAPLUS

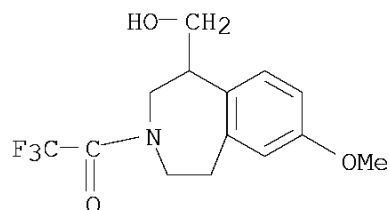
CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-
2,2,2-trifluoro- (CA INDEX NAME)



IT 616202-29-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and regioselective bromination of; preparation of benzazepines
as 5HT2C receptor modulators)

RN 616202-29-0 CAPLUS

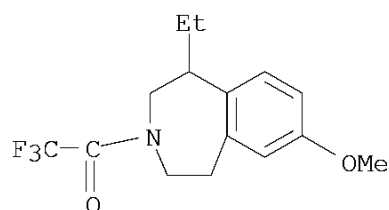
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-
methoxy-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



IT 616202-33-6P 616202-38-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and regioselective halogenation of; preparation of
benzazepines as 5HT2C receptor modulators)

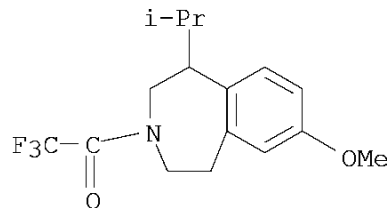
RN 616202-33-6 CAPLUS

CN Ethanone, 1-(1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-
2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-38-1 CAPLUS

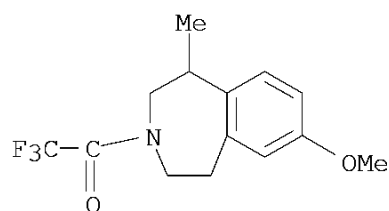
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-(1-
methylethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



IT 616202-11-0P, N-(Trifluoroacetyl)-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and regioselective halogenation or O-demethylation of; preparation of benzazepines as 5HT2C receptor modulators)

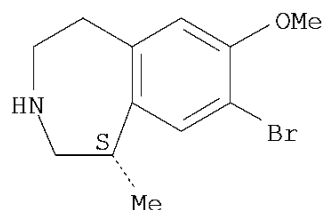
RN 616202-11-0 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



IT 616202-75-6P 616202-76-7P 616202-77-8P
 616202-79-0P 616202-86-9P 616202-87-0P
 616202-88-1P 616202-90-5P
 RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzazepines as 5HT2C receptor modulators)
 RN 616202-75-6 CAPLUS
 CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

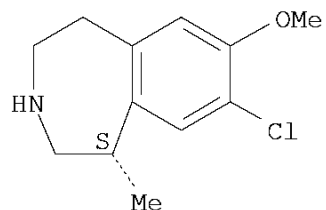


RN 616202-76-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1S)- (CA INDEX NAME)

10/560,953

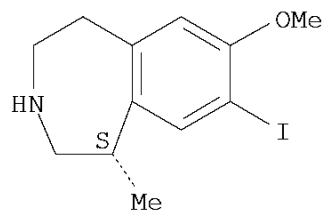
Absolute stereochemistry.



RN 616202-77-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-, (1S)-
(CA INDEX NAME)

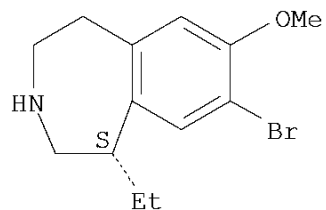
Absolute stereochemistry.



RN 616202-79-0 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy-, (1S)-
(CA INDEX NAME)

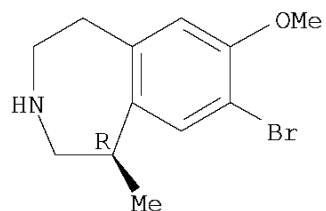
Absolute stereochemistry.



RN 616202-86-9 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1R)-
(CA INDEX NAME)

Absolute stereochemistry.

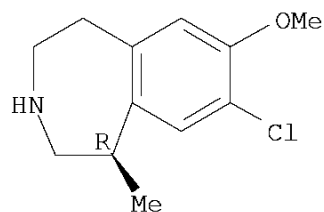


RN 616202-87-0 CAPLUS

10/560,953

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1R)-
(CA INDEX NAME)

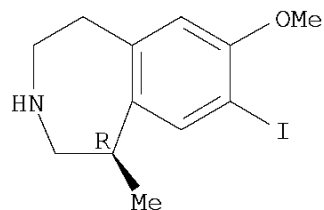
Absolute stereochemistry.



RN 616202-88-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-, (1R)-
(CA INDEX NAME)

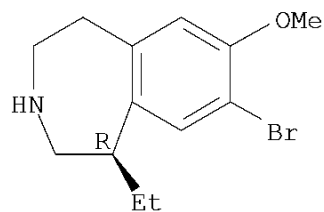
Absolute stereochemistry.



RN 616202-90-5 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy-, (1R)-
(CA INDEX NAME)

Absolute stereochemistry.



IT	616201-58-2P	616201-59-3P	616201-60-6P
	616201-61-7P	616201-62-8P	616201-63-9P
	616201-64-0P	616201-65-1P	616201-66-2P
	616201-67-3P	616201-68-4P	616201-69-5P
	616201-70-8P	616201-74-2P	616201-75-3P
	616201-76-4P	616201-77-5P	616201-81-1P
	616201-82-2P	616201-83-3P	616201-84-4P
	616201-85-5P	616201-86-6P	616201-87-7P
	616201-88-8P	616201-89-9P	616201-90-2P
	616201-91-3P	616201-92-4P	616201-93-5P
	616201-94-6P	616201-95-7P	616201-96-8P
	616201-97-9P	616201-98-0P	616201-99-1P
	616202-00-7P	616202-01-8P	616202-02-9P

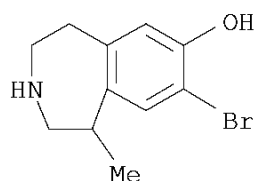
616202-03-0P	616202-04-1P	616202-05-2P
616202-06-3P	616202-07-4P	616202-08-5P
616202-69-8P	616202-70-1P	616202-71-2P
616202-72-3P	616202-73-4P	616202-74-5P
616202-81-4P	616202-82-5P	616202-84-7P
616202-85-8P	616202-92-7P	616202-93-8P
616202-95-0P	616202-96-1P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzazepines as 5HT_{2C} receptor modulators)

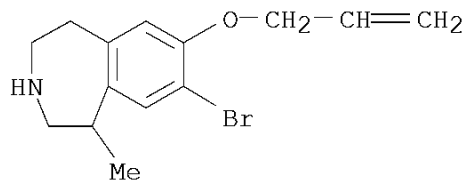
RN 616201-58-2 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-bromo-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



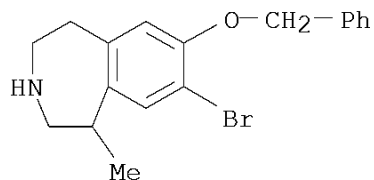
RN 616201-59-3 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)- (CA INDEX NAME)



RN 616201-60-6 CAPLUS

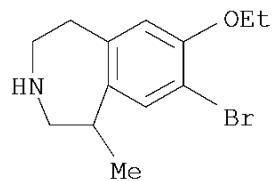
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)- (CA INDEX NAME)



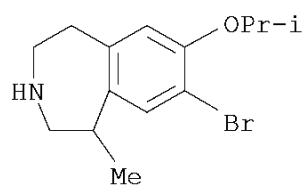
RN 616201-61-7 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-7-ethoxy-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

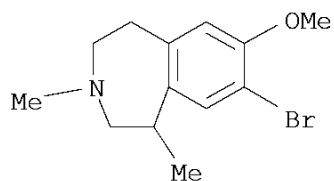
10/560,953



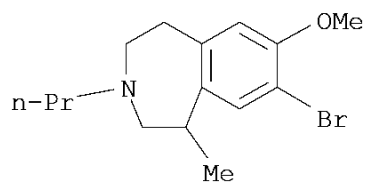
RN 616201-62-8 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(1-methylethoxy)-
(CA INDEX NAME)



RN 616201-63-9 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1,3-dimethyl- (CA
INDEX NAME)

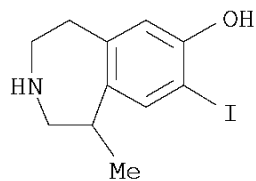


RN 616201-64-0 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-3-propyl-
(CA INDEX NAME)



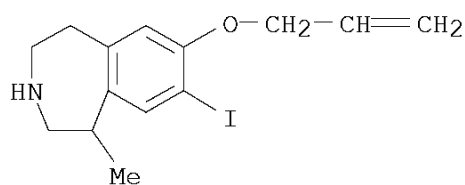
RN 616201-65-1 CAPLUS
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-8-iodo-1-methyl- (CA INDEX NAME)

10/560,953



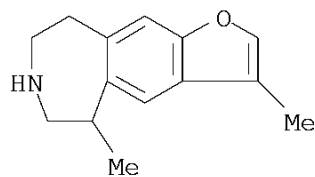
RN 616201-66-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl-7-(2-propen-1-yloxy)-
(CA INDEX NAME)



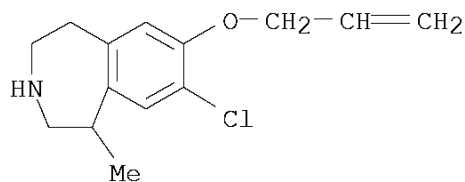
RN 616201-67-3 CAPLUS

CN 5H-Furo[2,3-h][3]benzazepine, 6,7,8,9-tetrahydro-3,5-dimethyl- (CA INDEX
NAME)



RN 616201-68-4 CAPLUS

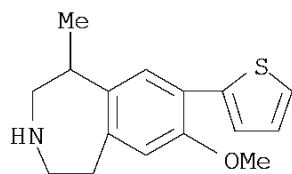
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-7-(2-propen-1-
yloxy)- (CA INDEX NAME)



RN 616201-69-5 CAPLUS

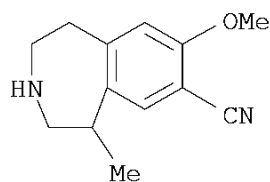
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-thienyl)-
(CA INDEX NAME)

10/560,953



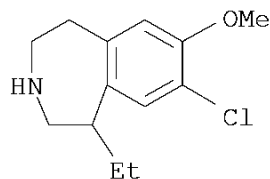
RN 616201-70-8 CAPLUS

CN 1H-3-Benzazepine-7-carbonitrile, 2,3,4,5-tetrahydro-8-methoxy-5-methyl-
(CA INDEX NAME)



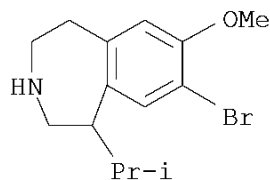
RN 616201-74-2 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-7-methoxy- (CA
INDEX NAME)



RN 616201-75-3 CAPLUS

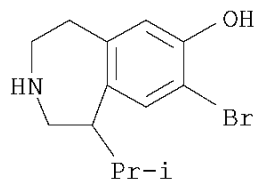
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-
(CA INDEX NAME)



RN 616201-76-4 CAPLUS

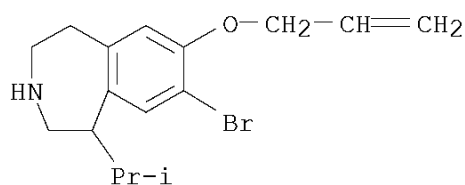
CN 1H-3-Benzazepin-7-ol, 8-bromo-2,3,4,5-tetrahydro-1-(1-methylethyl)- (CA
INDEX NAME)

10/560,953



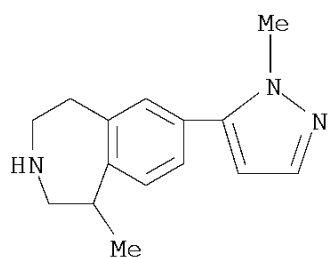
RN 616201-77-5 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-(1-methylethyl)-7-(2-propen-1-yloxy)- (CA INDEX NAME)



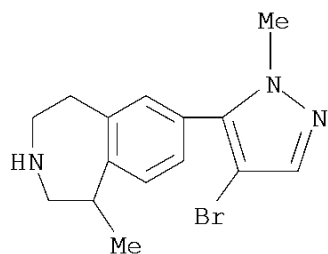
RN 616201-81-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-methyl-1H-pyrazol-5-yl)- (CA INDEX NAME)



RN 616201-82-2 CAPLUS

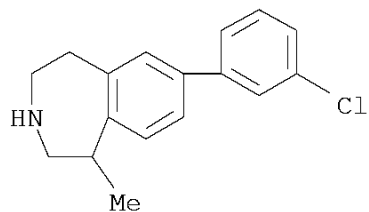
CN 1H-3-Benzazepine, 7-(4-bromo-1-methyl-1H-pyrazol-5-yl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-83-3 CAPLUS

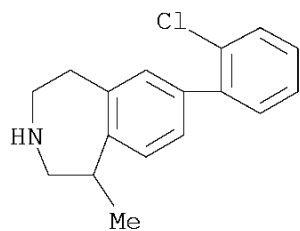
CN 1H-3-Benzazepine, 7-(3-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

10/560,953



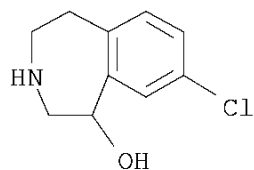
RN 616201-84-4 CAPLUS

CN 1H-3-Benzazepine, 7-(2-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



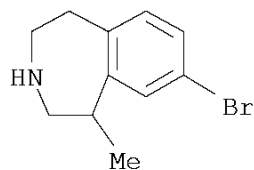
RN 616201-85-5 CAPLUS

CN 1H-3-Benzazepin-1-ol, 8-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 616201-86-6 CAPLUS

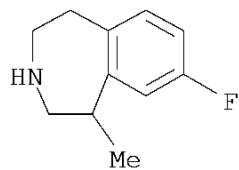
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-87-7 CAPLUS

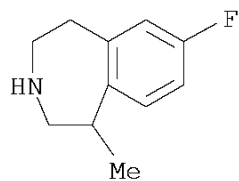
CN 1H-3-Benzazepine, 8-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

10/560,953



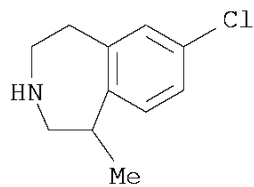
RN 616201-88-8 CAPLUS

CN 1H-3-Benzazepine, 7-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



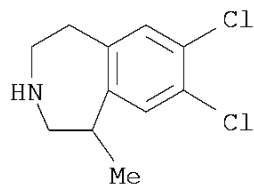
RN 616201-89-9 CAPLUS

CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-90-2 CAPLUS

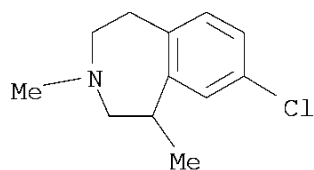
CN 1H-3-Benzazepine, 7,8-dichloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-91-3 CAPLUS

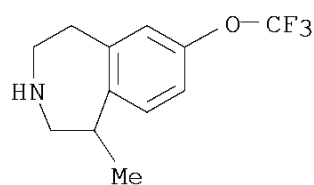
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl- (CA INDEX NAME)

10/560,953



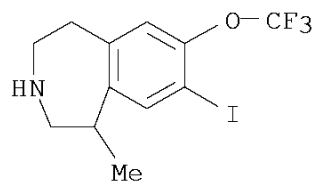
RN 616201-92-4 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(trifluoromethoxy)- (CA INDEX NAME)



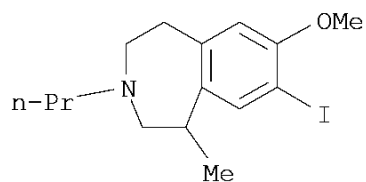
RN 616201-93-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl-7-(trifluoromethoxy)- (CA INDEX NAME)



RN 616201-94-6 CAPLUS

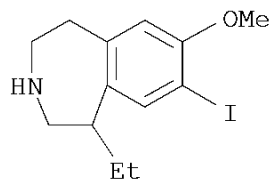
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-3-propyl- (CA INDEX NAME)



RN 616201-95-7 CAPLUS

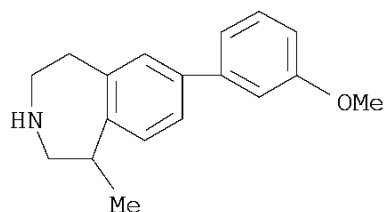
CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-iodo-7-methoxy- (CA INDEX NAME)

10/560,953



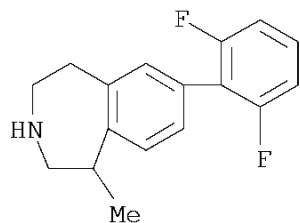
RN 616201-96-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-(3-methoxyphenyl)-1-methyl- (CA INDEX NAME)



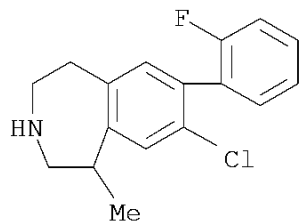
RN 616201-97-9 CAPLUS

CN 1H-3-Benzazepine, 7-(2,6-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-98-0 CAPLUS

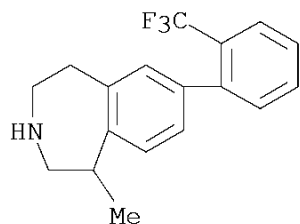
CN 1H-3-Benzazepine, 8-chloro-7-(2-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-99-1 CAPLUS

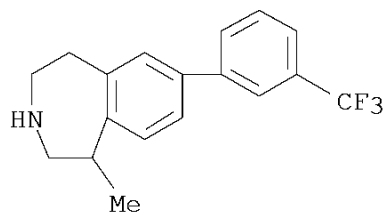
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

10/560,953



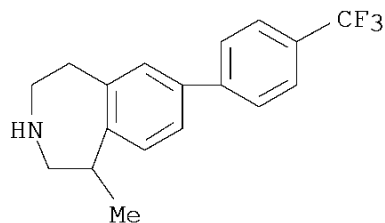
RN 616202-00-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



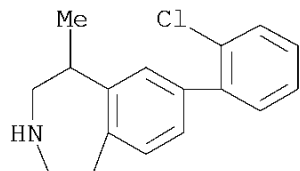
RN 616202-01-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 616202-02-9 CAPLUS

CN 1H-3-Benzazepine, 8-(2-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

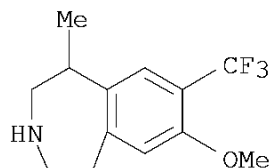


RN 616202-03-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-

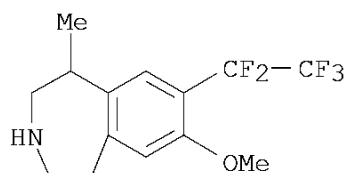
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(trifluoromethyl)- (CA INDEX NAME)



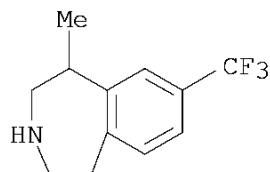
RN 616202-04-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(1,1,2,2,2-pentafluoroethyl)- (CA INDEX NAME)



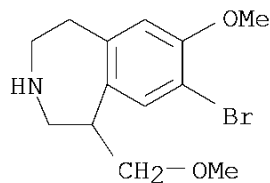
RN 616202-05-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)- (CA INDEX NAME)



RN 616202-06-3 CAPLUS

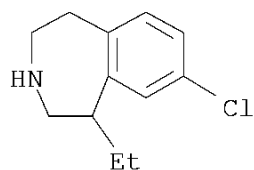
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-(methoxymethyl)- (CA INDEX NAME)



RN 616202-07-4 CAPLUS

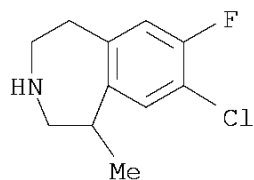
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)

10/560,953



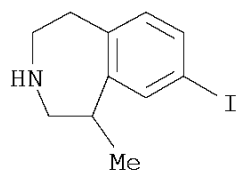
RN 616202-08-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-7-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



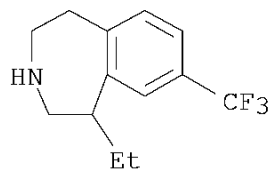
RN 616202-69-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl- (CA INDEX NAME)



RN 616202-70-1 CAPLUS

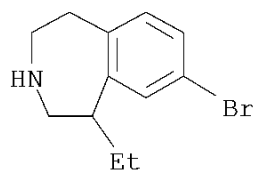
CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-(trifluoromethyl)- (CA INDEX NAME)



RN 616202-71-2 CAPLUS

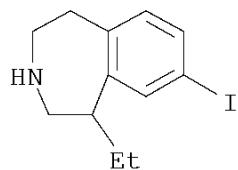
CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)

10/560,953



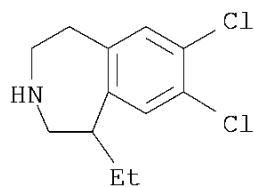
RN 616202-72-3 CAPLUS

CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-iodo- (CA INDEX NAME)



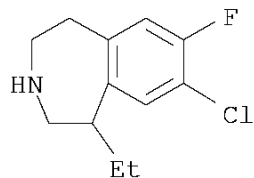
RN 616202-73-4 CAPLUS

CN 1H-3-Benzazepine, 7,8-dichloro-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 616202-74-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-7-fluoro-2,3,4,5-tetrahydro- (CA INDEX NAME)

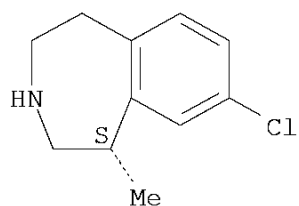


RN 616202-81-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

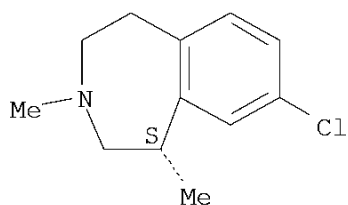
Absolute stereochemistry.

10/560,953



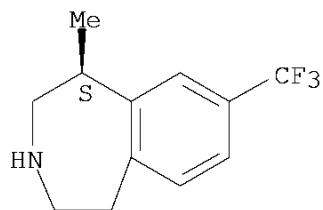
RN 616202-82-5 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



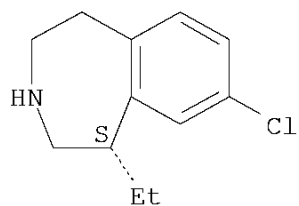
RN 616202-84-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 616202-85-8 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

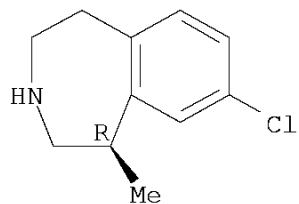


RN 616202-92-7 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

10/560,953

NAME)

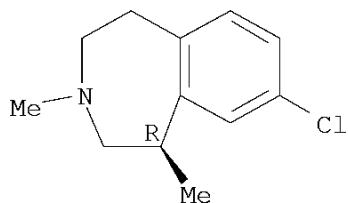
Absolute stereochemistry.



RN 616202-93-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1R)- (CA INDEX NAME)

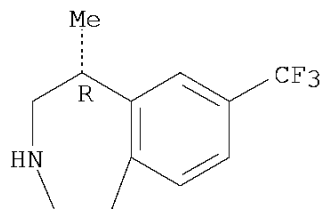
Absolute stereochemistry.



RN 616202-95-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-, (1R)- (CA INDEX NAME)

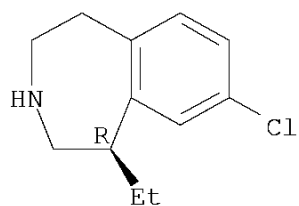
Absolute stereochemistry.



RN 616202-96-1 CAPLUS

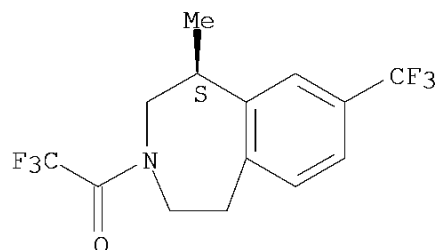
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

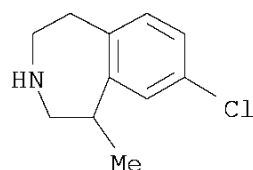


IT 616202-83-6P
 RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of benzazepines as 5HT2C receptor modulators)
 RN 616202-83-6 CAPLUS
 CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

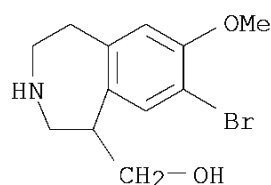
Absolute stereochemistry.



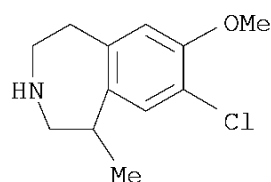
IT 616201-80-0P, (±)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation, N-alkylation and 5HT2C receptor modulating activity of; preparation of benzazepines as 5HT2C receptor modulators)
 RN 616201-80-0 CAPLUS
 CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



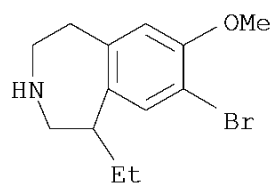
IT 616201-72-0P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation, N-protection and 5HT2C receptor modulating activity of; preparation of benzazepines as 5HT2C receptor modulators)
 RN 616201-72-0 CAPLUS
 CN 1H-3-Benzazepine-1-methanol, 8-bromo-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)



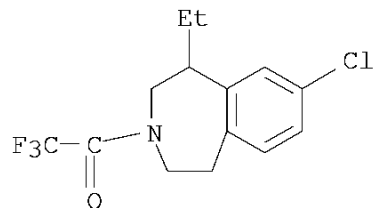
IT 616201-56-0P, (±)-8-Chloro-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-73-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation, enantiomer resolution and 5HT2C receptor modulating activity of;
 preparation of benzazepines as 5HT2C receptor modulators)
 RN 616201-56-0 CAPLUS
 CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl- (CA INDEX NAME)



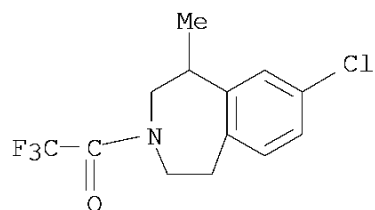
RN 616201-73-1 CAPLUS
 CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)



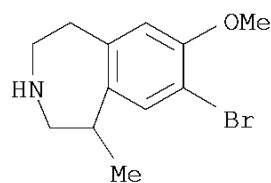
IT 616202-67-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation, enantiomer resolution and deacetylation of; preparation of benzazepines as 5HT2C receptor modulators)
 RN 616202-67-6 CAPLUS
 CN Ethanone, 1-(8-chloro-1-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



IT 616202-51-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation, enantiomer resolution and deacetylation or regioselective fluorination of; preparation of benzazepines as 5HT2C receptor modulators)
 RN 616202-51-8 CAPLUS
 CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

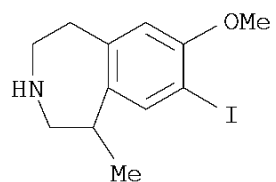


IT 616201-55-9P, (±)-8-Bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-57-1P,
 (±)-8-Iodo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation, reductive N-alkylation, enantiomer resolution and 5HT2C receptor
 modulating activity of; preparation of benzazepines as 5HT2C receptor modulators)
 RN 616201-55-9 CAPLUS
 CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl- (CA INDEX NAME)



RN 616201-57-1 CAPLUS
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl- (CA INDEX NAME)

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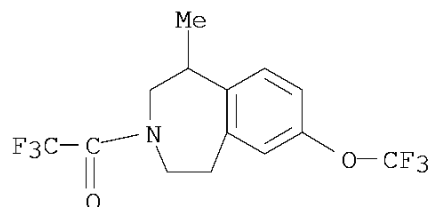


IT 616202-59-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(regioselective iodination and N-deacetylation of; preparation of
benzazepines as 5HT_{2C} receptor modulators)

RN 616202-59-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-methyl-7-(trifluoromethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



OS.CITING REF COUNT:	14	THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)
REFERENCE COUNT:	4	THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 31 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:247316 CAPLUS

DOCUMENT NUMBER: 134:280722

TITLE: Preparation of fused cycloheptane and fused
azacycloheptane compounds for treating integrin
receptors mediated diseasesINVENTOR(S): Tasker, Andrew; Rutledge, Melvin C.; Liu, Longbin;
Han, Nianhe; Comingues, Celia; Grenazer-Laber, Ellen;
Chen, Zhidon; Moreno, Ofir A.

PATENT ASSIGNEE(S): Amgen, Inc., USA

SOURCE: PCT Int. Appl., 262 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

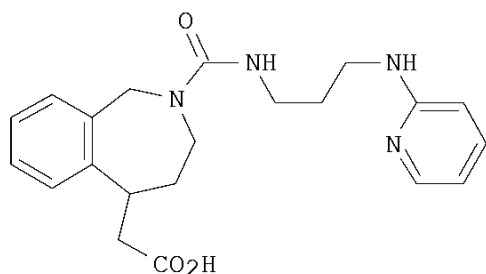
PATENT INFORMATION:

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WO 2001023357	A2	20010405	WO 2000-US26537	20000927
WO 2001023357	A3	20020124		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, BN, YU, ZA, AW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6514964	B1	20030204	US 2000-671025	20000926
CA 2386799	A1	20010405	CA 2000-2386799	20000927
CA 2386799	C	20070417		
AU 2000077220	A	20010430	AU 2000-77220	20000927
AU 769228	B2	20040122		
EP 1216230	A2	20020626	EP 2000-966950	20000927
EP 1216230	B1	20080423		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2004502635	T	20040129	JP 2001-526511	20000927
AT 393147	T	20080515	AT 2000-966950	20000927
ES 2304979	T3	20081101	ES 2000-966950	20000927
MX 2002003120	A	20020930	MX 2002-3120	20020325
PRIORITY APPLN. INFO.:			US 1999-156174P	P 19990927
			US 2000-671025	A 20000926
			WO 2000-US26537	W 20000927

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 134:280722

GI



I

AB The title compds. EB(Alk)pQ(Alk)qAG [p, q = 0-1; Alk = alkyl; A, Q = a bond, S, O, etc.; B = a bond, O, aryl, etc.; E = H, alkyl, aryl, etc.; G = benzo[e]azepin-5-yl, benzo[d]imidazolo[1,2-a]azepin-5-yl, etc.] that are effective in the prophylaxis and treatment of diseases, such as integrin receptors mediated diseases, in particular, diseases or conditions mediated by integrin receptors, such as $\alpha v \beta 3$, $\alpha v \beta 5$, $\alpha v \beta 6$ and the like, were prepared E.g., a multi-step synthesis of I which showed IC₅₀ of $\leq 30 \mu\text{M}$ in the HUVEC proliferation assay and/or HUVEC adhesion assay was given.

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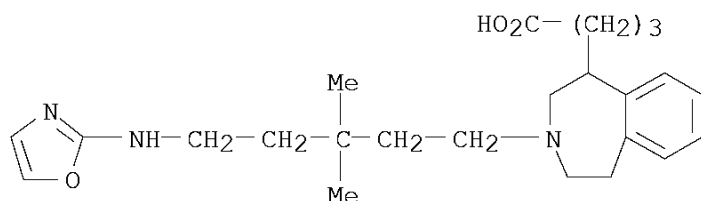
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1139888-97-3		

RL: PRPH (Prophetic)

(Preparation of fused cycloheptane and fused azacycloheptane compounds
for treating integrin receptors mediated diseases)

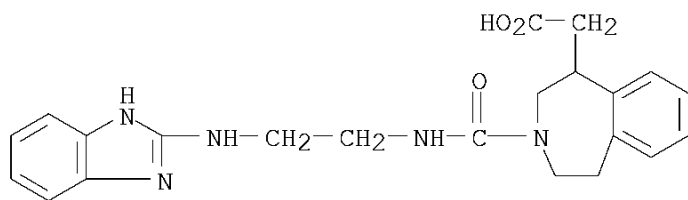
RN 1139881-02-9 CAPLUS

CN 1H-3-Benzazepine-1-butanoic acid, 3-[3,3-dimethyl-5-(2-oxazolylamino)pentyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



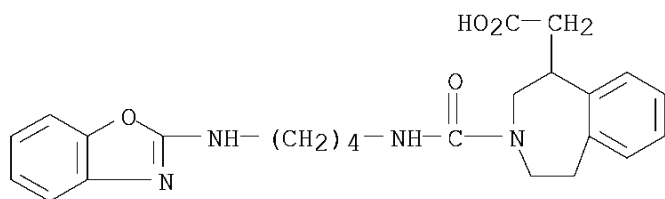
RN 1139882-49-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-(1H-benzimidazol-2-ylamino)ethyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



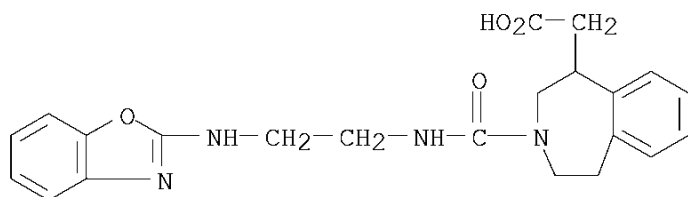
RN 1139882-50-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-(2-benzoxazolylamino)butyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



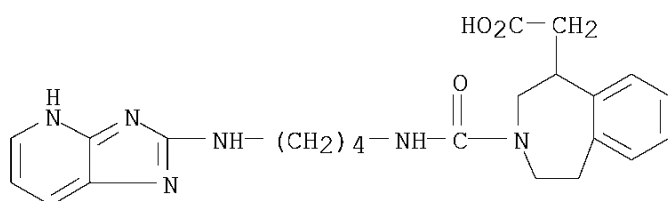
RN 1139882-51-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-(2-benzoxazolylamino)ethyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



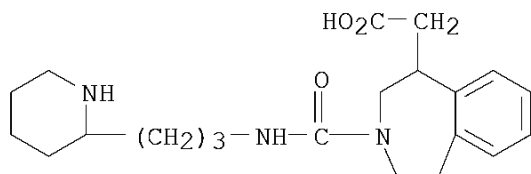
RN 1139882-52-2 CAPLUS

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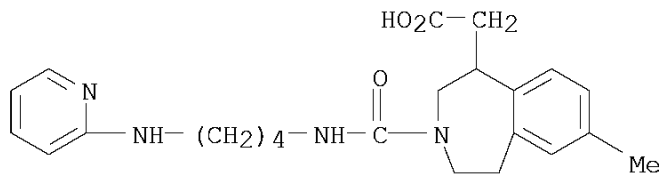
RN 1139882-53-3 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(2-piperidinyl)propyl]amino]carbonyl]- (CA INDEX NAME)



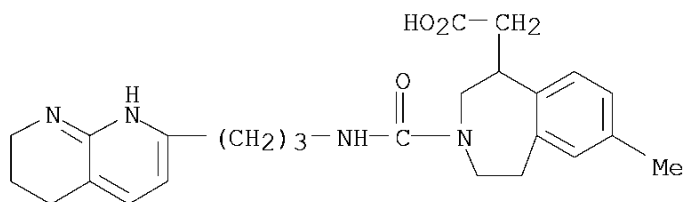
RN 1139882-80-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[4-(2-pyridinylamino)butyl]amino]carbonyl]- (CA INDEX NAME)



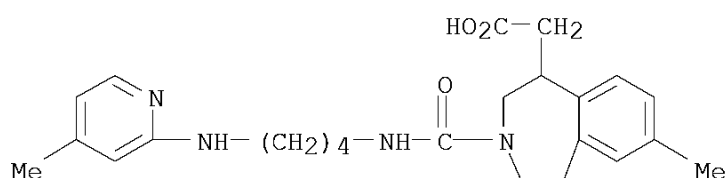
RN 1139882-85-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



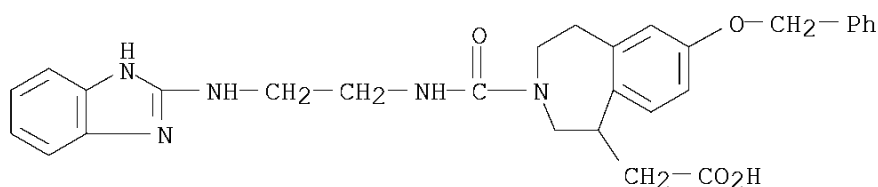
RN 1139882-90-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[4-[(4-methyl-2-pyridinyl)amino]butyl]amino]carbonyl]- (CA INDEX NAME)



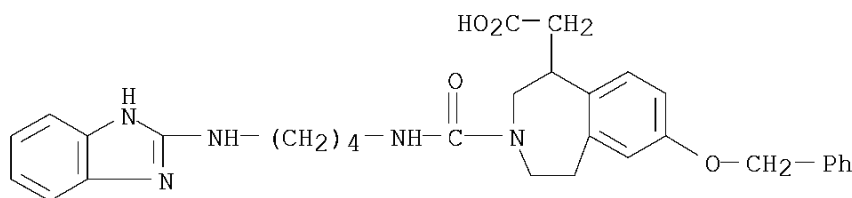
RN 1139882-99-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-(1H-benzimidazol-2-ylamino)ethyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)



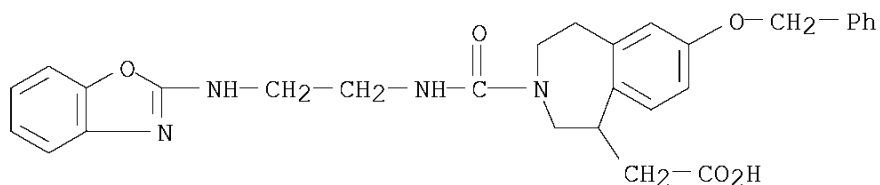
RN 1139883-01-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-(1H-benzimidazol-2-ylamino)butyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)



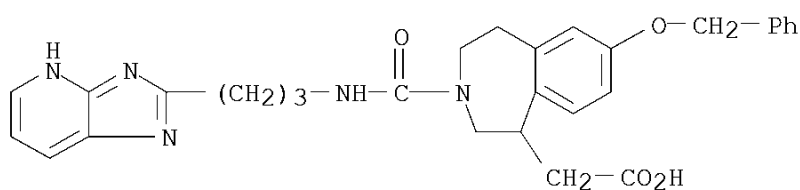
RN 1139883-03-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-(2-benzoxazolylamino)ethyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)



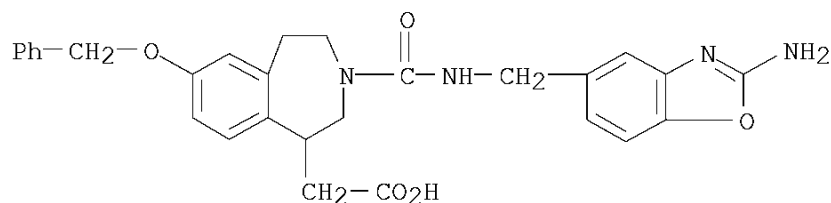
RN 1139883-05-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(3H-imidazo[4,5-b]pyridin-2-yl)propyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)



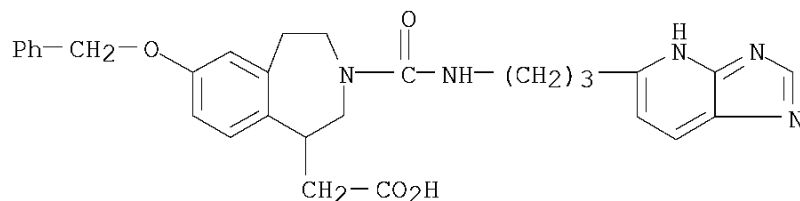
RN 1139883-06-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(2-amino-5-benzoxazolyl)methyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)



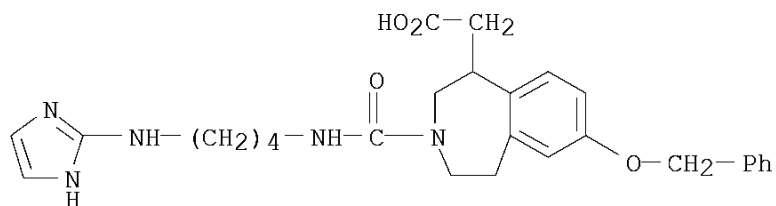
RN 1139883-07-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(3H-imidazo[4,5-b]pyridin-5-yl)propyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)



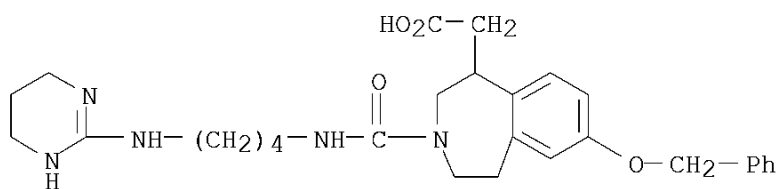
RN 1139883-08-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(1H-imidazol-2-ylamino)butyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)



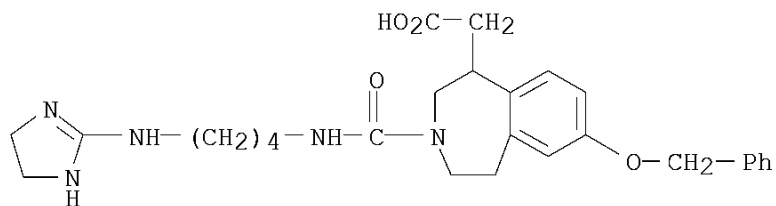
RN 1139883-09-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-(phenylmethoxy)-3-[[[4-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]butyl]amino]carbonyl]- (CA INDEX NAME)



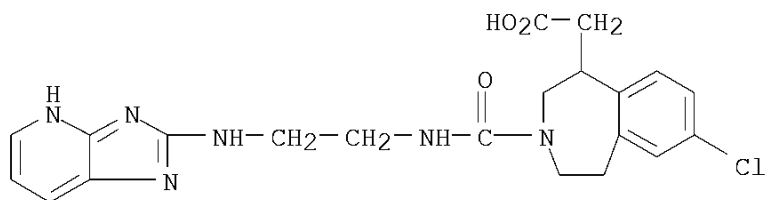
RN 1139883-11-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-[(4,5-dihydro-1H-imidazol-2-yl)amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)



RN 1139883-12-7 CAPLUS

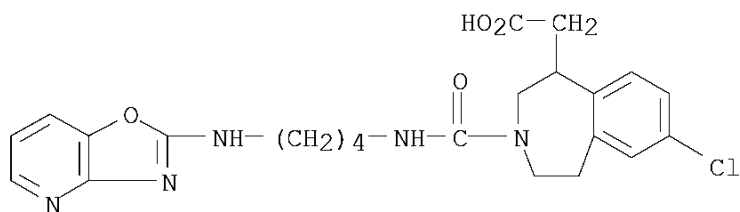
CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[2-(3H-imidazo[4,5-b]pyridin-2-ylamino)ethyl]amino]carbonyl]- (CA INDEX NAME)



RN 1139883-13-8 CAPLUS

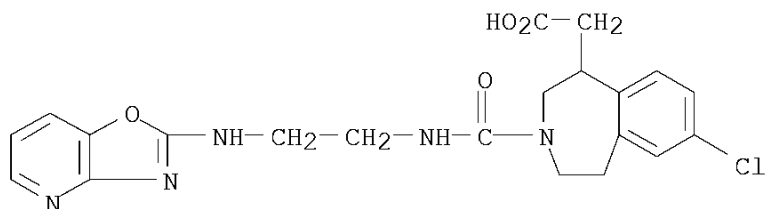
CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[4-

(oxazolo[4,5-b]pyridin-2-ylamino)butyl]amino]carbonyl]- (CA INDEX NAME)



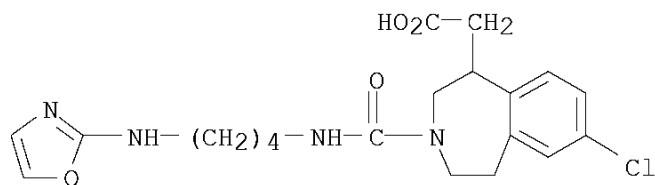
RN 1139883-14-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[2-(oxazolo[4,5-b]pyridin-2-ylamino)ethyl]amino]carbonyl]- (CA INDEX NAME)



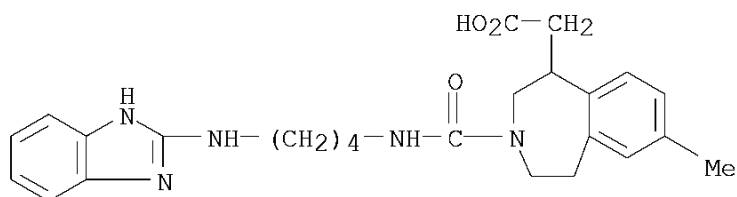
RN 1139883-17-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[4-(2-oxazolylamino)butyl]amino]carbonyl]- (CA INDEX NAME)



RN 1139883-52-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-(1H-benzimidazol-2-ylamino)butyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)

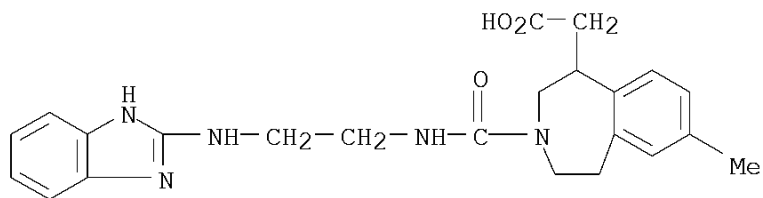


RN 1139883-53-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-(1H-benzimidazol-2-

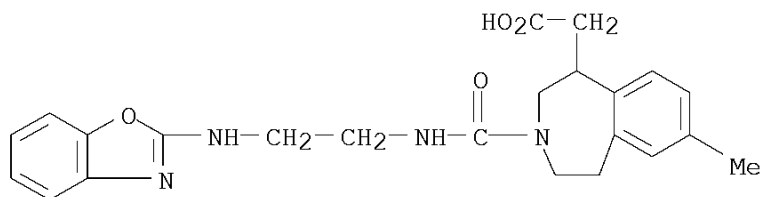
10/560,953

ylamino)ethyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)



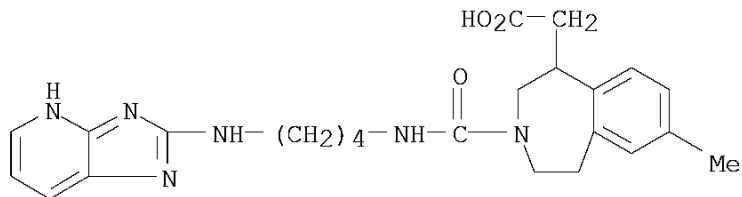
RN 1139883-54-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-(2-benzoxazolylamino)ethyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)



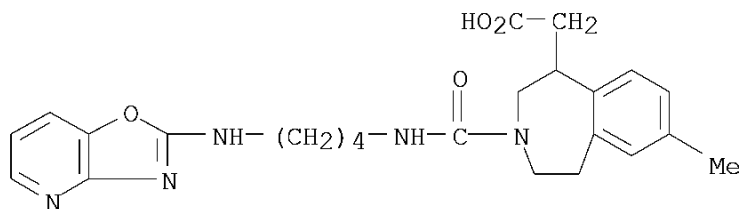
RN 1139883-55-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(3H-imidazo[4,5-b]pyridin-2-ylamino)butyl]amino]carbonyl]-7-methyl- (CA INDEX NAME)



RN 1139883-56-9 CAPLUS

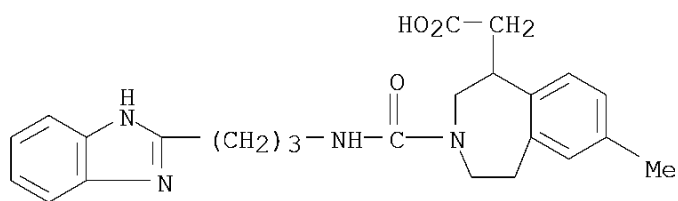
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[4-(oxazolo[4,5-b]pyridin-2-ylamino)butyl]amino]carbonyl]- (CA INDEX NAME)



RN 1139883-57-0 CAPLUS

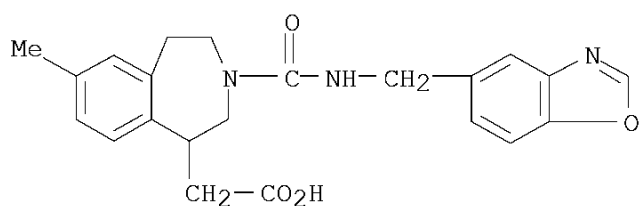
10/560,953

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(1H-benzimidazol-2-yl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)



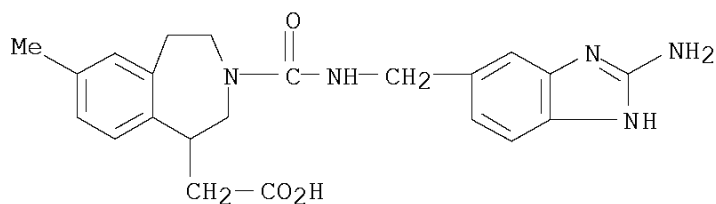
RN 1139883-58-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[(5-benzoxazolylmethyl)amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)



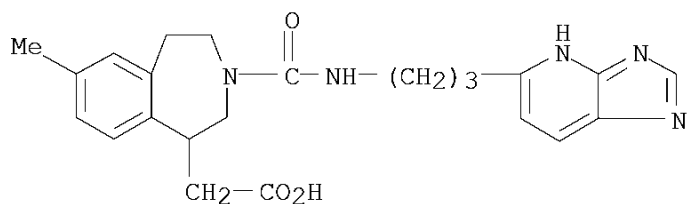
RN 1139883-59-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(2-amino-1H-benzimidazol-6-yl)methyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)



RN 1139883-60-5 CAPLUS

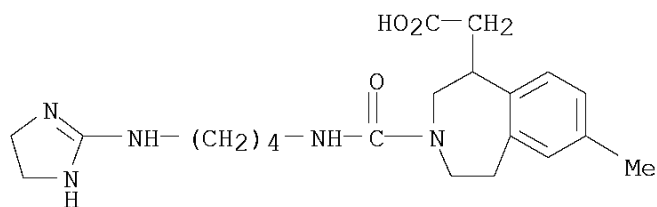
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(3H-imidazo[4,5-b]pyridin-5-yl)propyl]amino]carbonyl]-7-methyl- (CA INDEX NAME)



RN 1139883-61-6 CAPLUS

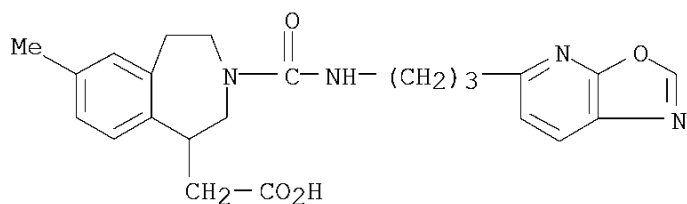
CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-[(4,5-dihydro-1H-imidazol-2-

yl)amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)



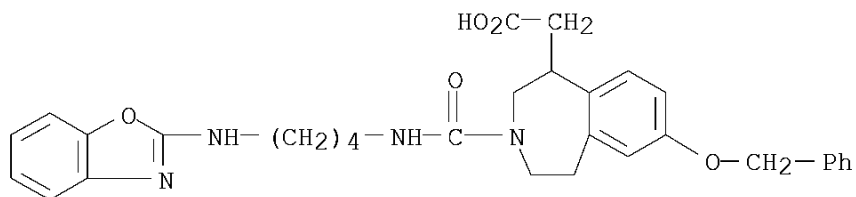
RN 1139883-62-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[4-(2-oxazolo[5,4-b]pyridin-5-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



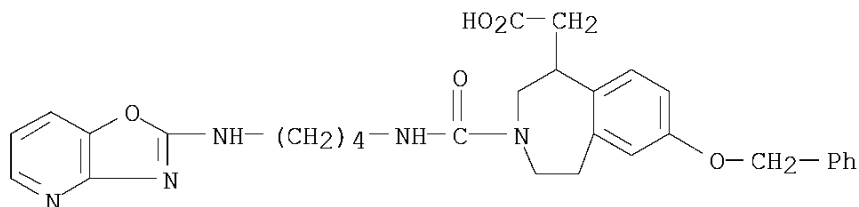
RN 1139883-63-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-(2-benzoxazolylamino)butyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)



RN 1139883-64-9 CAPLUS

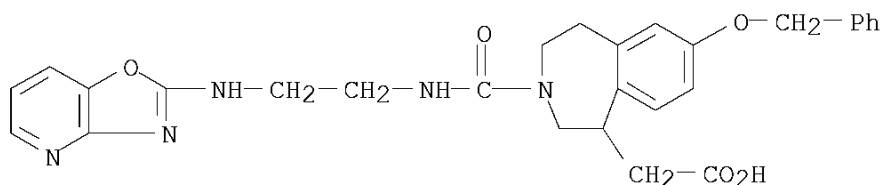
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(oxazolo[4,5-b]pyridin-2-ylamino)butyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)



10/560,953

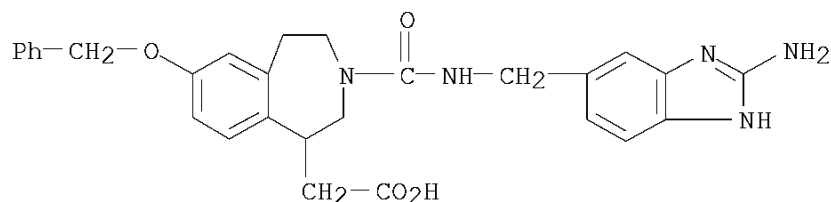
RN 1139883-66-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[2-(oxazolo[4,5-b]pyridin-2-ylamino)ethyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)



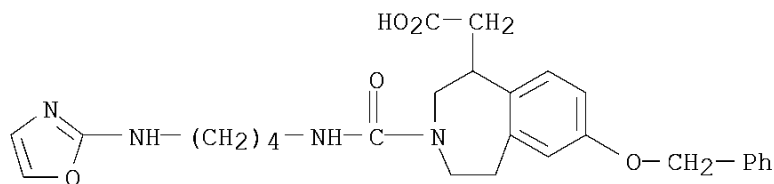
RN 1139883-67-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(2-amino-1H-benzimidazol-6-yl)methyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)



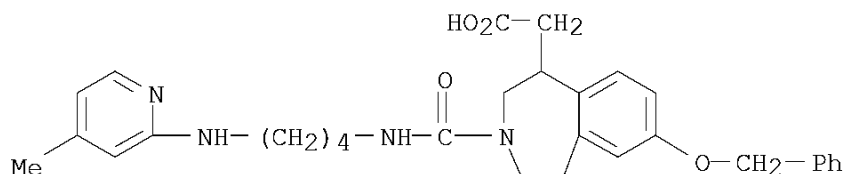
RN 1139883-68-3 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(2-oxazolylamino)butyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)



RN 1139883-69-4 CAPLUS

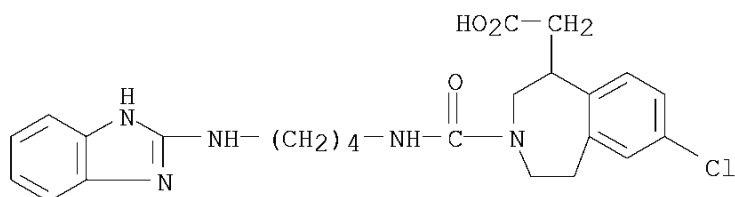
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-[(4-methyl-2-pyridinyl)amino]butyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)



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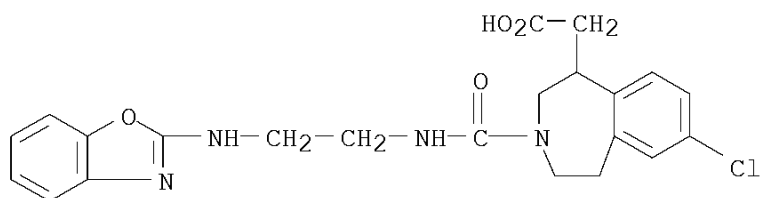
RN 1139883-71-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-(1H-benzimidazol-2-ylamino)butyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)



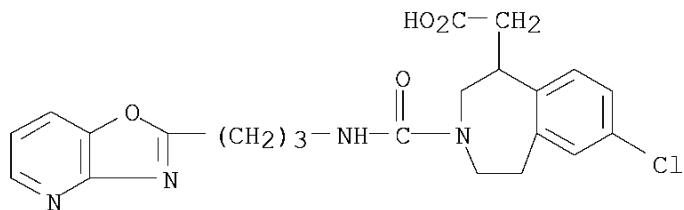
RN 1139883-72-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-(2-benzoxazolylamino)ethyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)



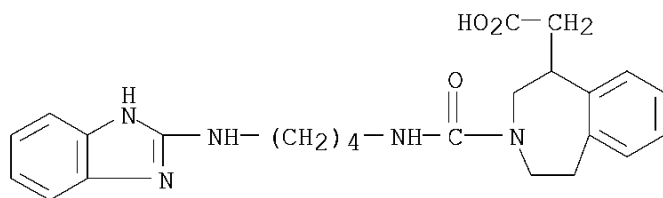
RN 1139883-73-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[3-oxazolo[4,5-b]pyridin-2-ylpropyl]amino]carbonyl]- (CA INDEX NAME)



RN 1139884-75-5 CAPLUS

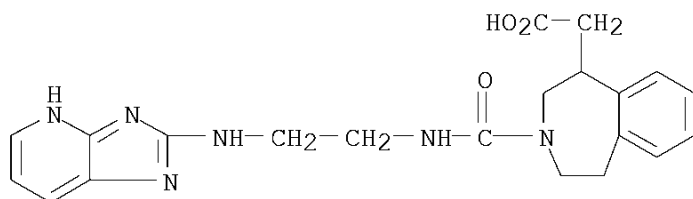
CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-(1H-benzimidazol-2-ylamino)butyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



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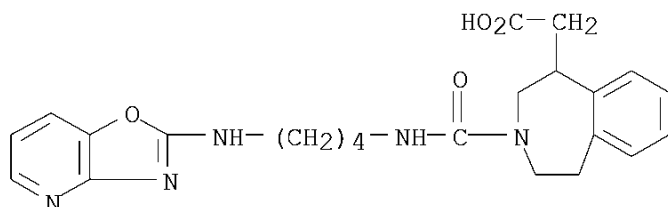
RN 1139884-82-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[2-(3H-imidazo[4,5-b]pyridin-2-ylamino)ethyl]amino]carbonyl]- (CA INDEX NAME)



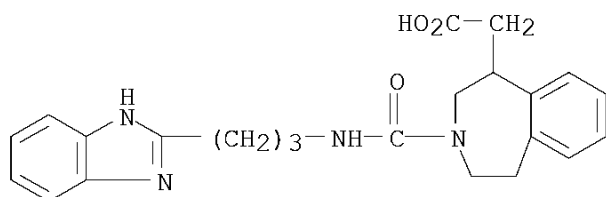
RN 1139884-83-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(oxazolo[4,5-b]pyridin-2-ylamino)butyl]amino]carbonyl]- (CA INDEX NAME)



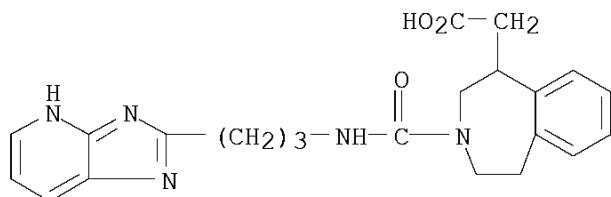
RN 1139884-84-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(1H-benzimidazol-2-yl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 1139884-85-7 CAPLUS

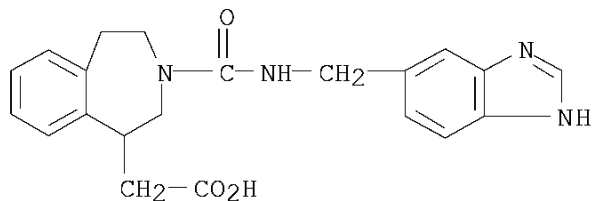
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(3H-imidazo[4,5-b]pyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



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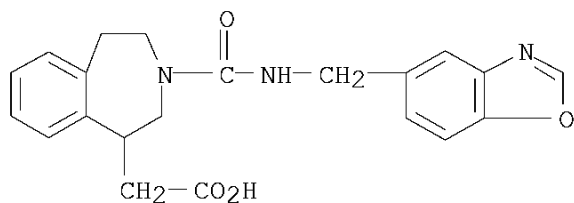
RN 1139884-87-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[(1H-benzimidazol-6-ylmethyl)amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



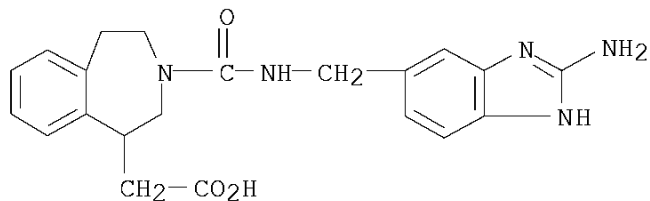
RN 1139884-88-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[(5-benzoxazolylmethyl)amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



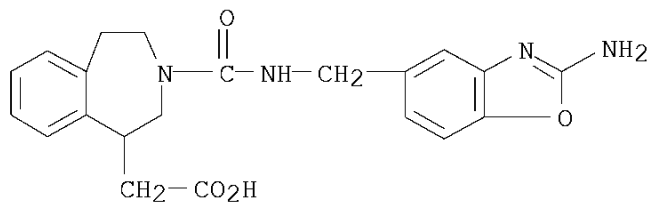
RN 1139884-89-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(2-amino-1H-benzimidazol-6-yl)methyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 1139884-90-4 CAPLUS

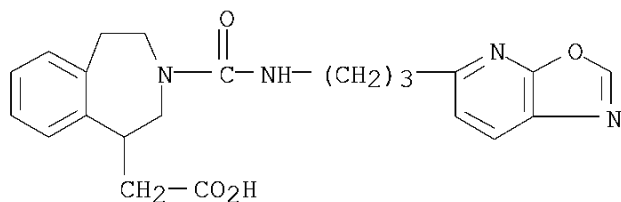
CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(2-amino-5-benzoxazolyl)methyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 1139884-91-5 CAPLUS

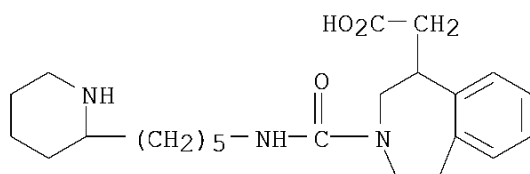
10/560,953

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[(3-oxazolo[5,4-b]pyridin-5-ylpropyl)amino]carbonyl]- (CA INDEX NAME)



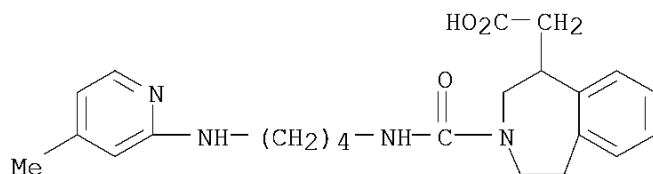
RN 1139884-92-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[5-(2-piperidiny)l)pentyl]amino]carbonyl]- (CA INDEX NAME)



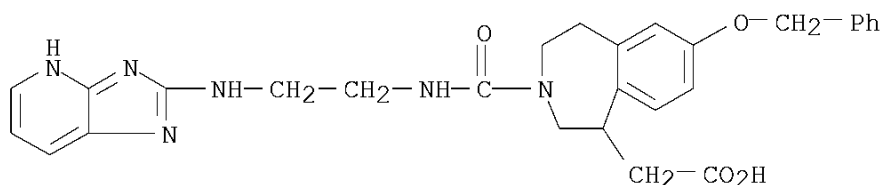
RN 1139884-93-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-[(4-methyl-2-pyridinyl)amino]butyl]amino]carbonyl]- (CA INDEX NAME)



RN 1139885-64-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[2-(3H-imidazo[4,5-b]pyridin-2-ylamino)ethyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)

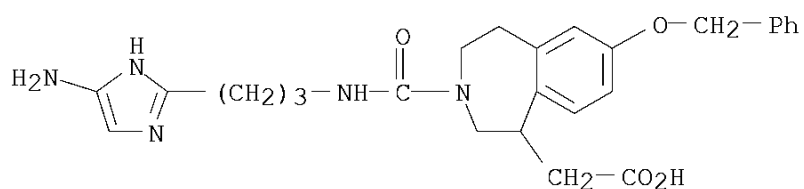


RN 1139885-65-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(5-amino-1H-imidazol-2-yl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)

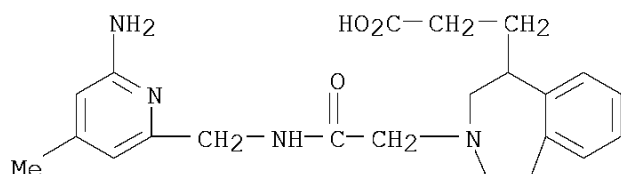
10/560,953

NAME)



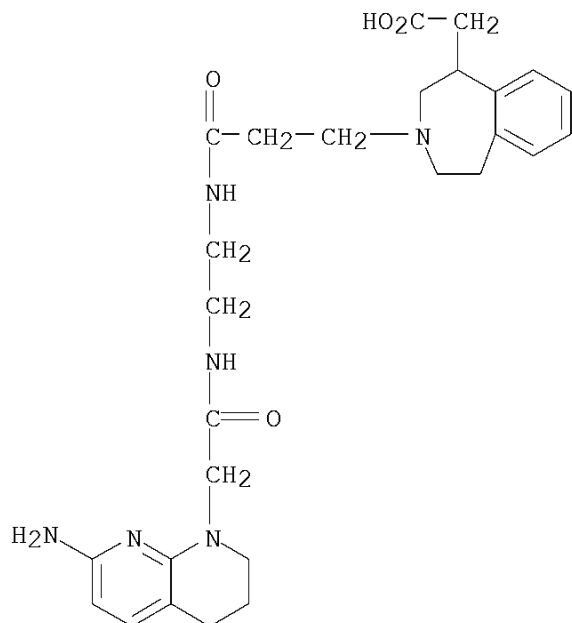
RN 1139885-69-0 CAPLUS

CN 1H-3-Benzazepine-1-propanoic acid,
3-[2-[[[6-amino-4-methyl-2-pyridinyl)methyl]amino]-2-oxoethyl]-2,3,4,5-
tetrahydro- (CA INDEX NAME)



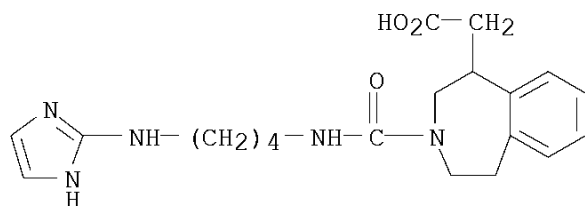
RN 1139885-74-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



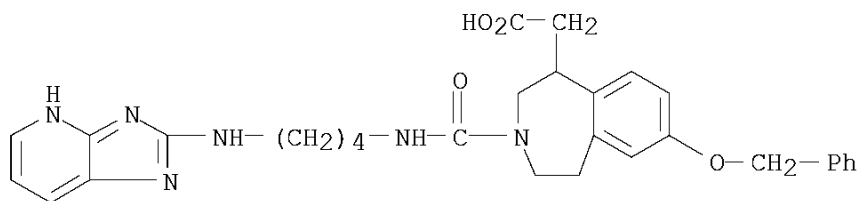
RN 1139885-97-4 CAPLUS

CN 1H-3-Benzazepine-1-propanoic acid, 2,3,4,5-tetrahydro-3-[[[4-(1H-imidazol-2-ylamino)butyl]amino]carbonyl]- (CA INDEX NAME)



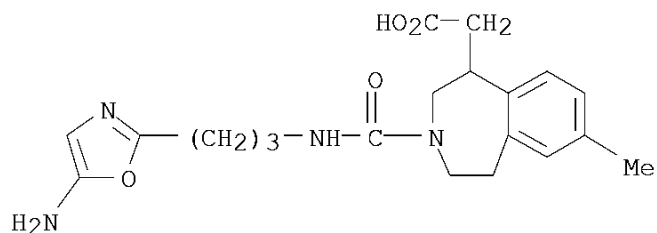
RN 1139886-04-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(3H-imidazo[4,5-b]pyridin-2-ylamino)butyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)



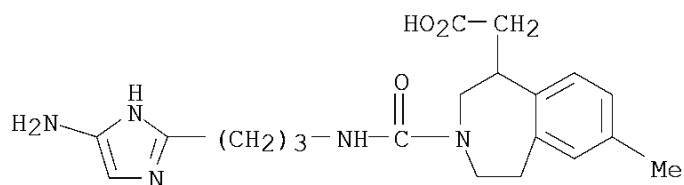
RN 1139886-21-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(5-amino-2-oxazolyl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)



RN 1139886-22-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(5-amino-1H-imidazol-2-yl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)

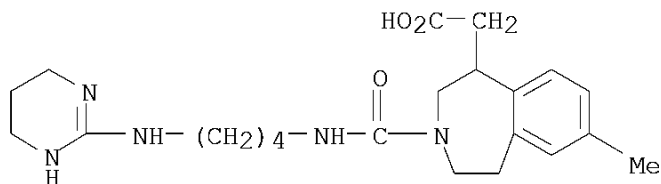


RN 1139886-23-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[4-

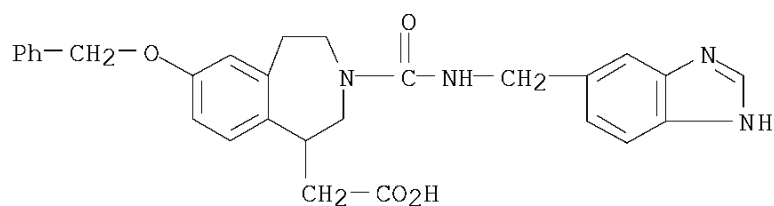
10/560,953

[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]butyl]amino]carbonyl]- (CA INDEX NAME)



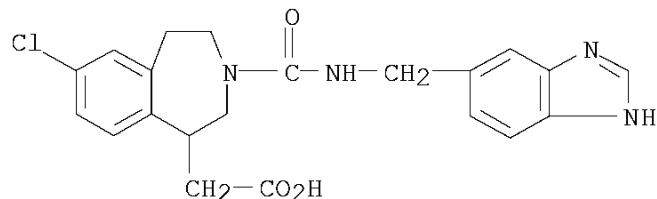
RN 1139886-24-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(1H-benzimidazol-6-ylmethyl)amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)



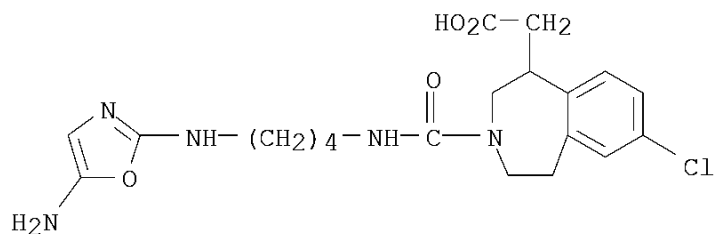
RN 1139886-25-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(1H-benzimidazol-6-ylmethyl)amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 1139886-26-2 CAPLUS

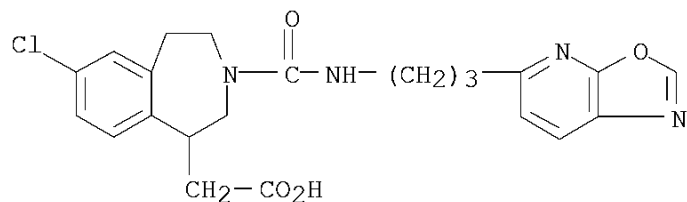
CN INDEX NAME NOT YET ASSIGNED



RN 1139886-27-3 CAPLUS

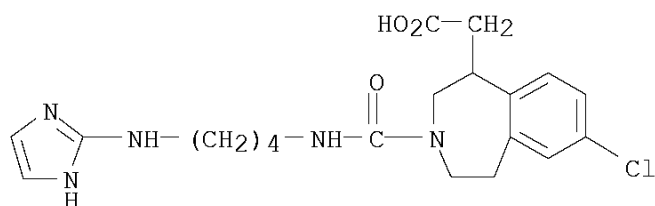
10/560,953

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[(3-oxazolo[5,4-b]pyridin-5-ylpropyl)amino]carbonyl]- (CA INDEX NAME)



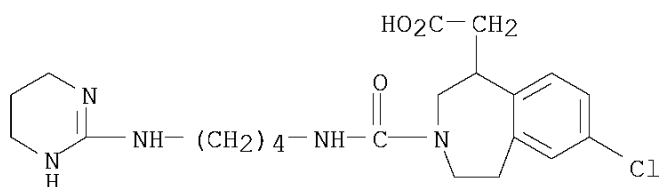
RN 1139886-28-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[4-(1H-imidazol-2-ylamino)butyl]amino]carbonyl]- (CA INDEX NAME)



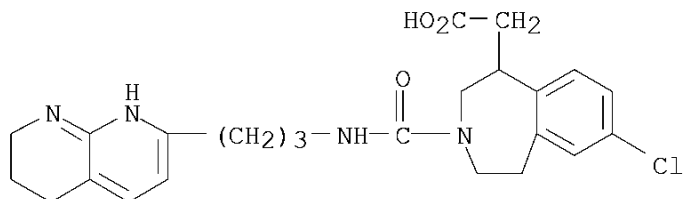
RN 1139886-29-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[4-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]butyl]amino]carbonyl]- (CA INDEX NAME)



RN 1139886-30-8 CAPLUS

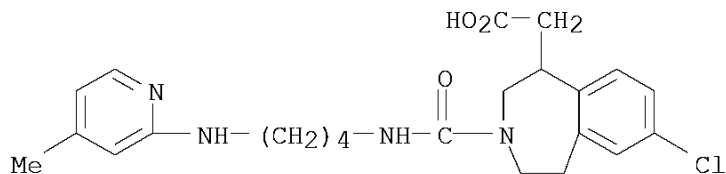
CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



10/560,953

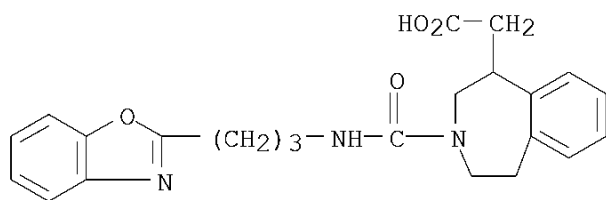
RN 1139886-32-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[4-[(4-methyl-2-pyridinyl)amino]butyl]amino]carbonyl]- (CA INDEX NAME)



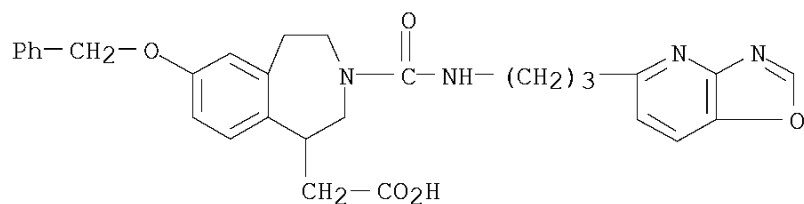
RN 1139886-65-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(2-benzoxazolyl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



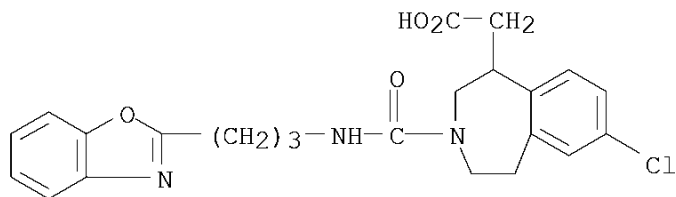
RN 1139886-69-3 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(2-benzoxazolyl)propyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)



RN 1139886-70-6 CAPLUS

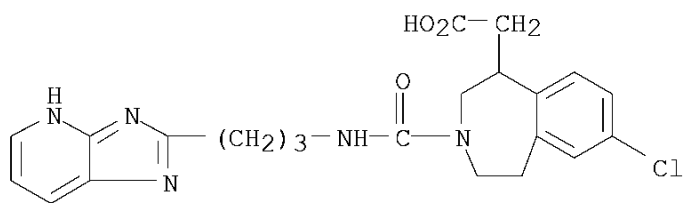
CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(2-benzoxazolyl)propyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 1139886-71-7 CAPLUS

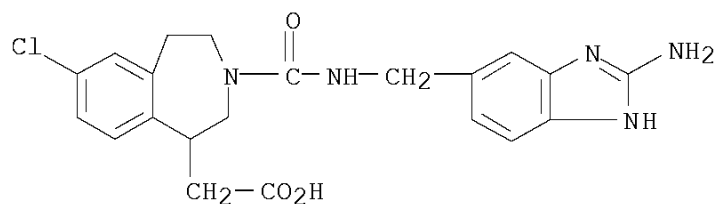
10/560,953

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[3-(3H-imidazo[4,5-b]pyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



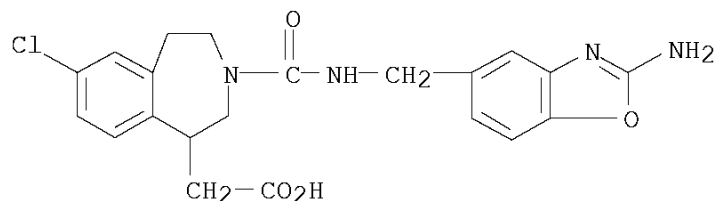
RN 1139886-73-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(2-amino-1H-benzimidazol-6-yl)methyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)



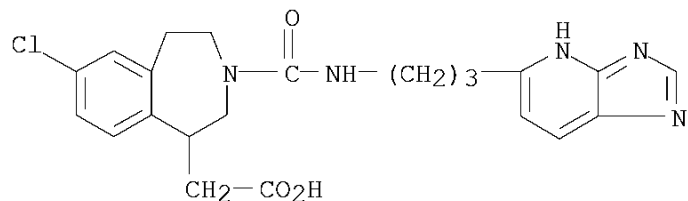
RN 1139886-74-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(2-amino-5-benzoxazolyl)methyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 1139886-75-1 CAPLUS

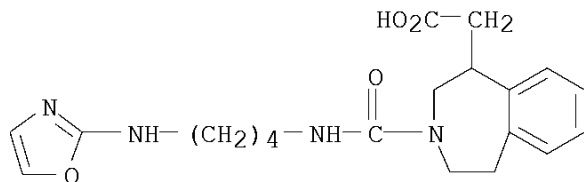
CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[3-(3H-imidazo[4,5-b]pyridin-5-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



RN 1139887-00-5 CAPLUS

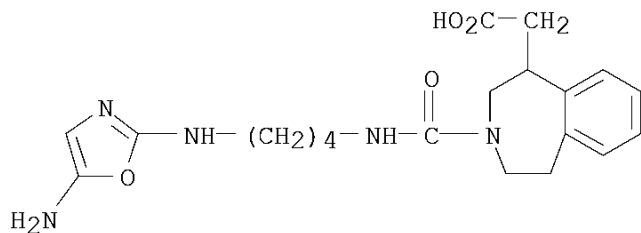
10/560,953

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(2-oxazolylamino)butyl]amino]carbonyl]- (CA INDEX NAME)



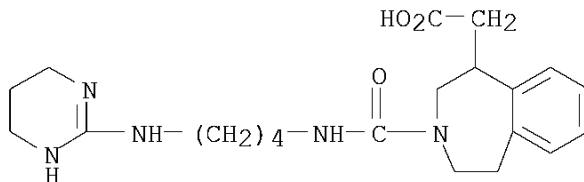
RN 1139887-01-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



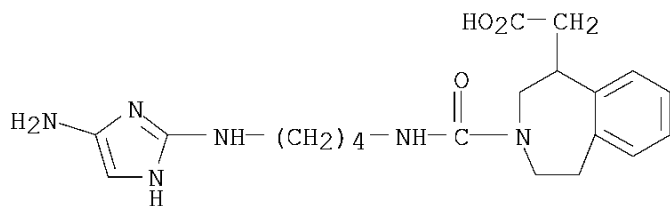
RN 1139887-03-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]butyl]amino]carbonyl]- (CA INDEX NAME)



RN 1139887-04-9 CAPLUS

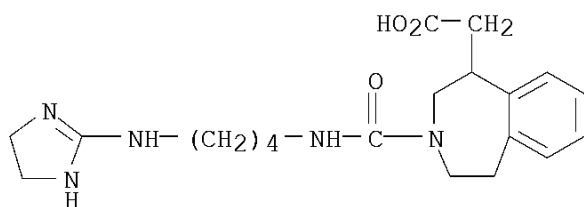
CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-[(5-amino-1H-imidazol-2-yl)amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 1139887-05-0 CAPLUS

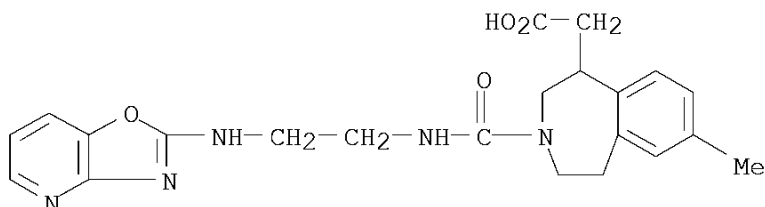
CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-[(4,5-dihydro-1H-imidazol-2-

yl)amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



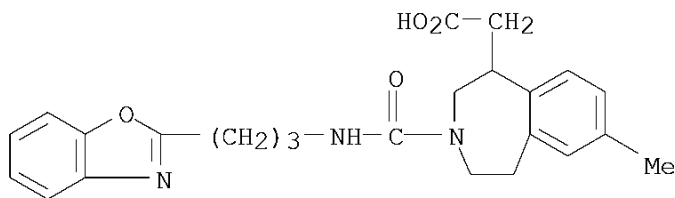
RN 1139887-25-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[2-(oxazololo[4,5-b]pyridin-2-ylamino)ethyl]amino]carbonyl]- (CA INDEX NAME)



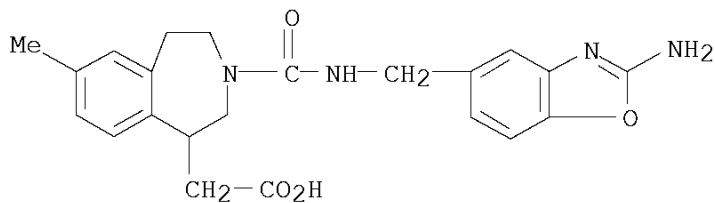
RN 1139887-28-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(2-benzoxazolyl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)



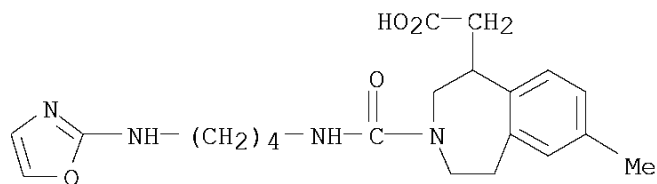
RN 1139887-29-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-amino-5-benzoxazolyl)methyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)



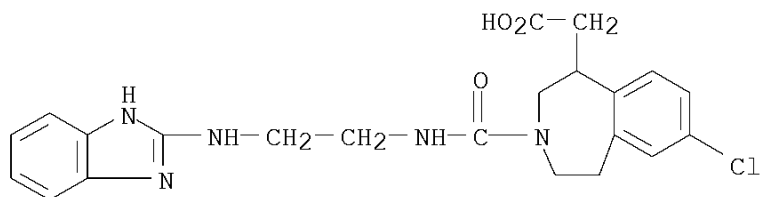
RN 1139887-30-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[4-(2-oxazolylamino)butyl]amino]carbonyl]- (CA INDEX NAME)



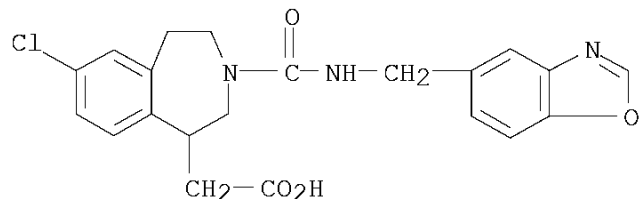
RN 1139887-31-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-(1H-benzimidazol-2-ylamino)ethyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)



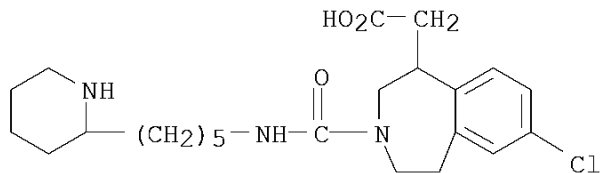
RN 1139887-33-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[5-(benzoxazolylmethyl)amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 1139887-34-5 CAPLUS

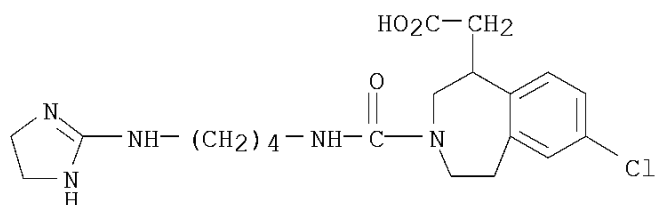
CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[5-(2-piperidinyl)pentyl]amino]carbonyl]- (CA INDEX NAME)



RN 1139887-35-6 CAPLUS

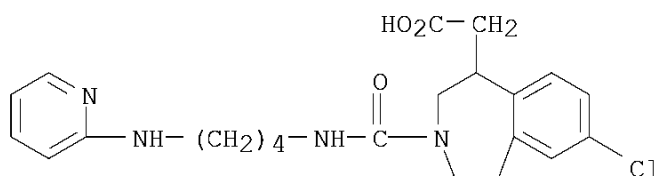
CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-3-[[[4-[(4,5-dihydro-1H-imidazol-

2-yl)amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



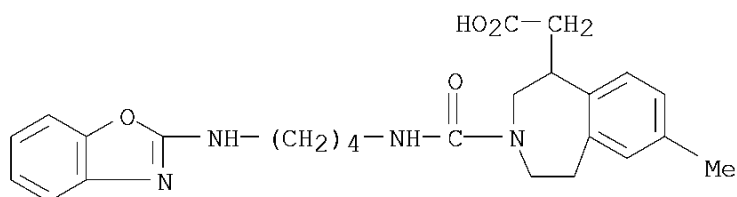
RN 1139887-36-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[4-(2-pyridinylamino)butyl]amino]carbonyl]- (CA INDEX NAME)



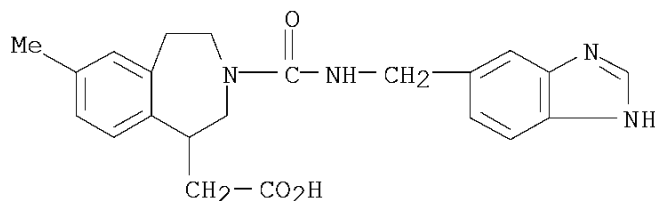
RN 1139887-91-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-(2-benzoxazolylamino)butyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)



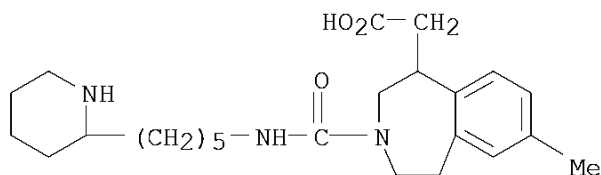
RN 1139887-92-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-(1H-benzimidazol-6-ylmethyl)amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)



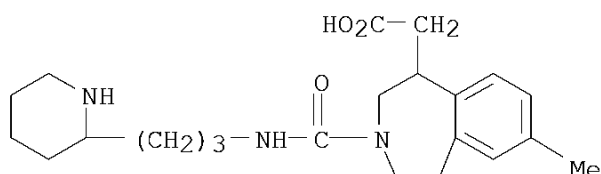
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CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[5-(2-piperidinyl)pentyl]amino]carbonyl]- (CA INDEX NAME)



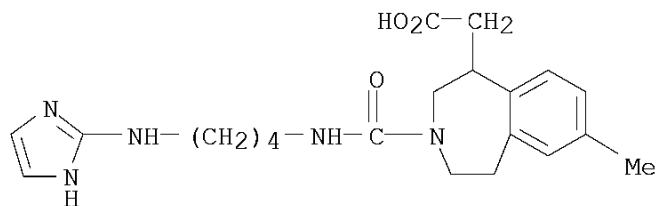
RN 1139887-94-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[3-(2-piperidinyl)propyl]amino]carbonyl]- (CA INDEX NAME)



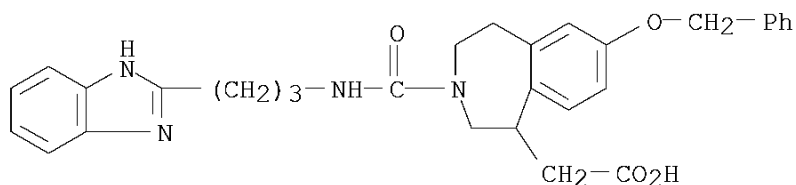
RN 1139887-96-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(1H-imidazol-2-ylamino)butyl]amino]carbonyl]-7-methyl- (CA INDEX NAME)



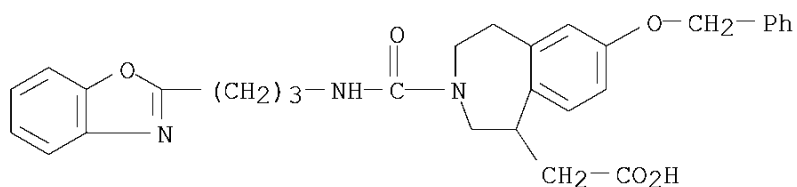
RN 1139887-97-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(1H-benzimidazol-2-yl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)



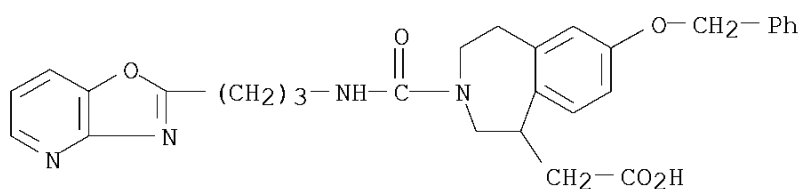
RN 1139887-98-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(2-benzoxazolyl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)



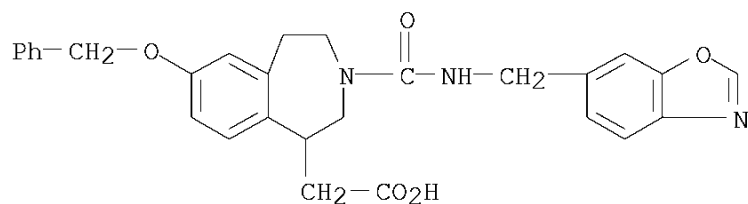
RN 1139887-99-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-oxazolo[4,5-b]pyridin-2-ylpropyl)amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)



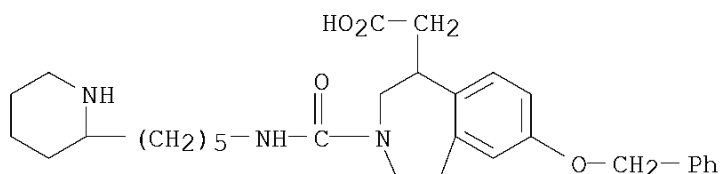
RN 1139888-00-8 CAPLUS

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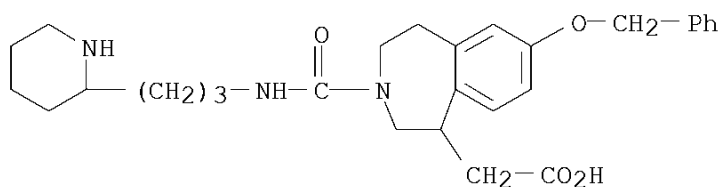
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CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-(phenylmethoxy)-3-[[[5-(2-piperidinyl)pentyl)amino]carbonyl]- (CA INDEX NAME)



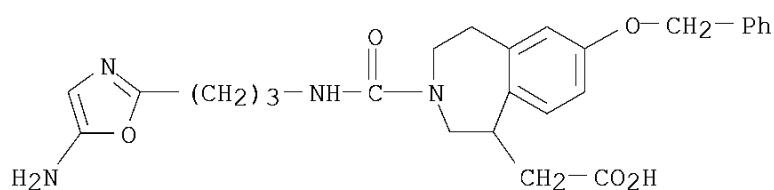
RN 1139888-03-1 CAPLUS

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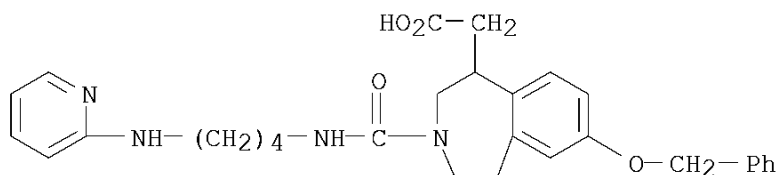
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CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(5-amino-2-oxazolyl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)



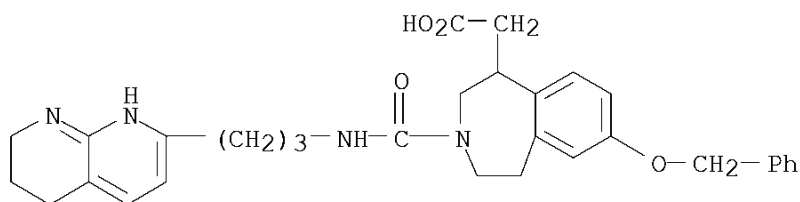
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CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-(phenylmethoxy)-3-[[[4-(2-pyridinylamino)butyl]amino]carbonyl]- (CA INDEX NAME)



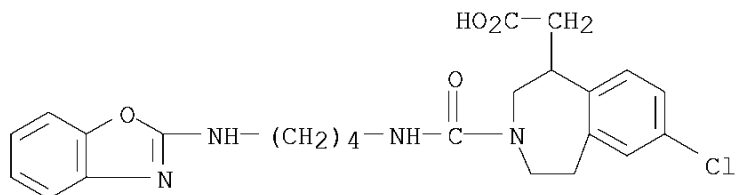
RN 1139888-09-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-(phenylmethoxy)-3-[[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



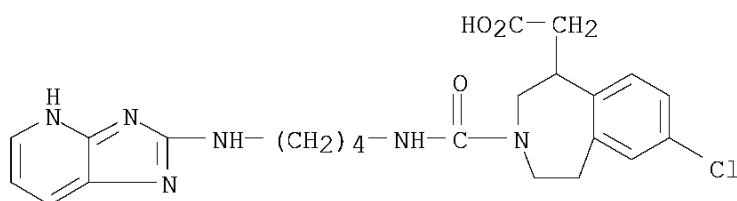
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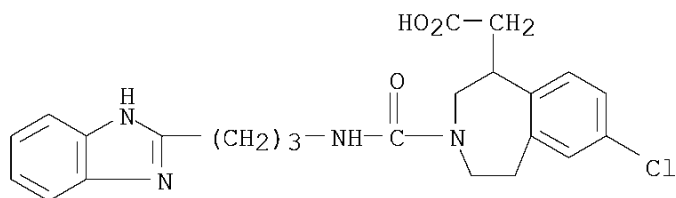
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CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[4-(3H-imidazo[4,5-b]pyridin-2-ylamino)butyl]amino]carbonyl]- (CA INDEX NAME)



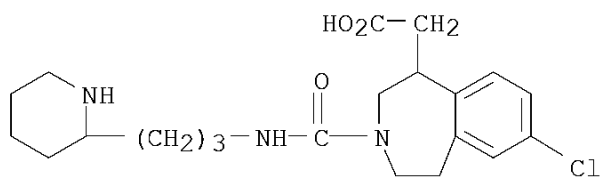
RN 1139888-13-3 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(1H-benzimidazol-2-yl)propyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)



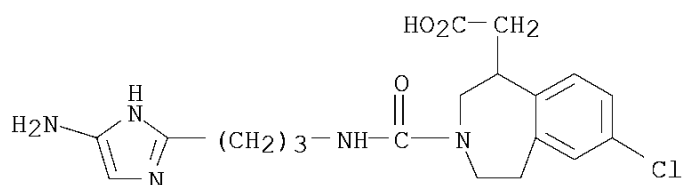
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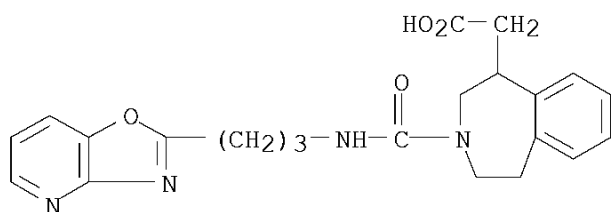
RN 1139888-16-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(5-amino-1H-imidazol-2-yl)propyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)



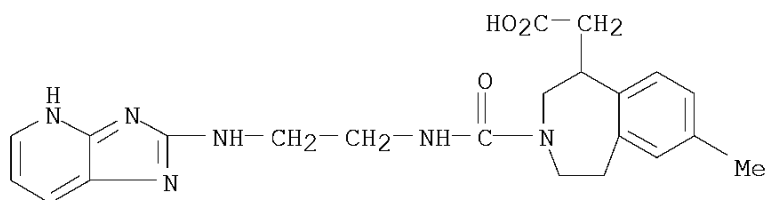
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CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[3-(3-oxazolo[4,5-b]pyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



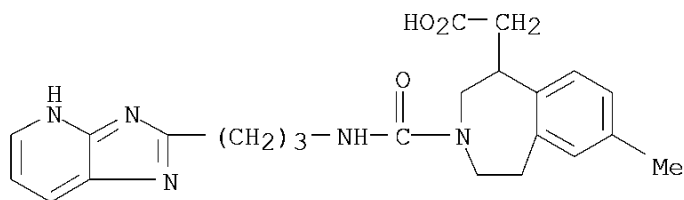
RN 1139888-75-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[2-(3H-imidazo[4,5-b]pyridin-2-yl)amino]ethyl]amino]carbonyl]-7-methyl- (CA INDEX NAME)



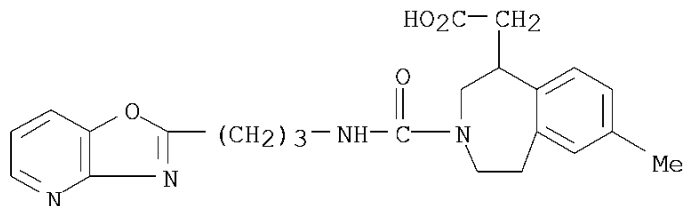
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CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(3H-imidazo[4,5-b]pyridin-2-yl)propyl]amino]carbonyl]-7-methyl- (CA INDEX NAME)



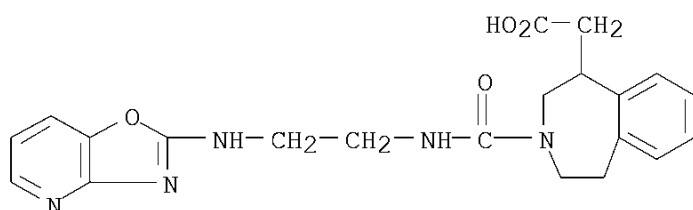
RN 1139888-79-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[3-(3-oxazolo[4,5-b]pyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



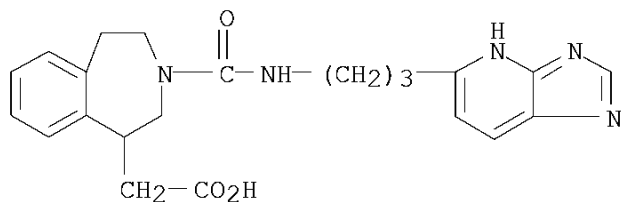
RN 1139888-94-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[2-(oxazolo[4,5-b]pyridin-2-ylamino)ethyl]amino]carbonyl]- (CA INDEX NAME)



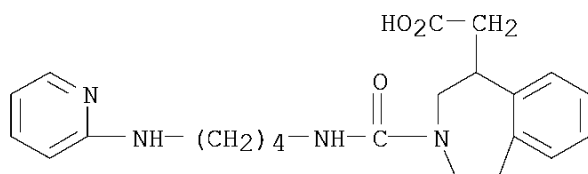
RN 1139888-95-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(3H-imidazo[4,5-b]pyridin-5-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



RN 1139888-97-3 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(2-pyridinylamino)butyl]amino]carbonyl]- (CA INDEX NAME)



IT 332879-23-9P 332879-25-1P 332879-26-2P

332879-27-3P 332879-29-5P 332879-65-9P

332879-66-0P 332880-12-3P 332880-14-5P

332880-16-7P 332880-21-4P 332880-32-7P

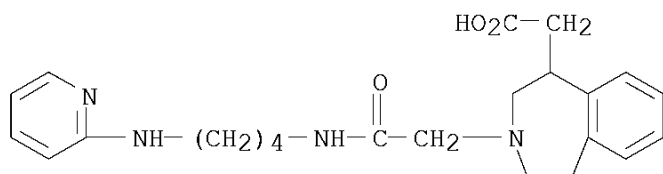
332880-34-9P 332880-36-1P 332880-51-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of fused cycloheptane and fused azacycloheptane compds. for
 treating integrin receptors mediated diseases)

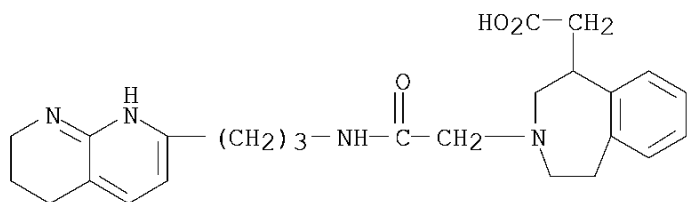
RN 332879-23-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-oxo-2-[[4-(2-pyridinylamino)butyl]amino]ethyl]- (CA INDEX NAME)



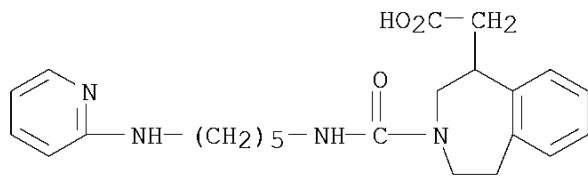
RN 332879-25-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-oxo-2-[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]ethyl]- (CA INDEX NAME)



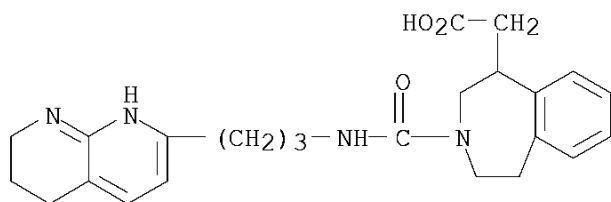
RN 332879-26-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[5-(2-pyridinylamino)pentyl]amino]carbonyl]- (CA INDEX NAME)



RN 332879-27-3 CAPLUS

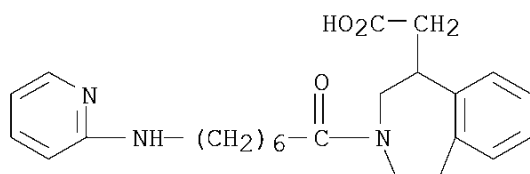
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



10/560,953

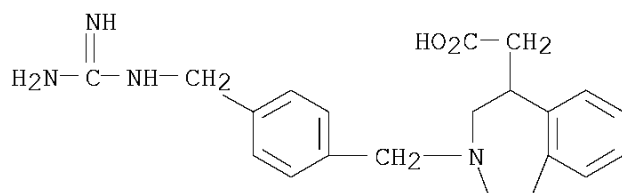
RN 332879-29-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[1-oxo-7-(2-pyridinylamino)heptyl]- (CA INDEX NAME)



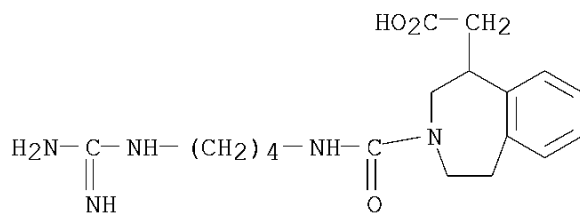
RN 332879-65-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[4-[[(aminoiminomethyl)amino]methyl]phenyl]methyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



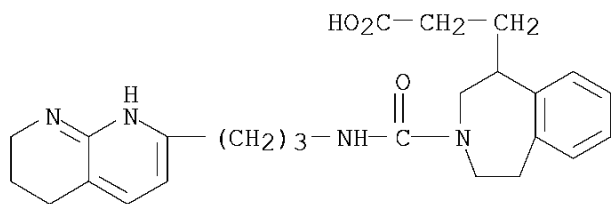
RN 332879-66-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-[(aminoiminomethyl)amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



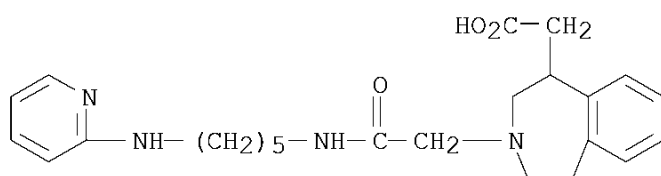
RN 332880-12-3 CAPLUS

CN 1H-3-Benzazepine-1-propanoic acid, 2,3,4,5-tetrahydro-3-[[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



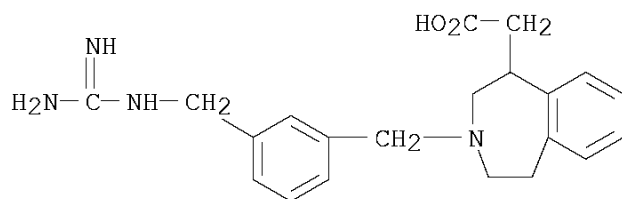
RN 332880-14-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-oxo-2-[[5-(2-pyridinylamino)pentyl]amino]ethyl]- (CA INDEX NAME)



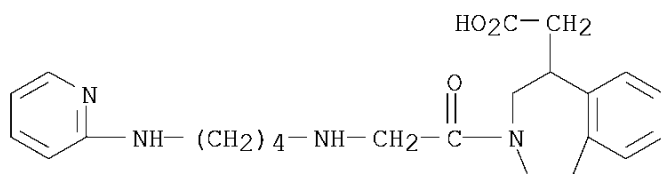
RN 332880-16-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-[(aminoiminomethyl)amino]methyl]phenyl]methyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



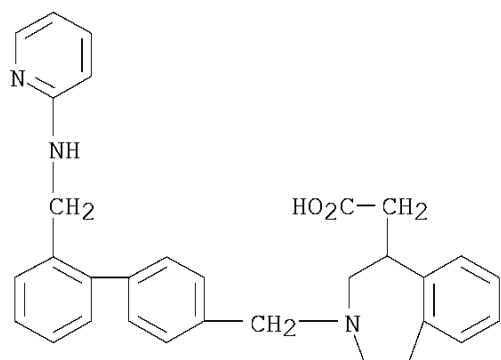
RN 332880-21-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-[[4-(2-pyridinylamino)butyl]amino]acetyl]- (CA INDEX NAME)



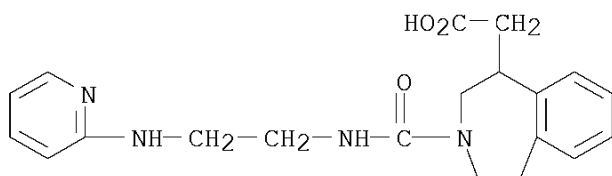
RN 332880-32-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[2'-[(2-pyridinylamino)methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



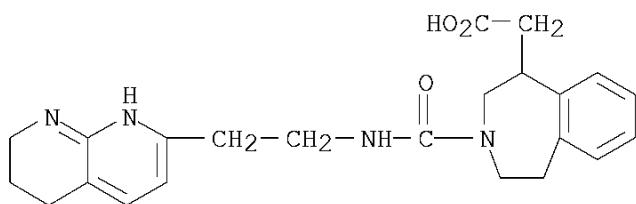
RN 332880-34-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[2-(2-pyridinylamino)ethyl]amino]carbonyl]- (CA INDEX NAME)



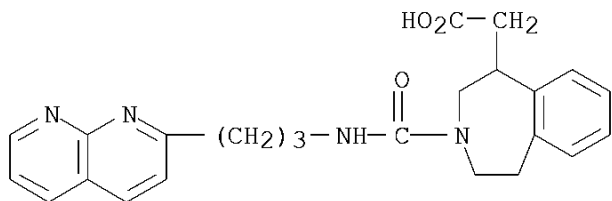
RN 332880-36-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[2-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)ethyl]amino]carbonyl]- (CA INDEX NAME)

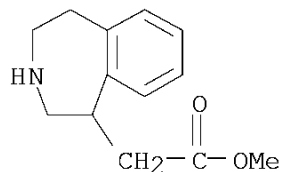


RN 332880-51-0 CAPLUS

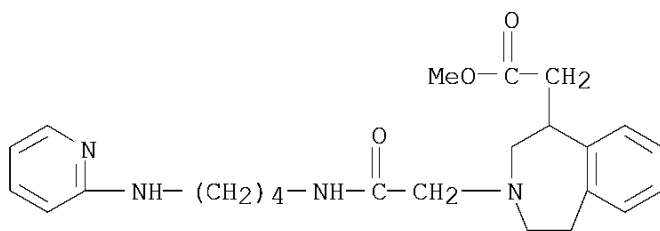
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[3-(1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



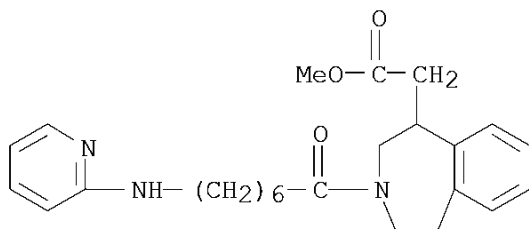
IT 332879-22-8P 332881-70-6P 332881-73-9P
 332882-85-6P 332882-87-8P 332911-01-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of fused cycloheptane and fused azacycloheptane compds. for
 treating integrin receptors mediated diseases)
 RN 332879-22-8 CAPLUS
 CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-, methyl ester (CA
 INDEX NAME)



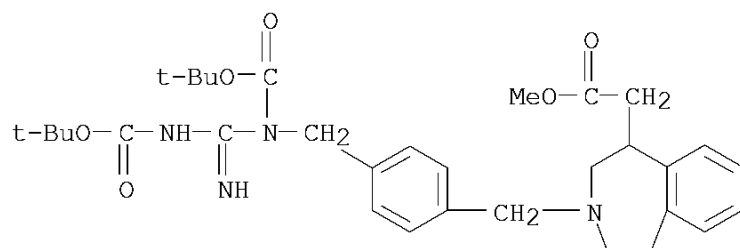
RN 332881-70-6 CAPLUS
 CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-oxo-2-[[4-(2-
 pyridinylamino)butyl]amino]ethyl]-, methyl ester (CA INDEX NAME)



RN 332881-73-9 CAPLUS
 CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[1-oxo-7-(2-
 pyridinylamino)heptyl]-, methyl ester (CA INDEX NAME)

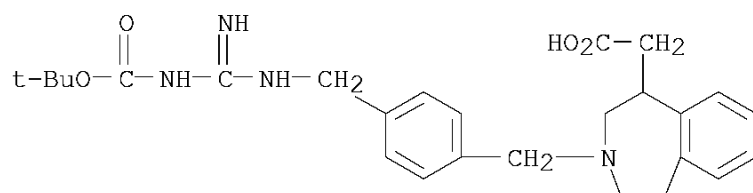


RN 332882-85-6 CAPLUS
 CN 1H-3-Benzazepine-1-acetic acid, 3-[[4-[[[(1,1-
 dimethylethoxy)carbonyl] [[[(1,1-
 dimethylethoxy)carbonyl]amino]iminomethyl]amino]methyl]phenyl]methyl]-
 2,3,4,5-tetrahydro-, methyl ester (CA INDEX NAME)



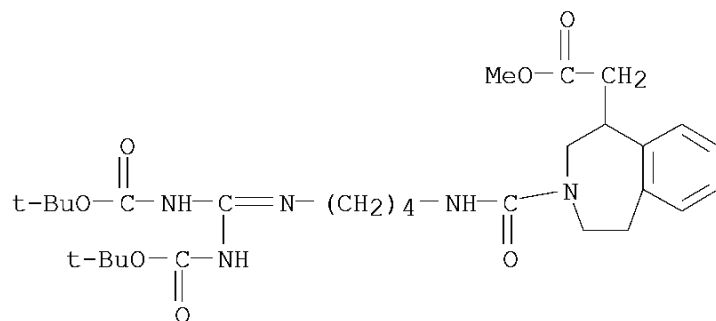
RN 332882-87-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]amino]methyl]phenyl]methyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 332911-01-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-[[bis[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 32 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:98457 CAPLUS

DOCUMENT NUMBER: 134:147611

TITLE: Preparation of tetrahydrobenzo[d]azepines as
metabotropic glutamate receptor 1 antagonists

INVENTOR(S): Adam, Geo; Binggeli, Alfred; Maerki, Hans-Peter;
Mutel, Vincent; Wilhelm, Maurice; Wostl, Wolfgang

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: Eur. Pat. Appl., 85 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

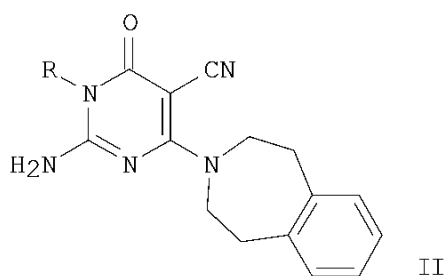
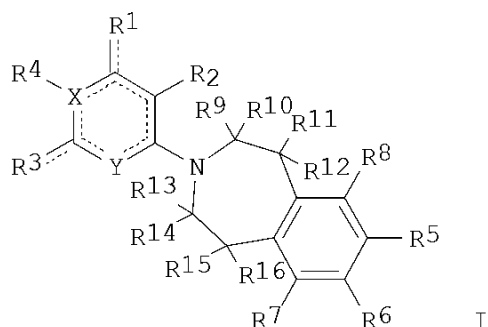
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1074549	A2	20010207	EP 2000-116091	20000727
EP 1074549	A3	20020731		
EP 1074549	B1	20031119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 254614	T	20031215	AT 2000-116091	20000727
ES 2209728	T3	20040701	ES 2000-116091	20000727
CA 2314798	A1	20010206	CA 2000-2314798	20000801
US 6218385	B1	20010417	US 2000-630702	20000801
NZ 506096	A	20020828	NZ 2000-506096	20000801
ZA 2000003927	A	20010206	ZA 2000-3927	20000802
AU 2000048979	A	20010208	AU 2000-48979	20000802
AU 774485	B2	20040701		
HR 2000000520	A2	20010630	HR 2000-520	20000802
SG 93251	A1	20021217	SG 2000-4344	20000802
IN 2000MA00616	A	20050304	IN 2000-MA616	20000802
NO 2000003966	A	20010207	NO 2000-3966	20000804
CN 1283623	A	20010214	CN 2000-122523	20000804
CN 1146455	C	20040421		
TR 2000002298	A2	20010321	TR 2000-2298	20000804
JP 2001089472	A	20010403	JP 2000-236848	20000804
JP 3260350	B2	20020225		
MX 2000007661	A	20020312	MX 2000-7661	20000804
HU 2000003112	A2	20021128	HU 2000-3112	20000804
HU 2000003112	A3	20030728		
RU 2240317	C2	20041120	RU 2000-120522	20000804
BR 2000003375	A	20010313	BR 2000-3375	20000807

PRIORITY APPLN. INFO.: EP 1999-115557 A 19990806

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 134:147611

GI



- AB The title compds. (I) [wherein R1 = H, alkyl, O, halo, OR, cycloalkoxy, (un)substituted cycloalkylalkoxy, cyanoalkoxy, (fluoro)alkoxy, aminoalkoxy, alkenyloxy, phenylalkoxy, heterocyclylalkoxy, sulfonyloxyalkoxy, SR, carboxyalkylthio, NR2, hydroxyalkylamino, or heterocyclylalkylamino; n = 1-6; R = independently H, alkyl, or alkenyl; R2 = NO2 or CN; R3 = H, alkyl, O, S, SR, alkylsulfonyl, cycloalkyl, CONR2, NR2, alkyl, OR, or (un)substituted piperazino, carbamoylalkyl, alkoxyalkyl, fluoroalkyl, trifluoroacetoxyalkyl, carboxyalkyl, phenylthioalkyl, heterocyclylalkoxy, acylamino, alkylamino, phenoxyalkylamino, heterocyclylalkylamino, fluoroalkoxy, etc.; R4 = H, alkyl, alkenyl, NO2, OR, NR2, or (un)substituted fluoroalkoxy, fluoroalkyl, phenylalkyl, alkoxyalkanol, aminoalkyl, carboxyalkyl, alkylsulfonyloxyalkyl, fluoroalkenyl, heterocyclylalkyl, heterocyclylalkylamino, alkoxy-carbonylamino, alkoxy-carbonylhydrazino, aminofluoroalkenylamino; or R4 and R1 or R3 and R4 form an addnl. ring; R5 and R6 = independently H, alkyl, alkoxy, NH2, HO2, SO2NH2, or halo; or R5 and R6 = OCH2O; R7 and R8 = independently H, alkyl, alkoxy, NH2, NO2, or halo; R9 and R10 = independently H or alkyl; R11 and R12 = independently H, alkyl, OH, alkoxy, alkoxy-carbonyloxy, or alkanoyloxy; R13 and R14 = independently H, T, or alkyl; R15 and R16 = independently H, T, alkyl, OH, alkoxy, alkoxy-carbonyloxy, or alkanoyloxy; or R15 and R16 = O; X = N or C; Y = N, NH, or CH] were prepared. For example, addition of Et 2-cyano-3,3-bis(methylthio)acrylate to 2,3,4,5-tetrahydro-1H-benzo[d]azepine•HCl using TEA and K2CO3 in EtOH gave 2-cyano-3-methylsulfanyl-3-(1,2,4,5-tetrahydrobenzo[d]azepin-3-yl)acrylic acid Et ester (64%). The benzazepinylacrylate ester was treated with NH2C(NH)NH2•HNO3 and 1,8-diazabicyclo[5.4.0]undec-7-ene in DMF to give II (R = H). Ethylation of II (R = H) with EtI in DMF in the presence of K2CO3 afforded the preferred metabotropic glutamate receptor 1 (mGluR1) antagonist II (R = Et), which gave an IC50 values of

0.009 μM and 0.003 μM , resp. in functional and binding assays for the characterization of mGluR1 antagonist properties. I are useful in the prevention or control of acute and/or chronic neurol. disorders and as radiolabeled mGluR1 receptor antagonists in binding assays (no data).

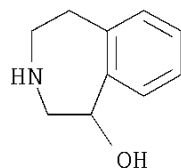
IT 19301-11-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of tetrahydrobenzo[d]azepine mGluR1 antagonists by addition of chloroheterocycles or halobenzenes to tetrahydrobenzo[d]azepines or by cycloaddn. of guanidines to 3-methylthio-3-(tetrahydrobenzo[d]azepin-3-yl)acrylates)

RN 19301-11-2 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT:	8	THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT:	2	THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 33 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2000:199548 CAPLUS
 DOCUMENT NUMBER: 133:58698
 TITLE: Enantioselective synthesis of tetrahydroisoquinolines
 and benzazepines by silane terminated Heck reactions
 with the chiral ligands (+)-TMBTP and (R)-BITIANP
 AUTHOR(S): Tietze, Lutz F.; Thede, Kai; Schimpf, Ralph;
 Sanniccolo, Franco
 CORPORATE SOURCE: Institut fur Organische Chemie der Universitat
 Gottingen, Gottingen, D-37077, Germany
 SOURCE: Chemical Communications (Cambridge) (2000), (7),
 583-584
 CODEN: CHCOFS; ISSN: 1359-7345
 PUBLISHER: Royal Society of Chemistry
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 133:58698
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

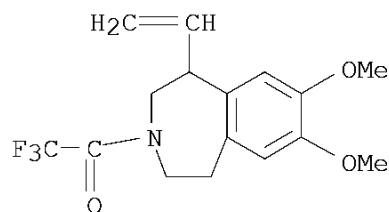
AB The intramol. Heck reaction of the iodoaryl compound I (R = MeO, n = 1) with
 a (Z)-allylsilane moiety in the presence of the chiral ligand (+)-TMBTP
 [(+)-II] leads to the benzazepine III (R = H) with 92% ee, whereas I (R =
 MeO, n = 1) with an (E)-allylsilane moiety in the presence of the chiral
 ligand (R)-BITIANP [(R)-IV] gives III (R = SiMe3) with 91% ee; in a
 similar way, I (R = H, MeO; n = 0) were transformed in the presence of
 (+)-II into the tetrahydroisoquinolines V (R = H, MeO) with 86 and 84% ee,
 resp.

IT 154138-48-4P 157105-52-7P 157183-88-5P
 278171-54-3P 278171-55-4P 278171-56-5P
 278171-57-6P 278171-58-7P 278171-59-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (asym. synthesis of tetrahydroisoquinolines and -benzazepines by
 silane-terminated Heck reactions with chiral ligands)

RN 154138-48-4 CAPLUS

CN Ethanone, 1-(1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



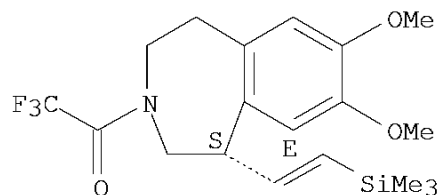
RN 157105-52-7 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7,8-dimethoxy-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

10/560,953

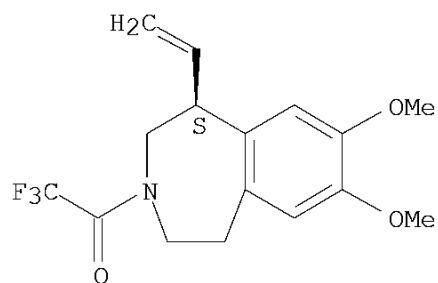
Double bond geometry as shown.



RN 157183-88-5 CAPLUS

CN Ethanone, 1-[(1S)-1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

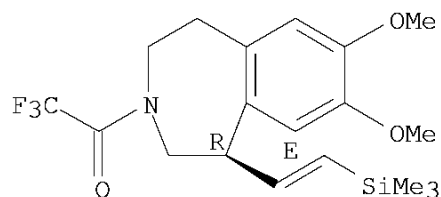


RN 278171-54-3 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1R)-1,2,4,5-tetrahydro-7,8-dimethoxy-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

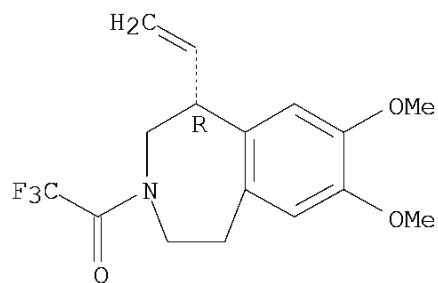


RN 278171-55-4 CAPLUS

CN Ethanone, 1-[(1R)-1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

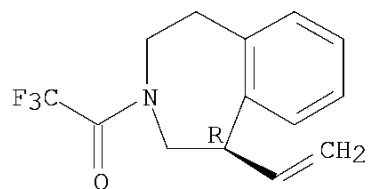
10/560,953



RN 278171-56-5 CAPLUS

CN Ethanone, 1-[(1R)-1-ethenyl]-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

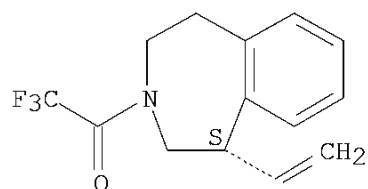
Absolute stereochemistry.



RN 278171-57-6 CAPLUS

CN Ethanone, 1-[(1S)-1-ethenyl]-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



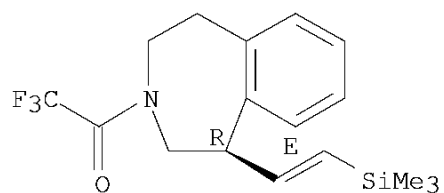
RN 278171-58-7 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1R)-1,2,4,5-tetrahydro-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

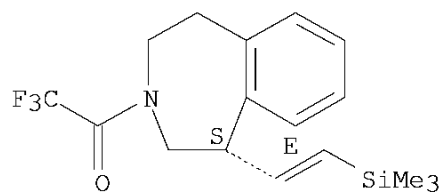
Double bond geometry as shown.

10/560,953



RN 278171-59-8 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT:	52	THERE ARE 52 CAPLUS RECORDS THAT CITE THIS RECORD (53 CITINGS)
REFERENCE COUNT:	19	THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 34 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:630262 CAPLUS

DOCUMENT NUMBER: 127:314361

ORIGINAL REFERENCE NO.: 127:61365a,61368a

TITLE: Normal-phase liquid chromatography-particle-beam mass spectrometry in drug metabolism studies of the dopamine receptor antagonist Odapipam and the muscarine M1 receptor agonist Xanomeline

AUTHOR(S): Andersen, J. Vanggaard; Hansen, K. T.

CORPORATE SOURCE: Department of Drug Metabolism, Health Care Discovery and Development, Novo Nordisk A/S, Malov, DK-2760, Den.

SOURCE: Xenobiotica (1997), 27(9), 901-912

CODEN: XENOBH; ISSN: 0049-8254

PUBLISHER: Taylor & Francis

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The metabolism of Odapipam has been studied with phenobarbital-induced rat liver microsomes, followed by anal. with normal-phase HPLC in combination with particle-beam mass spectrometry. During the incubation of Odapipam, five different metabolites were formed. The EI+ mass spectra of the metabolites indicated the formation of N-desmethyl-Odapipam, 1-hydroxy-Odapipam, the two isomers of 3'-hydroxy-Odapipam and a metabolite which was dehydrogenated in the dihydrobenzofuran moiety. The intrinsic hepatic extraction ratio and metabolism of Xanomeline has been studied in

the perfused rat liver. Increasing the input concentration resulted in measurable concns. of Xanomeline in the perfusate, although the extraction ratio was still >0.9 at 140 μ M. Anal. of the perfusate by normal-phase HPLC and particle-beam mass spectrometry showed the formation of at least six metabolites. The EI+ mass spectrum of the metabolites indicated the formation of ω -3 hydroxy-, ω -2 hydroxy-, ω -1 hydroxy-, ω -1 keto-Xanomeline in addition to ω -1 hydroxy-N-desmethyl-Xanomeline and an N-oxide of Xanomeline. The results show that normal-phase HPLC based on silica material is superior to reversed-phase-based systems in terms of selectivity. Furthermore, the use of non-aqueous solvents in combination with particle-beam mass spectrometry is advantageous compared with reversed-phase HPLC since changing between different solvents in normal-phase HPLC results only in minor changes in the particle-beam interface parameters such as nebulizer position, helium pressure and interface temperature

IT 197728-68-0

RL: ANT (Analyte); BSU (Biological study, unclassified); MFM (Metabolic formation); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative)

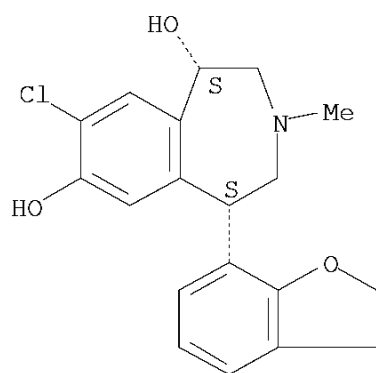
(normal-phase HPLC-particle-beam mass spectrometry in drug metabolism studies of dopamine receptor antagonist Odapipam and muscarine M1 receptor agonist Xanomeline)

RN 197728-68-0 CAPLUS

CN 1H-3-Benzazepine-1,7-diol, 8-chloro-5-(2,3-dihydro-7-benzofuranyl)-2,3,4,5-tetrahydro-3-methyl-, (1S,5S)- (CA INDEX NAME)

Absolute stereochemistry.

10/560,953



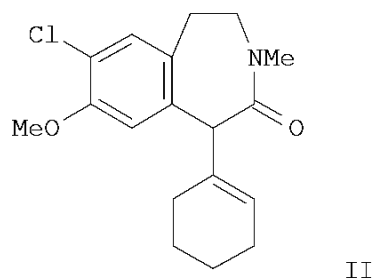
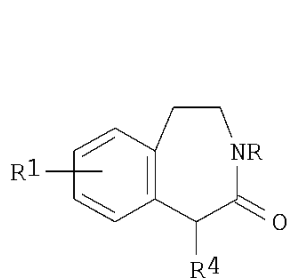
OS.CITING REF COUNT:	7	THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT:	26	THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 35 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1996:447104 CAPLUS
 DOCUMENT NUMBER: 125:142587
 ORIGINAL REFERENCE NO.: 125:26689a,26692a
 TITLE: Process for preparation of (alkenyl)benzazepinones
 INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Kozlowski, Joseph A.;
 Zhou, Guowei
 PATENT ASSIGNEE(S): Schering Corp., USA
 SOURCE: U.S., 13 pp., Cont.-in-part of U.S. 5,241,065.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5530125	A	19960625	US 1994-290894	19940819
US 5241065	A	19930831	US 1992-841603	19920225
WO 9316997	A1	19930902	WO 1993-US1425	19930223
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1992-841603	A2 19920225
			WO 1993-US1425	W 19930223

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 125:142587; MARPAT 125:142587
 GI



AB A process for the preparation of α -substituted arylethylamines I (R, R1 = substituent; R4 = alkenyl, cycloalkenyl; p = 0-3) comprises the treatment of an arylacetamide with a strong base in an inert aprotic organic solvent, followed by reaction with a zerovalent transition metal catalyst and then with a compound of the formula R X, (R4 = 1-alkenyl, 1-cycloalkenyl; X = leaving group). The α -substituted arylacetamides are useful as intermediates in the preparation (by reduction) of α -substituted arylethylamines, e.g., 1-substituted-2,3,4,5-tetrahydro-1H-3-benzazepines, having pharmacol. activity. Certain benzazepines wherein the 1-substituent R4 = 1-(1-cycloalkenyl) are new. For example, the alkenylation of 7-chloro-1,3,4,5-tetrahydro-8-methoxy-3-methyl-2H-3-

benzazepin-2-one with cyclohexenyl triflate in the presence of tetrakis(triphenylphosphine)palladium gave 7-chloro-1-(1-cyclohexen-1-yl)-1,3,4,5-tetrahydro-8-methoxy-3-methyl-2H-3-benzazepin-2-one (II).

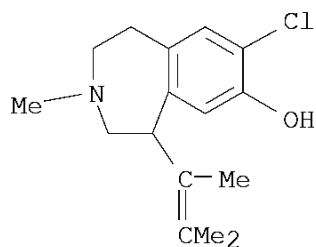
IT 179419-72-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(preparation of (alkenyl)benzazepinones via transition metal-catalyzed regioselective alkenylation of benzazepinones)

RN 179419-72-8 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-5-(1,2-dimethyl-1-propen-1-yl)-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

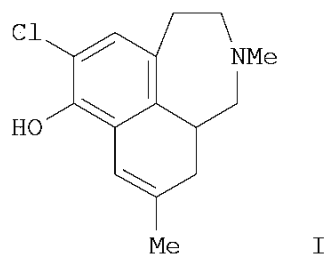
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 36 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:305899 CAPLUS
 DOCUMENT NUMBER: 122:213955
 ORIGINAL REFERENCE NO.: 122:39111a,39114a
 TITLE: Bridged benzazepines as selective D-1 receptor antagonists
 INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Clader, John W.
 PATENT ASSIGNEE(S): Schering Corporation, USA
 SOURCE: U.S., 19 pp. Cont.-in-part of U.S. Ser. No. 587,894, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5374722	A	19941220	US 1993-27167	19930316
WO 9205157	A1	19920402	WO 1991-US6705	19910920
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1990-587894	B2 19900925
			WO 1991-US6705	W 19910920

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 122:213955
 GI



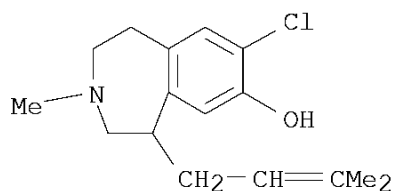
AB I is useful as an agent in the treatment of psychoses and drug dependence and for providing an analgesic effect. Minimal ED in rats in the conditioned avoidance response suppression test at 1 h. posttreatment after oral and 0.5 h. after s.c. administration by I derivs.: from 3 to >30 and 0.3 to >10, resp. Inhibition consts. K_i related to IC_{50} = concentration of test drug (I derivs.) necessary to displace 50% of specifically bound titrated compds. from D-1 and D-2 receptors were determined: from 1.1 to 2080 and from 147-42,800, resp. Thus, I derivs. bind strongly to the D-1 receptor site, and are not specifically bound to the D-2 site. Pharmaceutical formulations were given.

IT 118615-86-4P 143030-43-7P 143030-45-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(bridged benzazepines as selective D-1 receptor antagonists)

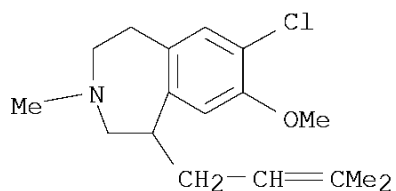
RN 118615-86-4 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-buten-1-yl)- (CA INDEX NAME)



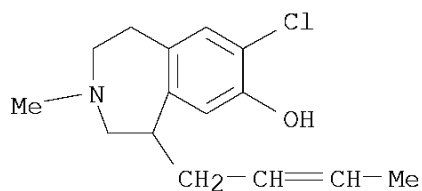
RN 143030-43-7 CAPLUS

CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-8-methoxy-3-methyl-1-(3-methyl-2-buten-1-yl)- (CA INDEX NAME)



RN 143030-45-9 CAPLUS

CN 1H-3-Benzazepin-7-ol, 5-(2-buten-1-yl)-8-chloro-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)



OS.CITING REF COUNT:	1	THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT:	4	THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 37 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:288466 CAPLUS

DOCUMENT NUMBER: 122:68762

ORIGINAL REFERENCE NO.: 122:12899a,12902a

TITLE: (+)-N-Trichloroacetyl-7,8-dimethoxy-1-vinyl-2,3,4,5-tetrahydro-1H-3-benzazepine at 153 K

AUTHOR(S): Pohl, Ehmke; Herbst-Irmer, Regine; Schimpf, Ralph; tietze, Lutz F.

CORPORATE SOURCE: Inst. Anorg. Chem., Univ. Goettingen, Goettingen, 37077, Germany

SOURCE: Acta Crystallographica, Section C: Crystal Structure Communications (1994), C50(12), 1978-80
CODEN: ACSCEE; ISSN: 0108-2701

PUBLISHER: Munksgaard

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The crystal structure anal. of the title compound, C₁₆H₁₈Cl₃N₃O₃, was carried out at low temperature to determine the absolute configuration of the compound
Crystallog.

data and atomic coordinates are given.

IT 157105-53-8

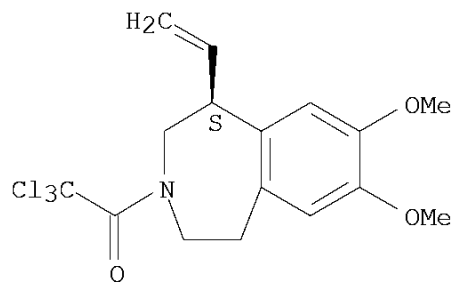
RL: PRP (Properties)

(crystal structure and absolute configuration at low temperature of)

RN 157105-53-8 CAPLUS

CN 1H-3-Benzazepine, 1-ethenyl-2,3,4,5-tetrahydro-7,8-dimethoxy-3-(trichloroacetyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L20 ANSWER 38 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:533936 CAPLUS

DOCUMENT NUMBER: 121:133936

ORIGINAL REFERENCE NO.: 121:24212h,24213a

TITLE: Regio- and enantioselective silicon-terminated intramolecular Heck reactions

AUTHOR(S): Tietze, Lutz F.; Schimpf, Ralph

CORPORATE SOURCE: Institut fuer Organische Chemie, Universitaet Goettingen, Goettingen, D-37077, Germany

SOURCE: Angewandte Chemie (1994), 106(10), 1138-9 (See also Angew. Chem., Int. Ed. Engl., 1994, 33(10), 1089-91)

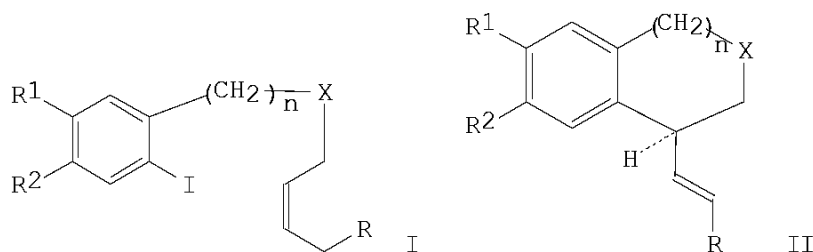
CODEN: ANCEAD; ISSN: 0044-8249

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 121:133936

GI



AB Palladium complex-catalyzed Heck reactions of I (R = H, SiMe₃; X = NCOCF₃, n = 1, R¹ = R² = H, n = 2, R¹ = R² = MeO; X = CH₂, R¹ = MeO, R² = H) were compared. Thus, I (R = SiMe₃) afforded cyclic compds. II (R = H or SiMe₃), the ratio depending on the substrate and catalyst.

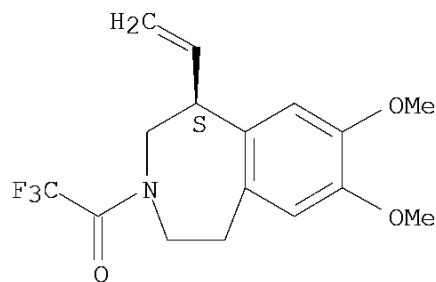
IT 157183-88-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reduction of)

RN 157183-88-5 CAPLUS

CN Ethanone, 1-[(1S)-1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



IT 157105-53-8P

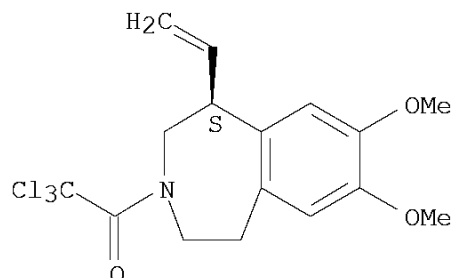
10/560,953

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and x-ray anal. of)

RN 157105-53-8 CAPLUS

CN 1H-3-Benzazepine, 1-ethenyl-2,3,4,5-tetrahydro-7,8-dimethoxy-3-(trichloroacetyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 157105-52-7P

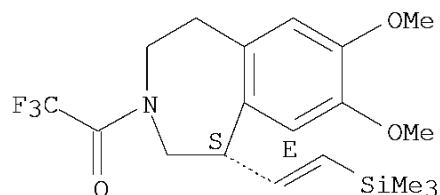
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 157105-52-7 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7,8-dimethoxy-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



OS.CITING REF COUNT: 13

THERE ARE 13 CAPLUS RECORDS THAT CITE THIS
RECORD (13 CITINGS)

L20 ANSWER 39 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:244692 CAPLUS
 DOCUMENT NUMBER: 120:244692
 ORIGINAL REFERENCE NO.: 120:43368h,43369a
 TITLE: Preparation of
 1,4-dihydro-4-phenyl-3,5-pyridinedicarboxylic acids as
 calcium antagonists
 INVENTOR(S): Nagasaka, Tatsuo; Kosugi, Yoshuki; Kawahara, Toshio;
 Kakimoto, Masanori; Tamura, Koichi; Hirata, Akikage
 PATENT ASSIGNEE(S): Wakunaga Seiyaku Kk, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 33 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05339263	A	19931221	JP 1992-147518	19920608
PRIORITY APPLN. INFO.:			JP 1992-147518	19920608
OTHER SOURCE(S):	MARPAT	120:244692		

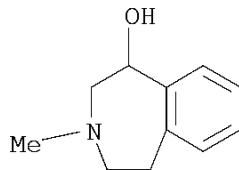
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. (I; X, Y = NO₂, halo; R₁ = Q - Q₇; R₂ = alkyl, alkenyl, aralkyl, acyl, toluenesulfonyl, esterified CO₂H; R₃ = H, alkoxy; R₄ = H, alkyl; R₅ = H, Ph, aralkyl, alkoxy, optionally alkenyloxy- or PhO-substituted alkyl; R₆ = H, alkyl, Ph; R₇ = alkyl, aralkyl, Ph), useful for the treatment of angina pectoris and hypertension, are prepared Thus, chlorination of I (X = R₁ = H, Y = 3-NO₂) with SOCl₂ in DM -CH₂Cl₂ followed by esterification with quinolinol derivative Q1-OH (R₂ = PhCH₂) gave I (X = H, Y = 3-NO₂, R₁ = Q₁, R₂ = PhCH₂). In Rosenberger's assay for determination of Ca antagonist activity, I (X = H, Y = 3-NO₂, R₁ = Q, R₂ = PhCH₂) at 10⁻⁸ M inhibited 76.5% KCl-induced contraction of guinea pig's ileum vs. 97.5% nifedipine.

IT 35613-12-8, 1,2,4,5-Tetrahydro-3-methyl-3H-benzazepin-1-ol
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (esterification of, with pyridinedicarboxylic acid derivative)

RN 35613-12-8 CAPLUS
 CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

L20 ANSWER 40 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:244631 CAPLUS

DOCUMENT NUMBER: 120:244631

ORIGINAL REFERENCE NO.: 120:43353a,43356a

TITLE: Efficient synthesis of
2,3,4,5-tetrahydro-1H-3-benzazepines by intramolecular
Heck reaction

AUTHOR(S): Tietze, Lutz F.; Schimpf, Ralph

CORPORATE SOURCE: Inst. Org. Chem., Univ. Goettingen, Goettingen,
D-3400, Germany

SOURCE: Synthesis (1993), (9), 876-80

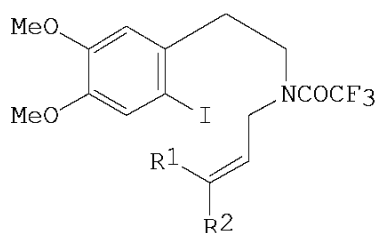
CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal

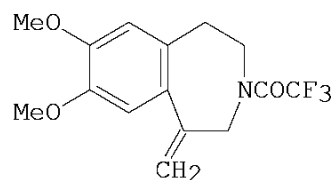
LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:244631

GI



I



II

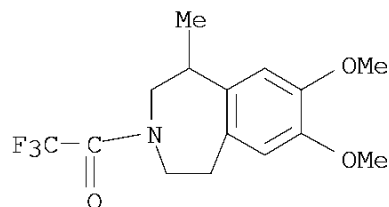
AB A new facile method for the preparation of the pharmacol. interesting
3-benzazepine skeleton is described. N-[(iodophenyl)ethyl]-N-allylamine
easily available from iodinated benzene derivs. are alkylated with allyl
halides to afford compds. N-[2-(2-iodophenyl)ethyl]-N-allylamines I (R1,
R2 = H, Me). Pd-catalyzed Heck-type cyclization of I leads to
3-benzazepines such as II; hydrogenation of II gives the corresponding
racemic alkyl-substituted benzazepine.

IT 154138-53-1P 154138-54-2P 154138-55-3P

154138-56-4P 154138-57-5P 154138-58-6P

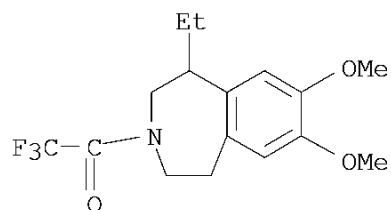
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 154138-53-1 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7,8-dimethoxy-1-methyl-3H-
3-benzazepin-3-yl)- (CA INDEX NAME)

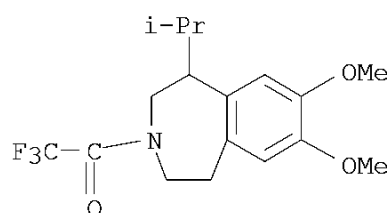
RN 154138-54-2 CAPLUS

CN Ethanone, 1-(1-ethyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-
yl)-2,2,2-trifluoro- (CA INDEX NAME)



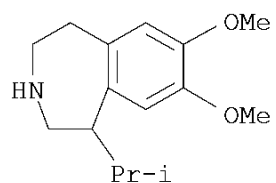
RN 154138-55-3 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7,8-dimethoxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



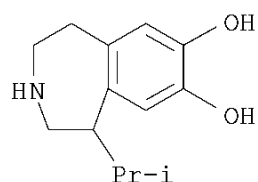
RN 154138-56-4 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-1-(1-methylethyl)- (CA INDEX NAME)



RN 154138-57-5 CAPLUS

CN 1H-3-Benzazepine-7,8-diol, 2,3,4,5-tetrahydro-1-(1-methylethyl)-, hydrobromide (1:1) (CA INDEX NAME)

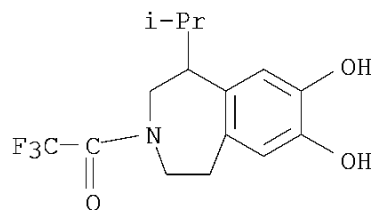


● HBr

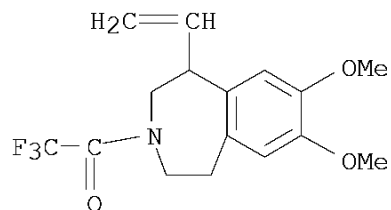
RN 154138-58-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7,8-dihydroxy-1-(1-

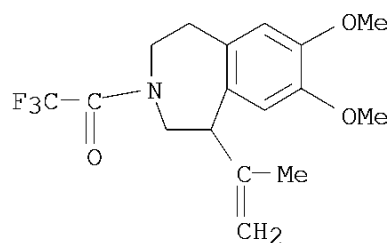
methylethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



IT 154138-48-4P 154138-51-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation, Heck reaction of N-[(iodophenyl)ethyl]-N-allylamine)
 RN 154138-48-4 CAPLUS
 CN Ethanone, 1-(1-ethenyl)-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 154138-51-9 CAPLUS
 CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7,8-dimethoxy-1-(1-methylethenyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

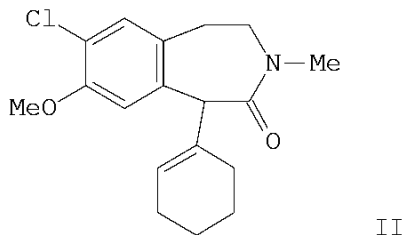
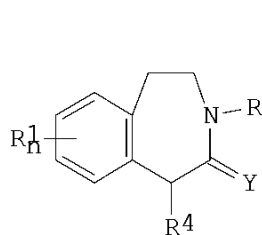


OS.CITING REF COUNT: 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)

L20 ANSWER 41 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:134315 CAPLUS
 DOCUMENT NUMBER: 120:134315
 ORIGINAL REFERENCE NO.: 120:23651a,23654a
 TITLE: 2,3,4,5-Tetrahydro-1H-3-benzazepines having
 antipsychotic activity
 INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Kozlowski, Joseph A.;
 Zhou, Guowei
 PATENT ASSIGNEE(S): Schering Corp., USA
 SOURCE: U.S., 14 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5241065	A	19930831	US 1992-841603	19920225
ZA 9301261	A	19930823	ZA 1993-1261	19930223
CA 2130797	A1	19930902	CA 1993-2130797	19930223
CA 2130797	C	20060704		
WO 9316997	A1	19930902	WO 1993-US1425	19930223
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9337221	A	19930913	AU 1993-37221	19930223
EP 628030	A1	19941214	EP 1993-906034	19930223
EP 628030	B1	20021211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07504196	T	19950511	JP 1993-514943	19930223
IL 104828	A	19990817	IL 1993-104828	19930223
AT 229510	T	20021215	AT 1993-906034	19930223
ES 2183810	T3	20030401	ES 1993-906034	19930223
US 5530125	A	19960625	US 1994-290894	19940819
PRIORITY APPLN. INFO.:			US 1992-841603	A 19920225
			WO 1993-US1425	W 19930223
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): CASREACT 120:134315; MARPAT 120:134315				
GI				



AB The title compds. I (R = alkyl, alkenyl, aryl, aralkyl, cycloalkyl,

cycloalkyl alkyl; R1 = alkenyl, alkoxy, HO, alkenyloxy, cycloalkyl, NO2, halogen, Ph, PhO; R4 = 1-cycloalkenyl; Y = O, H2), useful as antipsychotic agents, are prepared from aryl acetamides in the presence of a strong base followed by reaction with zero-valent transition metal catalysts and then with cycloalkenyl group R4X (X = leaving group). Thus, 7-chloro-8-methoxy-3-methyl-1,3,4,5-tetrahydro-2H-3-benzazepin-2-one was reacted with Li diisopropylamide in the presence of Pd (PPH3)4 followed by addition of 1-cyclohexenyl triflate, producing II.

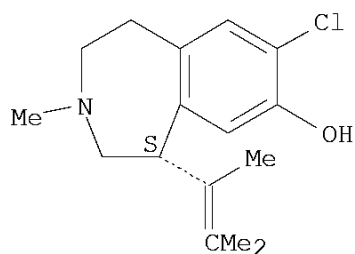
IT 152807-92-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antipsychotic activity of)

RN 152807-92-6 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-5-(1,2-dimethyl-1-propenyl)-2,3,4,5-tetrahydro-3-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT:	4	THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT:	2	THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 42 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1993:539050 CAPLUS

DOCUMENT NUMBER: 119:139050

ORIGINAL REFERENCE NO.: 119:24931a,24934a

TITLE: Dopamine receptor binding properties of some
2,3,4,5-tetrahydro-1H-3-benzazepin-7-ols with
nonaromatic substituents in the 5-positionAUTHOR(S): Chang, Wei K.; Peters, Marjorie; Fevig, Vicki P.;
Kozlowski, Joseph A.; Zhou, Gouwei; Lowe, Derek B.;
Guzik, Henry; McQuade, Robert D.; Duffy, Ruth; et al.
CORPORATE SOURCE: Schering-Plough Res. Inst., Bloomfield, NJ, 07003, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1992), 2(5),
399-402

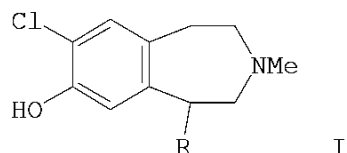
CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE: Journal

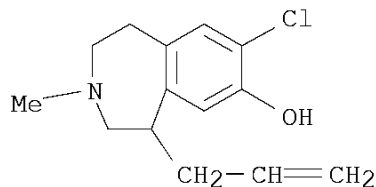
LANGUAGE: English

OTHER SOURCE(S): CASREACT 119:139050

GI

AB The title compds. I (R = H, Pr, EtS, cyclohexyl) related to the selective
dopamine D-1 antagonist SCH 23390, but bearing non-aromatic substituents in
the 5-position possess considerable affinity and selectivity for D-1 vs.
D-2 receptors.IT 118615-62-6P 118615-83-1P 149435-02-9P
149454-12-6PRL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and SAR of)

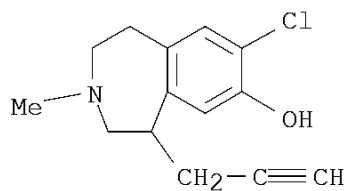
RN 118615-62-6 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-
yl)- (CA INDEX NAME)

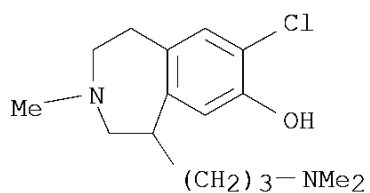
RN 118615-83-1 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propyn-1-
yl)- (CA INDEX NAME)

10/560,953

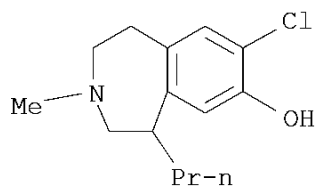


RN 149435-02-9 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-5-[3-(dimethylamino)propyl]-2,3,4,5-tetrahydro-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 149454-12-6 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-propyl- (CA INDEX NAME)



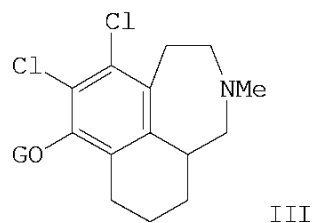
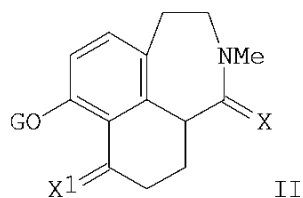
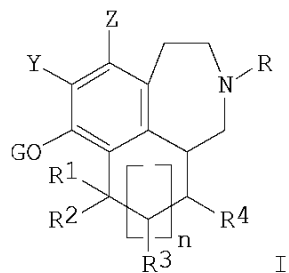
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L20 ANSWER 43 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1992:571248 CAPLUS
 DOCUMENT NUMBER: 117:171248
 ORIGINAL REFERENCE NO.: 117:29605a,29608a
 TITLE: Peri-condensed benzazepines
 INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Clader, John W.
 PATENT ASSIGNEE(S): Schering Corp., USA
 SOURCE: PCT Int. Appl., 67 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9205157	A1	19920402	WO 1991-US6705	19910920
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9185365	A	19920415	AU 1991-85365	19910920
EP 551312	A1	19930721	EP 1991-916793	19910920
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05506246	T	19930916	JP 1991-515385	19910920
JP 06015530	B	19940302		
ZA 9107573	A	19920624	ZA 1991-7573	19910923
US 5374722	A	19941220	US 1993-27167	19930316
PRIORITY APPLN. INFO.:			US 1990-587894	A2 19900925
			WO 1991-US6705	A 19910920

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 117:171248
 GI



AB Compds. of formula I [R = H, alkyl, allyl, n = 0, 1; R1, R2 = H, OH, C1-4 alkyl or Ar; R3, R4 = H, C1-4 alkyl, G = H, R5R6NCO, ArNHCO (R5, R6 = H, C1-4 alkyl, aryl); Ar = Ph, substituted Ph; Y, Z = H, halo, C1-4 alkyl, C1-4 alkoxy, C1-4 haloalkyl] were prepared for use as antipsychotics, in treatment of drug dependency, and as analgesics. Thus, hydrogenation of naphthazepinedione II (X = X1 = O; G = Me) over Pd-C gave monoketones II (X1 = H2) which was reduced by BH3-THF to give methoxy derivative II (X = X1 = H2; G = Me) followed by chlorination with SO2Cl2 to give dichloro derivative III (G = Me). Cleavage of III by 48% HBr gave phenol III (G = H), the

10/560,953

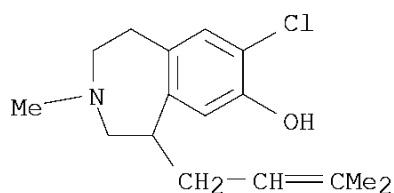
most preferred compound

IT 118615-86-4P 143030-45-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cyclization by methanesulfonic acid)

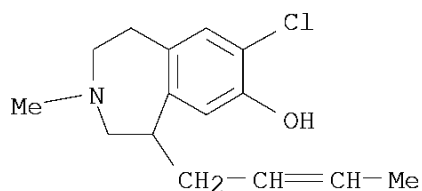
RN 118615-86-4 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-buten-1-yl)- (CA INDEX NAME)



RN 143030-45-9 CAPLUS

CN 1H-3-Benzazepin-7-ol, 5-(2-buten-1-yl)-8-chloro-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)

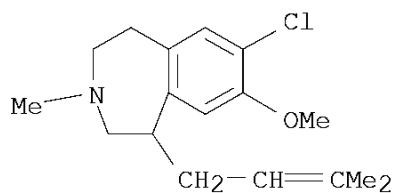


IT 143030-43-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and demethylation of)

RN 143030-43-7 CAPLUS

CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-8-methoxy-3-methyl-1-(3-methyl-2-buten-1-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 44 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1989:75345 CAPLUS

DOCUMENT NUMBER: 110:75345

ORIGINAL REFERENCE NO.: 110:12449a,12452a

TITLE: Substituted benzazepines, their preparation,
pharmaceutical compositions containing them, and their
use as antipsychotics

INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Peters, Marjorie

PATENT ASSIGNEE(S): Schering Corp., USA

SOURCE: Eur. Pat. Appl., 45 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

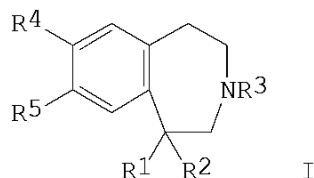
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 285919	A1	19881012	EP 1988-104758	19880324
EP 285919	B1	19941012		
R: ES, GR				
ZA 8802080	A	19890426	ZA 1988-2080	19880323
WO 8807526	A1	19881006	WO 1988-US899	19880324
W: AU, DK, FI, HU, JP, KR, NO, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8815964	A	19881102	AU 1988-15964	19880324
AU 619744	B2	19920206		
EP 357641	A1	19900314	EP 1988-903596	19880324
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 02502723	T	19900830	JP 1988-503399	19880324
JP 06062574	B	19940817		
HU 53882	A2	19901228	HU 1988-2812	19880324
HU 205744	B	19920629		
IL 85855	A	19930221	IL 1988-85855	19880324
CA 1321195	C	19930810	CA 1988-562352	19880324
AT 112766	T	19941015	AT 1988-104758	19880324
NO 8805096	A	19881115	NO 1988-5096	19881115
NO 174507	B	19940207		
NO 174507	C	19940518		
DK 8806526	A	19881123	DK 1988-6526	19881123
DK 165688	B	19930104		
DK 165688	C	19930524		
US 5015639	A	19910514	US 1989-322801	19890313
FI 8904566	A	19890927	FI 1989-4566	19890927
US 5247080	A	19930921	US 1991-646574	19910221
PRIORITY APPLN. INFO.:			US 1987-32135	A 19870327
			WO 1988-US899	A 19880324
			US 1989-322801	A3 19890313

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 110:75345

GI



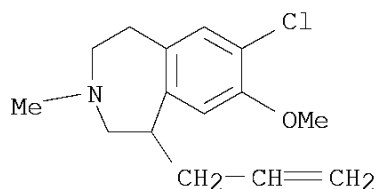
AB The title compds. [I; R1 = H, cycloalkyl, cycloalkenyl, cyano, R6X, R7O2C, R7CO2, R72NCO2, R7C.tplbond.C, R72NCO, imidazolyl, pyrrolyl, (un)substituted alkyl, alkenyl, etc.; R2 = H, OH, alkoxy; R1R2 = atoms to complete a carbocycle or heterocycle; R3 = H, alkyl, CH2CHCH2, cyclopropylmethyl; R4 = H, (halo)alkyl, alkoxy, halo; R5 = R8O, R72N, R,9CO2CR102O; R6 = H, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl, (un)substituted alkyl; R7 = H, alkyl, alkoxy(alkyl), aryl, aralkyl; R8 = H, R7CO, R72NCO; R9 = alkyl, aryl, aralkyl; R10 = H, alkyl; X = O, S, R7N] and their pharmaceutically acceptable salts were prepared as dopamine D1 receptor antagonists, useful as antipsychotics, antidepressants, and analgesics. 3,4-Cl(MeO)C6H3CH2CH2NHMe was N-alkylated with (EtO)2CHCH2Br and the product was cyclized by heating at 70° with MeSO3H to give I (R1 = EtO, R2 = H, R3 = Me, R4 = Cl, R5 = MeO). The latter was deetherified by heating 10 h with EtSNa in DMF to give I (R1 = EtO, R2 = H, R3 = Me, R4 = Cl, R5 = OH) (II). In the conditioned avoidance response test in rats II suppressed the response with a min. ED of 1 mg/kg s.c.

IT 118615-45-5P 118615-97-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of antipsychotics)

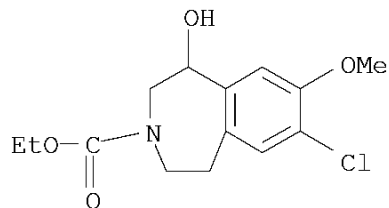
RN 118615-45-5 CAPLUS

CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-8-methoxy-3-methyl-1-(2-propen-1-yl)- (CA INDEX NAME)



RN 118615-97-7 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid,
 7-chloro-1,2,4,5-tetrahydro-1-hydroxy-8-methoxy-, ethyl ester (CA INDEX NAME)

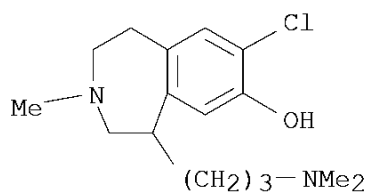


IT 118615-60-4P 118615-62-6P 118615-69-3P
 118615-70-6P 118615-71-7P 118615-72-8P
 118615-83-1P 118615-85-3P 118615-87-5P
 118615-88-6P 118615-89-7P 118615-90-0P
 118615-91-1P 118652-79-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as antipsychotic and antidepressant)

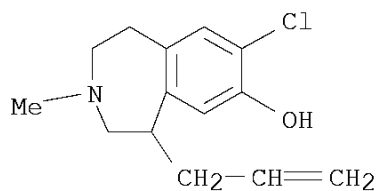
RN 118615-60-4 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-5-[3-(dimethylamino)propyl]-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)



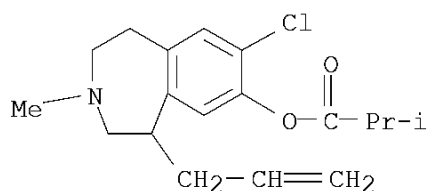
RN 118615-62-6 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)- (CA INDEX NAME)



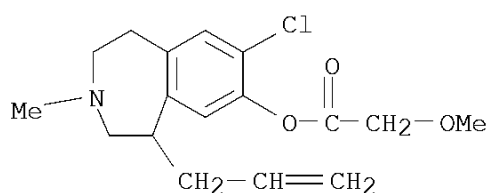
RN 118615-69-3 CAPLUS

CN Propanoic acid, 2-methyl-, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)-1H-3-benzazepin-7-yl ester (CA INDEX NAME)



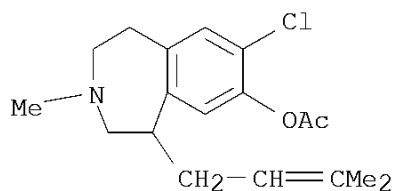
RN 118615-70-6 CAPLUS

CN Acetic acid, 2-methoxy-, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)-1H-3-benzazepin-7-yl ester (CA INDEX NAME)



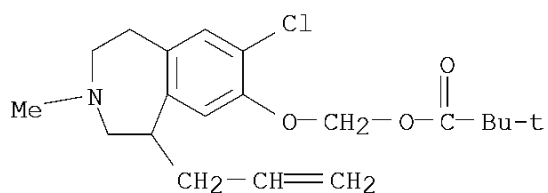
RN 118615-71-7 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-buten-1-yl)-, 7-acetate (CA INDEX NAME)



RN 118615-72-8 CAPLUS

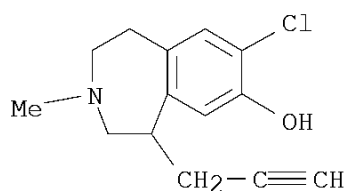
CN Propanoic acid, 2,2-dimethyl-, [[8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)-1H-3-benzazepin-7-yl]oxy]methyl ester (CA INDEX NAME)



RN 118615-83-1 CAPLUS

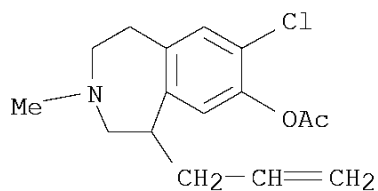
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propyn-1-yl)- (CA INDEX NAME)

10/560,953



RN 118615-85-3 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)-, 7-acetate, hydrochloride (1:1) (CA INDEX NAME)



● HCl

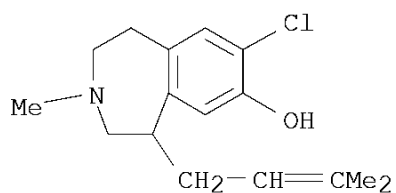
RN 118615-87-5 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-butenyl)-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 118615-86-4

CMF C16 H22 Cl N O



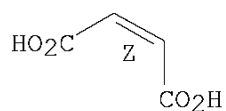
CM 2

CRN 110-16-7

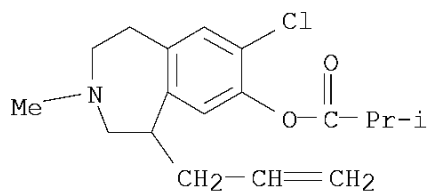
CMF C4 H4 O4

Double bond geometry as shown.

10/560,953

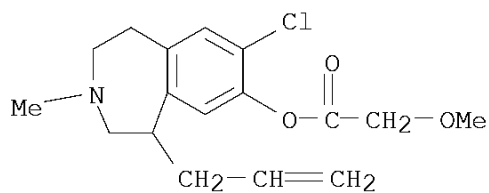


RN 118615-88-6 CAPLUS
CN Propanoic acid, 2-methyl-, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)-1H-3-benzazepin-7-yl ester, hydrochloride (1:1) (CA INDEX NAME)



● HCl

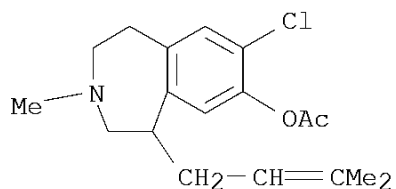
RN 118615-89-7 CAPLUS
CN Acetic acid, 2-methoxy-, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)-1H-3-benzazepin-7-yl ester, hydrochloride (1:1) (CA INDEX NAME)



● HCl

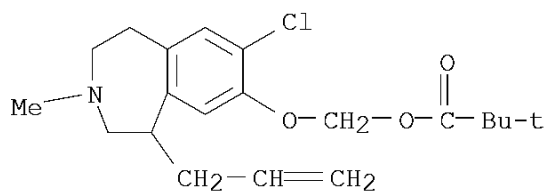
RN 118615-90-0 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-buten-1-yl)-, 7-acetate, hydrochloride (1:1) (CA INDEX NAME)

10/560,953



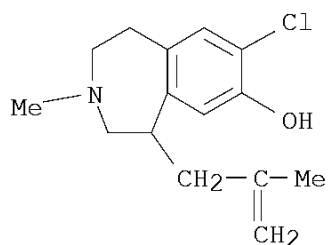
● HCl

RN 118615-91-1 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [[8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)-1H-3-benzazepin-7-yl]oxy]methyl ester, hydrochloride (1:1)
(CA INDEX NAME)



● HCl

RN 118652-79-2 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-methyl-2-propen-1-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)

L20 ANSWER 45 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1987:84373 CAPLUS

DOCUMENT NUMBER: 106:84373

ORIGINAL REFERENCE NO.: 106:13845a,13848a

TITLE: Strategic considerations in the radiosynthesis of substituted 1-phenyl-2,3,4,5-tetrahydro-1H-3-benzazepine-7,8-diols

AUTHOR(S): Blackburn, Dale; Villani, Anthony; Senderoff, Steve; Landvatter, Scott; Garnes, Keith

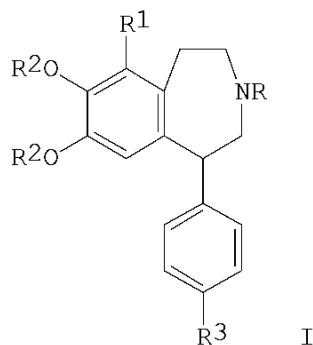
CORPORATE SOURCE: Smith Kline and French Lab., Philadelphia, PA, 19101, USA

SOURCE: Synth. Appl. Isot. Labeled Compd. Proc. Int. Symp., 2nd (1986), Meeting Date 1985, 309-10. Editor(s): Muccino, Richard Robert. Elsevier: Amsterdam, Neth. CODEN: 55BUAT

DOCUMENT TYPE: Conference

LANGUAGE: English

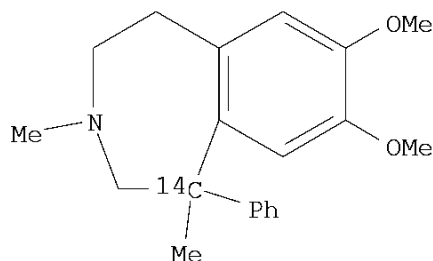
GI

AB Benzazepines I (R-R3 = H; R = R2 = H, R1 = Cl, R3 = OH; R = R2 = Me, R1 = R3 = H; R = allyl, R1 = Cl, R2 = H, R3 = OH) labeled with ¹⁴C and ³H were prepared

IT 106621-73-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and resolution of)

RN 106621-73-2 CAPLUS

CN 1H-3-Benzazepine-1-¹⁴C, 2,3,4,5-tetrahydro-7,8-dimethoxy-1,3-dimethyl-1-phenyl- (9CI) (CA INDEX NAME)

10/560,953

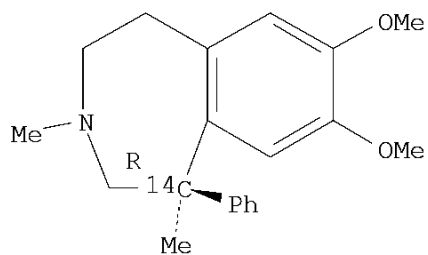
IT 106526-99-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 106526-99-2 CAPLUS

CN 1H-3-Benzazepine-1-¹⁴C, 2,3,4,5-tetrahydro-7,8-dimethoxy-1,3-dimethyl-1-phenyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L20 ANSWER 46 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1987:84066 CAPLUS

DOCUMENT NUMBER: 106:84066

ORIGINAL REFERENCE NO.: 106:13788h,13789a

TITLE: Synthesis and dopaminergic binding of 2-aryldopamine analogs: phenethylamines, 3-benzazepines, and 9-(aminomethyl)fluorenes

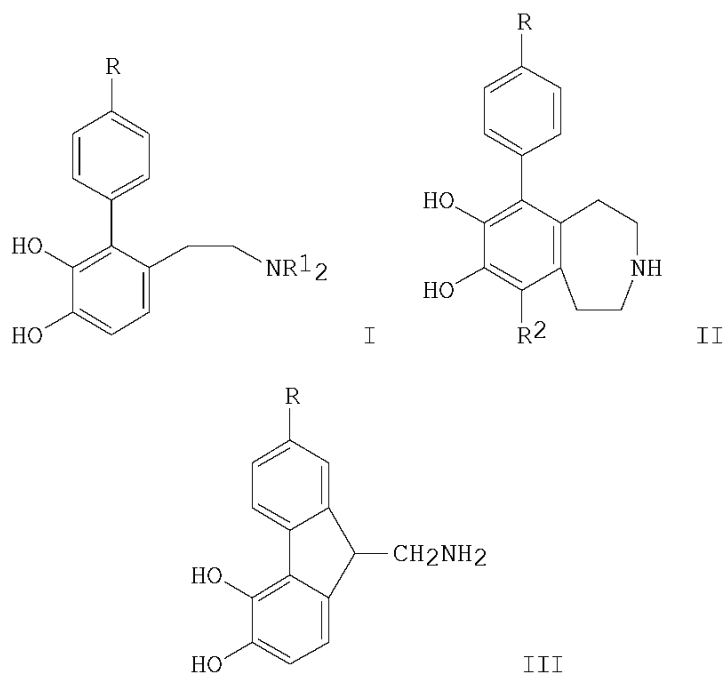
AUTHOR(S): Ladd, David L.; Weinstock, Joseph; Wise, Margaret; Gessner, George W.; Sawyer, John L.; Flaim, Kathryn E.
CORPORATE SOURCE: Dep. Med. Chem., Smith Kline and French Lab., Philadelphia, PA, 19101, USASOURCE: Journal of Medicinal Chemistry (1986), 29(10), 1904-12
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 106:84066

GI



AB A series of 2-aryldopamine analogs, the phenethylamines I ($R = H, HO$; $R_1 = H, Pr$), the 3-benzazepines II ($R = H, HO$; $R_2 = H, Cl$) and the aminoethylfluorenes III ($R = H, HO$) were synthesized and evaluated for their effects on D1 and D2 dopamine receptors. Thus 2,3-(MeO)2C6H3Ph underwent chloromethylation with CH_2O and HCl to give 2,3,4-Ph(MeO)2C6H2CH2Cl, which was treated with $NaCN$ followed by catalytic reduction and demethylation to give I ($R = R_1 = H$). I and II exhibited weak binding to both D1 and D2 receptors. III also exhibited weak D2 binding; however, III ($R = HO$) exhibited D1 binding comparable to apomorphine. The binding activity was correlated with the calculated torsion angle of the biphenyl portion of these mols. Good D1 dopamine binding occurs when the aromatic rings approach coplanarity; poor binding occurs when the aromatic rings

10/560,953

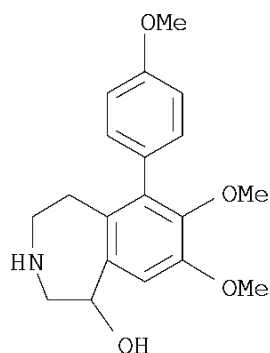
are orthogonal.

IT 103692-42-8P 103692-43-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

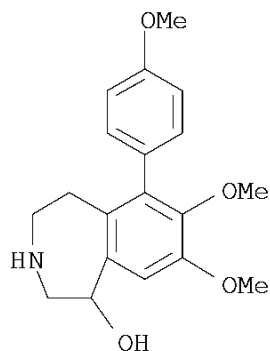
RN 103692-42-8 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy-6-(4-methoxyphenyl)-
(CA INDEX NAME)



RN 103692-43-9 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy-6-(4-methoxyphenyl)-
, hydrochloride (9CI) (CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 9

THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD
(9 CITINGS)

L20 ANSWER 47 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

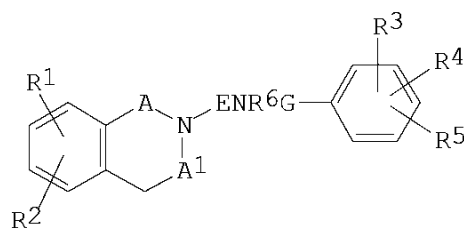
ACCESSION NUMBER: 1985:203882 CAPLUS
 DOCUMENT NUMBER: 102:203882
 ORIGINAL REFERENCE NO.: 102:31953a,31956a
 TITLE: Benzazepine derivatives, and their use
 INVENTOR(S): Reiffen, Manfred; Heider, Joachim; Hael, Norbert;
 Austel, Volkhard; Eberlein, Wolfgang; Kobinger,
 Walter; Lillie, Christian; Noll, Klaus; Pieper,
 Helmut; et al.
 PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
 SOURCE: U.S., 38 pp. Cont.-in-part of U.S. Ser. No. 523,630,
 abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
US 4490369	A	19841225	US 1983-547940	19831102
DE 3119874	A1	19821209	DE 1981-3119874	19810519
DE 3242599	A1	19840524	DE 1982-3242599	19821118
PRIORITY APPLN. INFO.:			DE 1981-3119874	A 19810519
			US 1982-377599	A2 19820512
			DE 1982-3242599	A 19821118
			US 1983-523630	A2 19830815

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 102:203882

GI



AB About 145 examples of the title compds. I [A = CH₂CH₂, CH:CH, NHCO, CH₂CO, CR₇:N (R₇ = alkyl); A₁ = CH₂, CO, CS, COCO, N:CH, CH(OH)CO, CH(OH)CH₂, C(:NOH)CO, CH(NHR₈)CO (R₈ = H, substituted alkyl), CH₂; E, G = (un)substituted alkylene; R₁ = H, halo, CF₃, NH₂, OH, alkyl, alkoxy; R₂ = H, halo, OH, alkyl, alkoxy; R₁R₂ = alkylenedioxy; R₃ = H, halo, OH, -CN, NO₂, CF₃, alkyl, alkoxy; R₄ = H, alkyl, OH alkoxy, NH₂, alkylamino, substituted amino; R₃R₄ = alkylenedioxy; R₅ = H, Cl, Br, -CN, OH, alkyl, alkoxy; R₆ = H, alkyl, phenylalkyl, alkanoyl, alkoxy-carbonyl, alkenyl], useful as bradycardiacs, were prepared Thus, 3,4-dimethoxyphenylacetic acid was treated with thionyl chloride, then with aminoacetaldehyde di-Me acetal, and cyclized in the presence of HCl and HOAc to give 7,8-dimethoxy-1,3-dihydro-2H-3-benzazepin-2-one. The last was treated

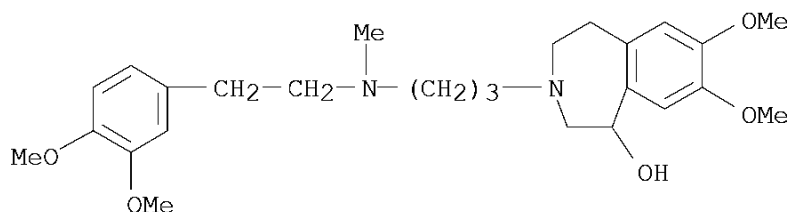
with 1-bromo-3-chloropropane, then hydrogenated to give 1-[7,8-dimethoxy-1,3,4,5-tetrahydro-2H-3-benzazepin-2-on-3-yl]-3-[N-methyl-N-(2-(3,4-dimethoxyphenyl)ethyl)amino]propane dihydrochloride (II). At 1.0 mg/kg i.v., II gave a 55% reduction in heart rate in anesthetized cats with a half life of 120 min.

IT 92452-57-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and bradycardiac activity of)

RN 92452-57-8 CAPLUS

CN 1H-3-Benzazepin-1-ol, 3-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]propyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

OS.CITING REF COUNT:	3	THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT:	6	THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 48 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1984:571128 CAPLUS

DOCUMENT NUMBER: 101:171128

ORIGINAL REFERENCE NO.: 101:25879a,25882a

TITLE: Benzazepine derivatives and their use as heart regulators

INVENTOR(S): Reiffen, Manfred; Heider, Joachim; Austel, Volkhard; Hael, Norbert; Kobinger, Walter; Lillie, Christian

PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.

SOURCE: Ger. Offen., 79 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

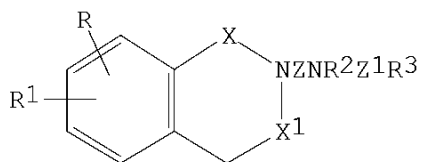
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3242599	A1	19840524	DE 1982-3242599	19821118
US 4490369	A	19841225	US 1983-547940	19831102
EP 109636	A2	19840530	EP 1983-111348	19831114
EP 109636	A3	19851121		
EP 109636	B1	19890118		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
AT 40118	T	19890215	AT 1983-111348	19831114
FI 8304174	A	19840519	FI 1983-4174	19831115
CS 239947	B2	19860116	CS 1983-8456	19831115
DD 215540	A5	19841114	DD 1983-256756	19831116
DK 8305274	A	19840519	DK 1983-5274	19831117
NO 8304222	A	19840521	NO 1983-4222	19831117
AU 8321461	A	19840524	AU 1983-21461	19831117
AU 568101	B2	19871217		
GB 2130213	A	19840531	GB 1983-30731	19831117
GB 2130213	B	19860326		
JP 59106466	A	19840620	JP 1983-217069	19831117
JP 04041144	B	19920707		
HU 32565	A2	19840828	HU 1983-3968	19831117
HU 193189	B	19870828		
ZA 8308572	A	19850731	ZA 1983-8572	19831117
CA 1211107	A1	19860909	CA 1983-441425	19831117
PL 139449	B1	19870131	PL 1983-244610	19831117
IL 70258	A	19870831	IL 1983-70258	19831117
PRIORITY APPLN. INFO.:			DE 1981-3119874	A 19810519
			US 1982-377599	A2 19820512
			DE 1982-3242599	A 19821118
			US 1983-523630	A2 19830815
			EP 1983-111348	A 19831114

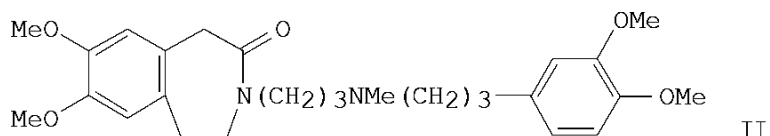
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 101:171128

GI



I



II

AB The title compds. including I [R,R1 = H, Br, Cl, F, F3C, alkoxy, amino; R2 = H, alkyl, alkenyl; R3 = (un)substituted Ph; X = CH2CH2, CH:CH, CH2CO, COCO, CH:N, N:CH, CH(OH)CH2, CH(OH)CO, etc.; X1 = CO, CS; Z = (un)substituted alkylene; Z1 = alkylene, hydroxyalkylene, oxoalkylene] were prepared Thus, 1,3,4,5-tetrahydro-7,8-dimethoxy-2H-3-benzazepin-2-one was alkylated with Br(CH2)3Cl and the resulting 3-(3-chloropropyl) derivative was condensed with 3,4-(MeO)2C6H3(CH2)3NHMe to give the (aminopropyl)benzazepinone II. In rats 5.0 mg II/kg i.v. reduced the heart rate by 183 beats/min.

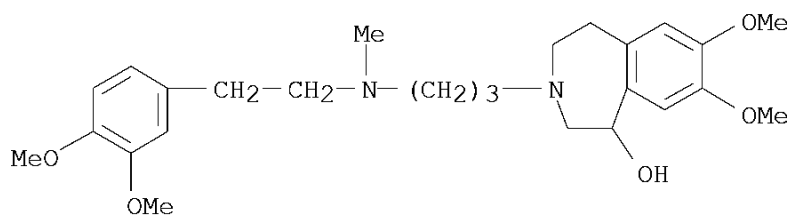
IT 92452-57-8P

92452-58-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 92452-57-8 CAPLUS

CN 1H-3-Benzazepin-1-ol, 3-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]propyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-, dihydrochloride (9CI) (CA INDEX NAME)

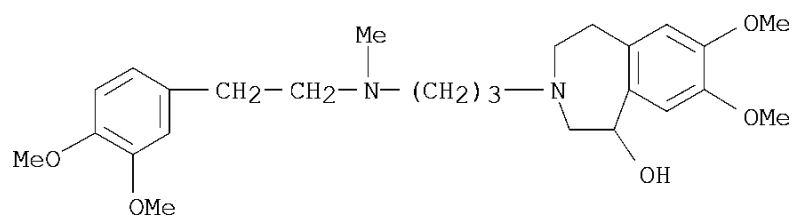


● 2 HCl

RN 92452-58-9 CAPLUS

CN 1H-3-Benzazepin-1-ol, 3-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]propyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-
(CA INDEX NAME)

10/560,953



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L20 ANSWER 49 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1984:174687 CAPLUS

DOCUMENT NUMBER: 100:174687

ORIGINAL REFERENCE NO.: 100:26565a,26568a

TITLE: 1-Aryloxy-2,3,4,5-tetrahydro-3-benzazepines and their use as pharmaceuticals.

INVENTOR(S): Effland, Richard Charles; Klein, Joseph Thomas; Davis, Larry

PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA

SOURCE: Eur. Pat. Appl., 70 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

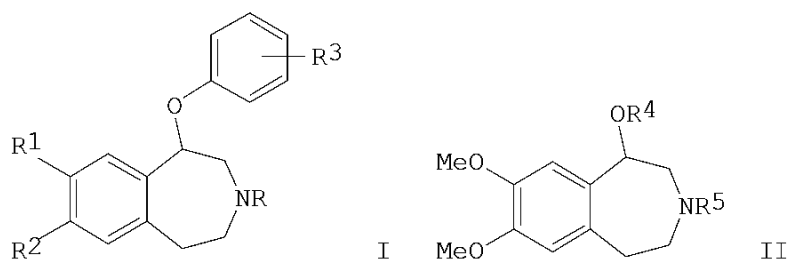
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
EP 96838	A1	19831228	EP 1983-105610	19830608
EP 96838	B1	19870401		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4988690	A	19910129	US 1982-387916	19820614
AT 26263	T	19870415	AT 1983-105610	19830608
FI 8302092	A	19831215	FI 1983-2092	19830610
FI 78473	B	19890428		
FI 78473	C	19890810		
DK 8302709	A	19831215	DK 1983-2709	19830613
JP 59005165	A	19840112	JP 1983-104343	19830613
JP 03065342	B	19911011		
HU 30053	A2	19840228	HU 1983-2096	19830613
HU 190902	B	19861228		
ZA 8304309	A	19840328	ZA 1983-4309	19830613
CA 1214460	A1	19861125	CA 1983-430280	19830613
IL 68964	A	19880331	IL 1983-68964	19830613
AU 8315764	A	19831222	AU 1983-15764	19830614
AU 570920	B2	19880331		
US 4794181	A	19881227	US 1986-819439	19860116
US 4935418	A	19900619	US 1988-236104	19880823
US 5059688	A	19911022	US 1990-513400	19900423
PRIORITY APPLN. INFO.:			US 1982-387916	A 19820614
			EP 1983-105610	A 19830608
			US 1983-541767	A1 19831013
			US 1986-819439	A3 19860116
			US 1988-236104	A3 19880823

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 100:174687

GI

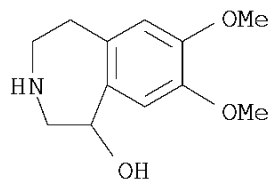


AB Benzazepines I [R = H, alkyl, cycloalkylalkyl, (un)substituted aralkyl; R1, R2 = H, alkoxy; R3 = H, halogen, alkyl, alkoxy, CF₃, NO₂, cyano, NH₂] were prepared. Thus, benzazepine II (R4 = H, R5 = SO₂C₆H₄Me-4) was treated with PhOH to give II (R4 = Ph, R5 = SO₂C₆H₄Me-4), which was reduced to give II (R4 = Ph, R5 = H). II (R4 = Ph, R5 = H) oxalate had an ED₅₀ of 0.85 mg/kg i.p. against tetrabenazine-induced ptosis in mice. I (same R's) were also analgesics and antihypertensives.

IT 14165-92-5 19301-11-2
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (arylation of)

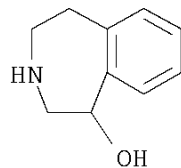
RN 14165-92-5 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)



RN 19301-11-2 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
 (4 CITINGS)

L20 ANSWER 50 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1984:121065 CAPLUS

DOCUMENT NUMBER: 100:121065

ORIGINAL REFERENCE NO.: 100:18429a,18432a

TITLE: Benzoazacycloalkylspiroimidazolidines and their pharmaceutical compositions

INVENTOR(S): Malen, Charles; Peglion, Jean Louis; Duhault, Jacques; Boulanger, Michelle

PATENT ASSIGNEE(S): ADIR, Fr.

SOURCE: Ger. Offen., 24 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

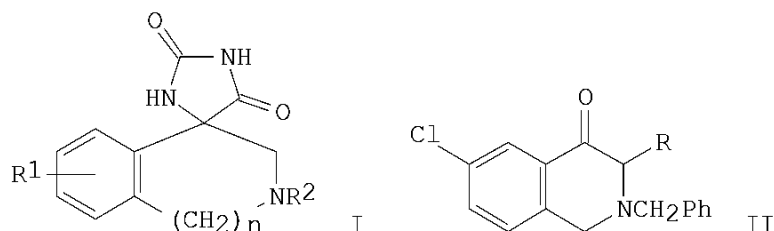
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3315106	A1	19831103	DE 1983-3315106	19830427
FR 2525603	A1	19831028	FR 1982-7203	19820427
FR 2525603	B1	19840914		
AT 8301253	A	19860515	AT 1983-1253	19830408
DD 209833	A5	19840523	DD 1983-250187	19830425
BE 896572	A1	19831026	BE 1983-210633	19830426
DK 8301835	A	19831028	DK 1983-1835	19830426
NO 8301473	A	19831028	NO 1983-1473	19830426
SE 8302347	A	19831028	SE 1983-2347	19830426
AU 8313953	A	19831103	AU 1983-13953	19830426
NL 8301476	A	19831116	NL 1983-1476	19830426
JP 59010584	A	19840120	JP 1983-73687	19830426
HU 31731	A2	19840528	HU 1983-1432	19830426
ZA 8302927	A	19840627	ZA 1983-2927	19830426
GB 2133401	A	19840725	GB 1983-11508	19830427
GB 2133401	B	19851023		

PRIORITY APPLN. INFO.: FR 1982-7203 A 19820427

OTHER SOURCE(S): CASREACT 100:121065; MARPAT 100:121065

GI



AB Spiroimidazolidines I (R₁ = H, halo, OH, MeO; R₂ = H, alkyl, phenylalkyl, alkanoyl, p-MeC₆H₄SO₂; n = 1, 2), useful as aldose reductase inhibitors and thus in treatment of diabetes mellitus complications (no data), were prepared. Condensing 5,2-Cl(BrCH₂)C₆H₃CO₂Et with PhCH₂NHCH₂CO₂Et in refluxing Et₂O containing NEt₃ gave 61% 4,2-Cl(EtO₂C)C₆H₃CH₂N(CH₂Ph)CH₂CO₂Et which cyclized with NaOEt in refluxing EtOH 1 h to give 80% isoquinolone II (R = CO₂Et). This was decarboxylated in refluxing aqueous alc. 10N HCl

10/560,953

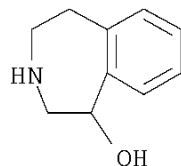
in 12 h to give 69% II (R = H), which was cyclized with KCN and (NH₄)₂CO₃ in EtOH at 115° (autoclave) to give 76% I (R₁ = 6-Cl, R₂ = CH₂Ph, n = 1).

IT 19301-11-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(acetylation of)

RN 19301-11-2 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro- (CA INDEX NAME)

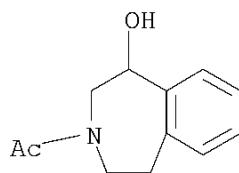


IT 56014-59-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and oxidation of)

RN 56014-59-6 CAPLUS

CN Ethanone, 1-(1,2,4,5-tetrahydro-1-hydroxy-3H-3-benzazepin-3-yl)- (CA
INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 51 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1983:34483 CAPLUS

DOCUMENT NUMBER: 98:34483

ORIGINAL REFERENCE NO.: 98:5393a,5396a

TITLE: The synthesis of
7,8-dimethoxy-1-(3,4-dimethoxybenzyl)-2,3-dihydro-1H-3-
benzazepine and related compounds

AUTHOR(S): Newton, Roger F.; Sainsbury, Malcolm; Stanley, Paul L.
R.

CORPORATE SOURCE: Glaxo Group Res. Ltd., Ware/Herts., SG12 0DJ, UK

SOURCE: Heterocycles (1982), 19(11), 2037-40

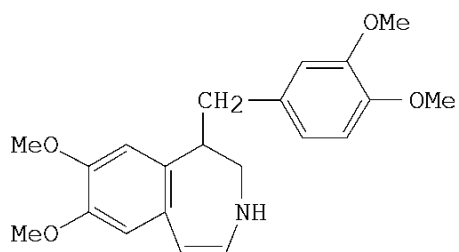
CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal

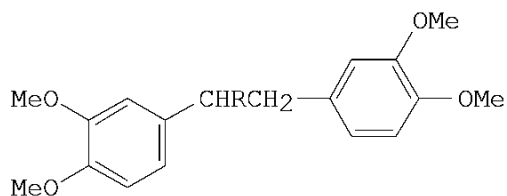
LANGUAGE: English

OTHER SOURCE(S): CASREACT 98:34483

GI



I



II

AB The title compound (I) was prepared from phenylacetonitrile derivative II (R = cyano) (III) in a series of reactions. III was reduced, the II (R = CH₂NH₂) product reacted with BrCH₂CH(OEt)₂ to yield II [R = CH₂NHCH₂CH(OEt)₂], and the latter was cyclized in HCl to give I.

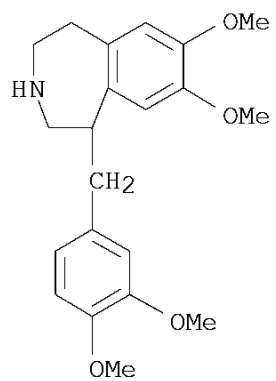
IT 84122-17-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 84122-17-8 CAPLUS

CN 1H-3-Benzazepine, 1-[(3,4-dimethoxyphenyl)methyl]-2,3,4,5-tetrahydro-7,8-
dimethoxy- (CA INDEX NAME)

10/560,953



L20 ANSWER 52 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1981:156775 CAPLUS

DOCUMENT NUMBER: 94:156775

ORIGINAL REFERENCE NO.: 94:25629a,25632a

TITLE: Substituted 1-thienyl and
furyl-2,3,4,5-tetrahydro-1H-3-benzazepine compounds

INVENTOR(S): Holden, Kenneth G.; Yim, Nelson C.

PATENT ASSIGNEE(S): Smith Kline and French Canada Ltd., Can.

SOURCE: Can., 35 pp.
CODEN: CAXXA4

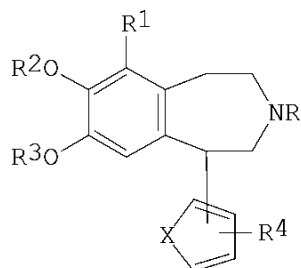
DOCUMENT TYPE: Patent

LANGUAGE: English

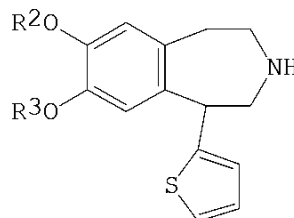
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 1090797	A1	19801202	CA 1978-305809	19780620
AU 7837471	A	19800103	AU 1978-37471	19780626
AU 515236	B2	19810326		
PRIORITY APPLN. INFO.: GI			CA 1978-305809	A 19780620



I



II

AB Benzazepines I (R = H, CH₂Ph, CH₂CH₂Ph, alkanoyl, alkyl, CH₂CH₂OH, alkenyl; R₁ = H, halogen, CF₃, SMe, SCF₃, Me, OMe; R₂, R₃ = H, alkyl, alkanoyl; R₂R₃ = CH₂, CH₂CH₂; R₄ = H, halogen, CH₂CN, Me, CO₂Me; X = O, S) were prepared. Thus 2-thiophenecarboxaldehyde was treated with Me₃S+I⁻ to give 2-epoxyethylthiophene, which was treated with 3,4-(MeO)₂C₆H₃CH₂CH₂NH₂ and cyclized with acid to give II (R₂ = R₃ = Me). Demethylation of II (R₂ = R₃ = Me) with BBr₃ gave II.HBr (R₂ = R₃ = H), which caused a 30% decrease in renal vascular resistance at 30 µg/kg i.v. in dogs and was diuretic at 10 µg/kg min i.v. in dogs.

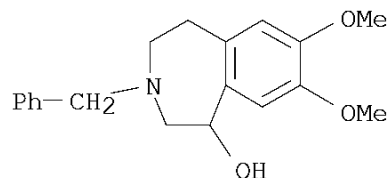
IT 68277-45-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzoylation of)

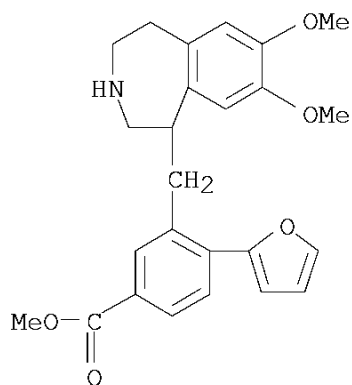
RN 68277-45-2 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy-3-(phenylmethyl)-
(CA INDEX NAME)

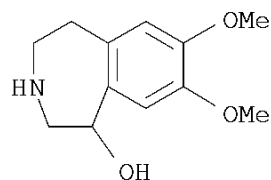
10/560,953



IT 77222-50-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and demethylation of)
RN 77222-50-5 CAPLUS
CN Benzoic acid, 4-(2-furanyl)-3-[(2,3,4,5-tetrahydro-7,8-dimethoxy-1H-3-
benzazepin-1-yl)methyl]-, methyl ester (CA INDEX NAME)

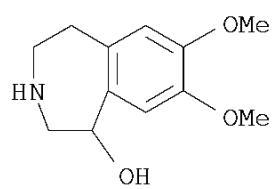


IT 14165-92-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reaction of, with methylthiophene)
RN 14165-92-5 CAPLUS
CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)



IT 14165-92-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with thiophene)
RN 14165-92-5 CAPLUS
CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)

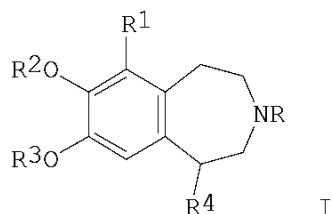
10/560,953



L20 ANSWER 53 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1981:65492 CAPLUS
 DOCUMENT NUMBER: 94:65492
 ORIGINAL REFERENCE NO.: 94:10673a,10676a
 TITLE: 1-(2'-Thienyl)- and
 1-(2'-furyl)-2,3,4,5-tetrahydro-1H-3-benzazepines and
 their salts
 PATENT ASSIGNEE(S): Smithkline Corp., USA
 SOURCE: Austrian, 10 pp.
 CODEN: AUXXAK
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 359511	B	19801110	AT 1978-4915	19780706
AT 7804915	A	19800415		
PRIORITY APPLN. INFO.:			AT 1978-4915	19780706
GI				



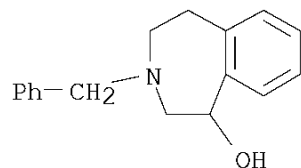
AB The title compds. [I; R = H, aliphatic group, HOCH₂CH₂, PhCH₂, PhCH₂CH₂; R₁ = H, halogen, CF₃, SMe, SCF₃, Me, OMe; R₂, R₃ = H, alkyl, acyl; R₂R₃ = CH₂, CH₂CH₂; R₄ = (substituted) 2-thienyl or 2-furyl] were prepared for use as antihypertensives (test data tabulated) and anti-Parkinson agents. Thus, I (R-R₃ = H, R₄ = OH) reacted with thiophene in CF₃CO₂H to give 81% I (R-R₃ = H, R₄ = 2-thienyl).

IT 56014-60-9

RL: RCT (Reactant); RACT (Reactant or reagent)
 (methoxylation-hydrogenation of)

RN 56014-60-9 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-3-(phenylmethyl)- (CA INDEX NAME)



IT 14165-92-5P

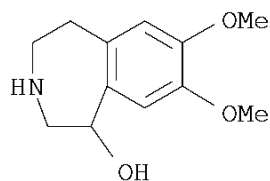
10/560,953

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation and reaction of, with thiophene)

RN 14165-92-5 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)

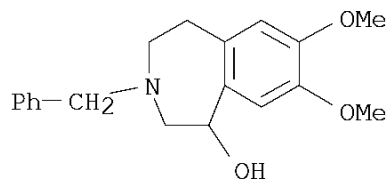


IT 68277-45-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with furan)

RN 68277-45-2 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy-3-(phenylmethyl)-
(CA INDEX NAME)



L20 ANSWER 54 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1981:47240 CAPLUS

DOCUMENT NUMBER: 94:47240

ORIGINAL REFERENCE NO.: 94:7709a,7712a

TITLE: Synthesis of the
3,4,6,7-tetrahydro-1H-1,5-methano-2,5-benzoxazonine
ring system by cyanogen bromide-mediated rearrangement
of a 10b-methyl-5H-oxazolo[2,3-a] isoquinoline
derivative

AUTHOR(S): Bremner, John B.; Winzenberg, Kevin N.

CORPORATE SOURCE: Dep. Chem., Univ. Tasmania, Hobart, 7001, Australia

SOURCE: Heterocycles (1980), 14(8), 1085-8

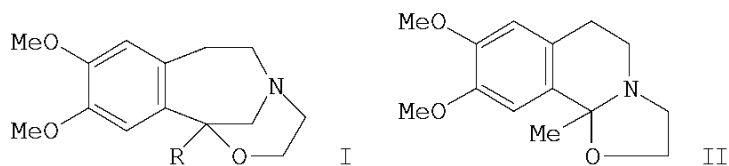
CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 94:47240

GI



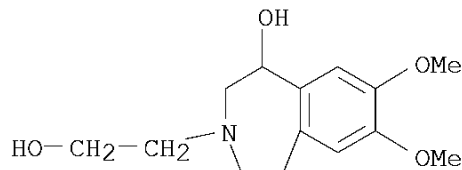
AB The methanobenzoxazonines I (R = MeO, CN) were prepared in 76% and 4% yield, resp., by the reaction of the oxazoloisoquinoline II with cyanogen bromide in the presence of MeOH and K₂CO₃. Acid hydrolysis of I (R = MeO), followed by reduction with LiAlH₄, afforded 3-(2-hydroxyethyl)-7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepin-1-ol in good yield.

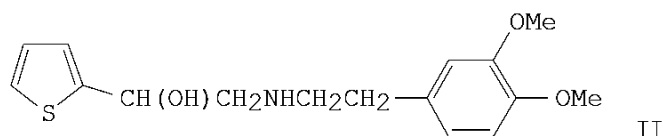
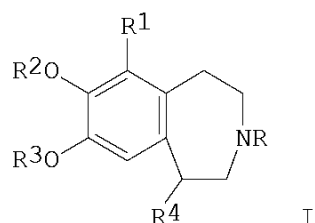
IT 76254-18-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 76254-18-7 CAPLUS

CN 3H-3-Benzazepine-3-ethanol, 1,2,4,5-tetrahydro-1-hydroxy-7,8-dimethoxy-
(CA INDEX NAME)

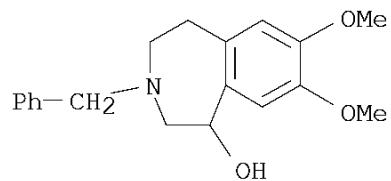


GI

AB Benzazepines I (R = H, CH₂Ph, CH₂CH₂Ph, acyl, alkyl, alkenyl, CH₂CH₂OH; R₁ = H, halogen, CF₃, SMe, SCF₃, Me, OMe; R₂, R₃ = H, alkyl, alkanoyl; R₂R₃ = CH₂, CH₂CH₂; R₄ = optionally substituted thienyl, furyl) were prepared. Thus 2-formylthiophene was treated with trimethylsulfonium iodide to give 2-oxiranylthiophene which was treated with homoveratrylamine to give II. Cyclization of II with acid gave I (R = R₁ = H, R₂ = R₃ = Me, R₄ = 2-thienyl). Demethylation of the latter compound gave I (R-R₃ = H, R₄ = 2-thienyl), which at 30 mg/kg in dogs caused a 30% decrease in renal blood vessel resistance. I also have antihypertensive, anti-Parkinson, and diuretic activity.

RN 68277-45-2 CAPLUS

10/560,953

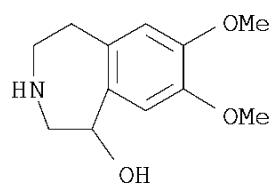


IT 14165-92-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with thiophene)

RN 14165-92-5 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1

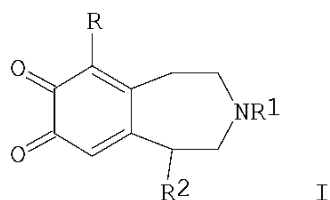
THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L20 ANSWER 56 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

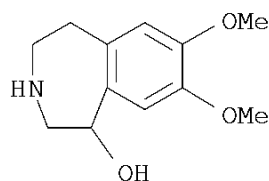
ACCESSION NUMBER: 1979:121445 CAPLUS
 DOCUMENT NUMBER: 90:121445
 ORIGINAL REFERENCE NO.: 90:19223a,19226a
 TITLE: 2,3,4,5-Tetrahydro-1H-3-benzazepine-7,8-diones
 INVENTOR(S): Holden, Kenneth George
 PATENT ASSIGNEE(S): Smithkline Corp., USA
 SOURCE: U.S., 8 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4108989	A	19780822	US 1977-783574	19770401
US 4172890	A	19791030	US 1978-904823	19780511
PRIORITY APPLN. INFO.:			US 1977-783574	A3 19770401

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 90:121445
 GI



AB Benzazepinediones I [R = H, halo; R1 = C1-C5 alkyl or alkanoyl, PhCH2, PhCH2CH2, CO2CH2Ph, HOCH2CH2; R2 = (un)substituted phenyl] or their salts having dopaminergic activity (no data) were prepared Thus, I.HBr (R = R1 = H, R2 = Ph) was prepared by oxidation of the 7,8-dihydroxy compound with 2,3-dichloro-5,6-dicyano-1,4-benzoquinone in MeOH. Approx. 15 other I were similarly prepared The starting diols are prepared by known methods.
 IT 14165-92-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with thiophene)
 RN 14165-92-5 CAPLUS
 CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)



L20 ANSWER 57 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

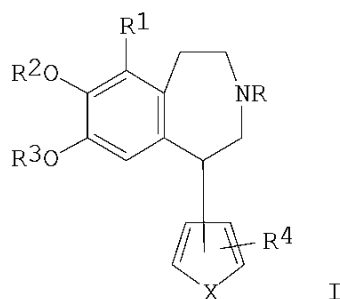
ACCESSION NUMBER: 1978:597353 CAPLUS
 DOCUMENT NUMBER: 89:197353
 ORIGINAL REFERENCE NO.: 89:30671a,30674a
 TITLE: 1-Thienyl- and
 1-furyl-2,3,4,5-tetrahydro-1H-3-benzazepines
 INVENTOR(S): Holden, Kenneth George; Yim, Nelson Chia Fai
 PATENT ASSIGNEE(S): Smithkline Corp., USA
 SOURCE: Ger. Offen., 31 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2804285	A1	19780803	DE 1978-2804285	19780201
DE 2804285	C2	19880107		
US 4111957	A	19780905	US 1977-764672	19770202
GB 1599705	A	19811007	GB 1978-2628	19780123
FR 2379534	A1	19780901	FR 1978-2275	19780127
FR 2379534	B1	19811127		
JP 53095989	A	19780822	JP 1978-9812	19780130
JP 63041912	B	19880819		
US 4187314	A	19800205	US 1978-909073	19780524
IL 54975	A	19821231	IL 1978-54975	19780622
CH 636871	A5	19830630	CH 1978-7339	19780705
FR 2383929	A1	19781013	FR 1978-20342	19780707
FR 2383929	B1	19810731		
JP 62161764	A	19870717	JP 1986-288630	19861203
PRIORITY APPLN. INFO.:			US 1977-764672	A 19770202

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 89:197353; MARPAT 89:197353

GI



AB The title compds. I (R = H, C1-5 alkyl or alkanoyl, C3-5 alkenyl, OH, PhCH₂, PhCH₂CH₂; R₁ = H, halo, CF₃, Me, MeO, MeS, F₃CS; R₂ = R₃ = H, lower alkyl or alkanoyl; R₂R₃ = CH₂, CH₂CH₂; R₄ = H, halo, CH₂CN, Me, CO₂Me; X = O, S) were prepared for use as pharmaceuticals. Thus, treating homoveratrylamine with 2-epoxyethylthiophene, and then cyclizing in HCl-HOAc gave 96% I (R = R₁ = R₄ = H, R₂ = R₃ = Me, X = S, 2-thienyl). I

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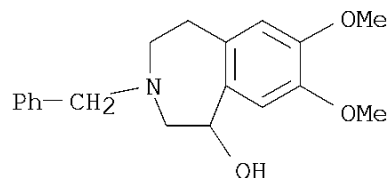
are useful as renal vasodilators, diuretics, and anti-Parkinson's agents (animal tests described).

IT 68277-45-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)

RN 68277-45-2 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy-3-(phenylmethyl)-
(CA INDEX NAME)

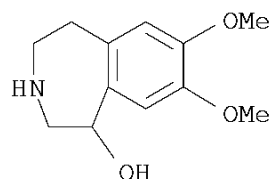


IT 14165-92-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with thiophene)

RN 14165-92-5 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 3

THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)

L20 ANSWER 58 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1976:592530 CAPLUS

DOCUMENT NUMBER: 85:192530

ORIGINAL REFERENCE NO.: 85:30786h,30787a

TITLE: Seven-membered heterocycles. 20th Communication.
1-Aralkylated tetrahydro-2-benzazepines. Part III.
Synthesis from β -tetralones

AUTHOR(S): Berney, Daniel; Schuh, Karlheinz

CORPORATE SOURCE: Sandoz Res. Unit, Wander Ltd., Bern, Switz.

SOURCE: Helvetica Chimica Acta (1976), 59(6), 2059-67

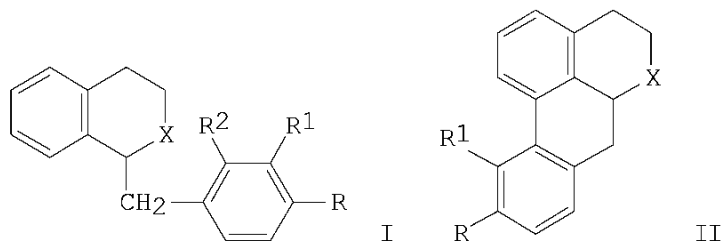
CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 85:192530

GI



AB Benzazepinones I (X = NHCO, R1 = R2 = H, OMe, R2 = H, NO2; R = Cl, R1 = R2 = H) were prepared by Schmidt reaction of the tetralones I (X = CO). Beckmann reaction of I (X = CO) gave I (X = CONH). LiAlH4 reduction gave I (X = NHCH2, CH2NH), which was subjected to N-methylation, reduction of the NO2 group and Pschorr reaction of I (X = NMeCH2, CH2NMe, R2 = NH2) to give the phenanthroazepines II (X = NMeCH2, CH2NMe).

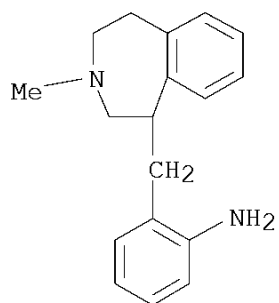
IT 61034-82-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and Pschorr reaction of)

RN 61034-82-0 CAPLUS

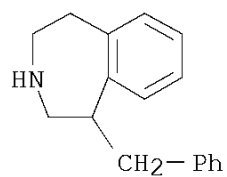
CN Benzenamine, 2-[(2,3,4,5-tetrahydro-3-methyl-1H-3-benzazepin-1-yl)methyl]-, hydrochloride (1:1) (CA INDEX NAME)

10/560,953



● HCl

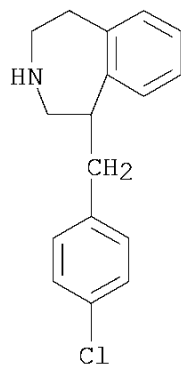
IT 61034-74-0P 61034-76-2P 61034-77-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and methylation of)
RN 61034-74-0 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-(phenylmethyl)-, hydrochloride
(1:1) (CA INDEX NAME)



● HCl

RN 61034-76-2 CAPLUS
CN 1,5-Naphthalenedisulfonic acid, compd. with
1-[(4-chlorophenyl)methyl]-2,3,4,5-tetrahydro-1H-3-benzazepine (1:1) (CA
INDEX NAME)
CM 1
CRN 61034-75-1
CMF C17 H18 Cl N

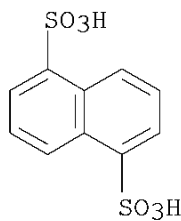
10/560,953



CM 2

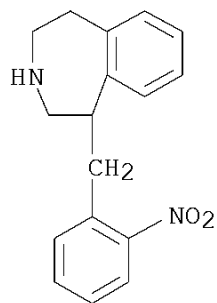
CRN 81-04-9

CMF C10 H8 O6 S2



RN 61034-77-3 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-[(2-nitrophenyl)methyl]- (CA INDEX NAME)



IT 61034-79-5P 61034-80-8P 61034-81-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 61034-79-5 CAPLUS

CN 1,5-Naphthalenedisulfonic acid, compd. with
2,3,4,5-tetrahydro-3-methyl-1-(phenylmethyl)-1H-3-benzazepine (1:1) (CA

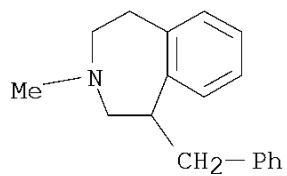
10/560,953

INDEX NAME)

CM 1

CRN 61034-78-4

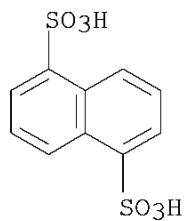
CMF C18 H21 N



CM 2

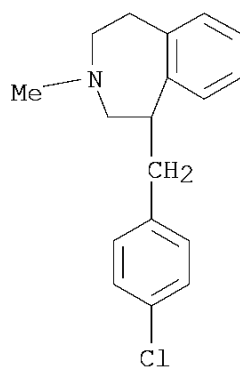
CRN 81-04-9

CMF C10 H8 O6 S2



RN 61034-80-8 CAPLUS

CN 1H-3-Benzazepine, 1-[(4-chlorophenyl)methyl]-2,3,4,5-tetrahydro-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

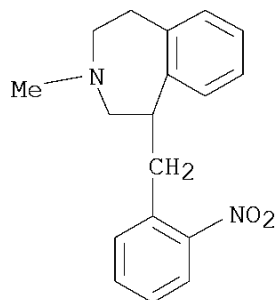


● HCl

10/560,953

RN 61034-81-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-methyl-1-[(2-nitrophenyl)methyl]-,
hydrochloride (1:1) (CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L20 ANSWER 59 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1975:170644 CAPLUS

DOCUMENT NUMBER: 82:170644

ORIGINAL REFERENCE NO.: 82:27261a,27264a

TITLE: Azabenzocycloheptenones. XVIII. Amines and amino ketones of the tetrahydro-3-benzazepin-1-one series

AUTHOR(S): Lennon, Mary; McLean, Angus; Proctor, George R.; Sinclair, Ian W.

CORPORATE SOURCE: Dep. Pure Appl. Chem., Univ. Strathclyde, Glasgow, UK

SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1975), (7), 622-6

CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 82:170644

GI For diagram(s), see printed CA Issue.

AB N-tolylsulfonyl alc. I with Na in NH₃ gave amino alc. II which after conversion to the N-benzyloxycarbonyl derivative was oxidized with dipyridine-chromium oxide to give the (benzyloxycarbonyl)benzazepinone III. Deprotection gave the parent compound IV. Reductive methylation of the hydroxy amine II gave the N-Me derivative, which on oxidation with active MnO₂ gave the N-methylbenzazepinone V.

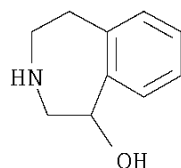
IT 19301-11-2P 35613-12-8P 56014-59-6P

56014-60-9P 56014-61-0P 56014-65-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

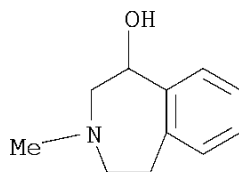
RN 19301-11-2 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 35613-12-8 CAPLUS

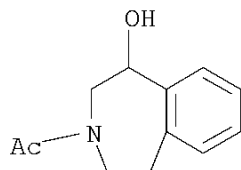
CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)



RN 56014-59-6 CAPLUS

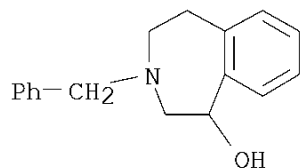
CN Ethanone, 1-(1,2,4,5-tetrahydro-1-hydroxy-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

10/560,953



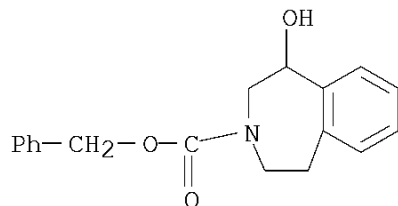
RN 56014-60-9 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-3-(phenylmethyl)- (CA INDEX NAME)



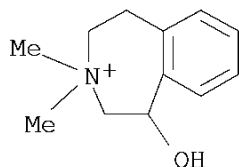
RN 56014-61-0 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-hydroxy-, phenylmethyl ester (CA INDEX NAME)



RN 56014-65-4 CAPLUS

CN 1H-3-Benzazepinium, 2,3,4,5-tetrahydro-1-hydroxy-3,3-dimethyl-, iodide (1:1) (CA INDEX NAME)



● I⁻

OS.CITING REF COUNT: 4

THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)

L20 ANSWER 60 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1975:72813 CAPLUS
 DOCUMENT NUMBER: 82:72813
 ORIGINAL REFERENCE NO.: 82:11631a,11634a
 TITLE: Benzazepines
 INVENTOR(S): Walter, Lewis A.; Chang, Wei K.
 PATENT ASSIGNEE(S): Scherico Ltd.
 SOURCE: Patentschrift (Switz.), 8 pp.
 CODEN: SWXXAS
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 555831	A	19741115	CH 1967-2477	19670217
PRIORITY APPLN. INFO.:			CH 1967-2477	19670217

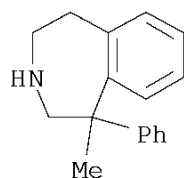
GI For diagram(s), see printed CA Issue.

AB Ten benzazepines I (R = H, Me; R1 = allyl, Me, CH₂CH₂OH, NR1 = N+Me₂I⁻; R2 = H, Me; R3 = HO, MeO; R4 = H, HO, MeO; Z = H₂) and I (R = R1 = R2 = R4 = H, R3 = MeO, Z = O) (II), useful as antibacterials, antidepressants, analgesics, and antihypertensives (no data) were prepared by cyclization of hydroxybis(phenethyl)amines or phenethylcarboxamides. Thus, styrene oxide heated with Ph-CH₂CH₂NH₂ 12 hr on a steam bath gave PhCH(OH)CH₂NHCH₂CH₂Ph which cyclized with H₂SO₄ to give I (R = R1 = R2 = R3 = R4 = H, Z = H₂). m-MeOC₆H₄CH₂CH₂NH₂ and Et mandelate gave N-(m-methoxyphenethyl)mandelamide, cyclized with polyphosphoric acid to give II, which was reduced to the Z = H₂ analog with LiAlH₄. Reactive sites of I permitted further substitution.

IT 20012-03-7P 20012-04-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 20012-03-7 CAPLUS

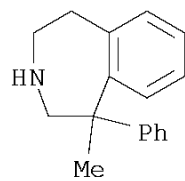
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)



RN 20012-04-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride
 (1:1) (CA INDEX NAME)

10/560,953



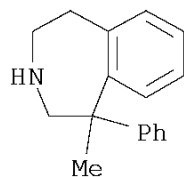
● HCl

L20 ANSWER 61 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

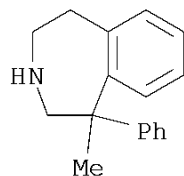
ACCESSION NUMBER: 1973:418604 CAPLUS
 DOCUMENT NUMBER: 79:18604
 ORIGINAL REFERENCE NO.: 79:2987a,2990a
 TITLE: 1-Phenyl-2,3,4,5-tetrahydro-1H-3-benzazepines
 PATENT ASSIGNEE(S): Scherico Ltd.
 SOURCE: Fr. M., 25 pp.
 CODEN: FMXXAJ
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 8369		19710222	FR 1967-96572	19670227

OTHER SOURCE(S): MARPAT 79:18604
 GI For diagram(s), see printed CA Issue.
 AB Benzazepines I (R = R1 = R4 = H, R2 = R3 = H, OMe; R = allyl, CH2CH2OH, R1-R4 = H; R = Me.MeI, H.HBr, R1 = R4 = H, R2 = R3 = OMe; R = R2-R4 = H, R1 = Me; R-R3 = H, R4 = Me; R-R2 = R4 = H, R3 = OMe) were prepared Thus styrene oxide was treated with PhCH2CH2NH2 to give PhCH2CH2NHCH2CH(OH)Ph, which was cyclized to I (R-R4 = H) with H2SO4.
 IT 20012-03-7P 20012-04-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 20012-03-7 CAPLUS
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)



RN 20012-04-8 CAPLUS
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride
 (1:1) (CA INDEX NAME)



● HCl

L20 ANSWER 62 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1972:85676 CAPLUS

DOCUMENT NUMBER: 76:85676

ORIGINAL REFERENCE NO.: 76:13779a,13782a

TITLE: Synthesis of 1-oxo- and 1-hydroxyazabenzocycloalkanes

AUTHOR(S): Schlademan, James; Partch, Richard

CORPORATE SOURCE: Clarkson Coll. Technol., Potsdam, NY, USA

SOURCE: Journal of the Chemical Society, Perkin Transactions

1: Organic and Bio-Organic Chemistry (1972-1999)

(1972), (2), 213-15

CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

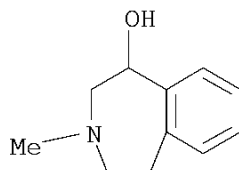
AB The intramol. Friedel-Crafts cyclization of 7 substituted glycines was studied; e.g. 2,3,4,5-tetrahydro-3-(phenylsulfonyl)-1H-3-benzazepin-1-one (I, n = 2) was obtained from $\text{Ph}(\text{CH}_2)_2\text{N}(\text{SO}_2\text{Ph})\text{CH}_2\text{COCl}$ at -10° , 3,4,5,6-tetrahydro-3-(phenylsulfonyl)-3-benzazocin-1(2H)-one (I, n = 3) from $\text{Ph}(\text{CH}_2)_3\text{N}(\text{SO}_2\text{Ph})\text{CH}_2\text{COCl}$ at 15° , and 1,2,3,4-tetrahydro-2-(phenylsulfonyl)isoquinolin-4-one (I, n = 1) from $\text{PhCH}_2\text{N}(\text{SO}_2\text{Ph})\text{CH}_2\text{COCl}$ at -10° .

IT 35613-12-8P 35613-13-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 35613-12-8 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)



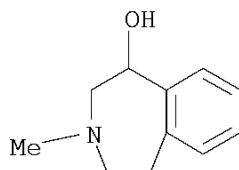
RN 35613-13-9 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-3-methyl-, compd. with
2,4,6-trinitrophenol (1:1) (CA INDEX NAME)

CM 1

CRN 35613-12-8

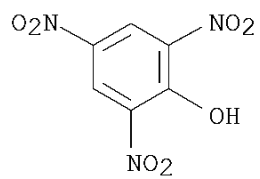
CMF C11 H15 N O



CM 2

10/560,953

CRN 88-89-1
CMF C6 H3 N3 O7

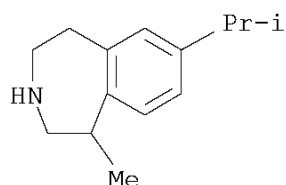


L20 ANSWER 63 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

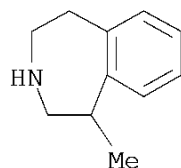
ACCESSION NUMBER: 1971:141586 CAPLUS
 DOCUMENT NUMBER: 74:141586
 ORIGINAL REFERENCE NO.: 74:22875a,22878a
 TITLE: 2,3,4,5-Tetrahydro-1H-3-benzazepines as pharmaceutical intermediates
 INVENTOR(S): Hoegerle, Karl; Habicht, Ernst
 PATENT ASSIGNEE(S): CIBA-Geigy A.-G.
 SOURCE: Patentschrift (Switz.), 5 pp.
 CODEN: SWXXAS
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 500194	A	19701215	CH 1968-500194	19680215
PRIORITY APPLN. INFO.:			CH 1968-2261	A 19680215

GI For diagram(s), see printed CA Issue.
 AB The title products (I), which are suitable as pharmaceutical intermediates, are prepared Thus, styrene or a derivative is treated with ethylenimine and Na to obtain a 1-phenyl-2-aziridinoethane (II) which HCl in MeOH yields a N-(2-chloroethyl)phenethylamine hydrochloride. This is heated with AlCl₃ or another Lewis acid to obtain I.
 IT 23166-93-0P 23266-24-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 23166-93-0 CAPLUS
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-methylethyl)- (CA INDEX NAME)



RN 23266-24-2 CAPLUS
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

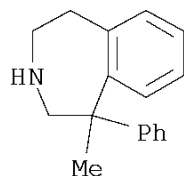


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
 (1 CITINGS)

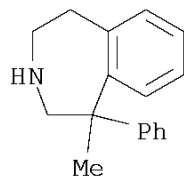
L20 ANSWER 64 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1970:425331 CAPLUS
 DOCUMENT NUMBER: 73:25331
 ORIGINAL REFERENCE NO.: 73:4210h,4211a
 TITLE: 1-Phenyl-2,3,4,5-tetrahydro-1H-3-benzazepines
 INVENTOR(S): Walter, Lewis A.; Chang, Wei K.
 PATENT ASSIGNEE(S): Scherico Ltd.
 SOURCE: Brit. Amended, 13 pp.
 CODEN: BSXXAH
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	GB 1118688		19690415	GB 1967-7632	19670217
AB	Same disclosure. This amendment excludes 1-phenyl-2,3,4,5-tetrahydro-1H-3-benzazepine and 1-phenyl-7,8-diethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine from the preps. and claims.				
IT	20012-03-7P		20012-04-8P		
	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)				
RN	20012-03-7	CAPLUS			
CN	1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)				



RN 20012-04-8 CAPLUS
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride
 (1:1) (CA INDEX NAME)



● HCl

L20 ANSWER 65 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1970:31646 CAPLUS
 DOCUMENT NUMBER: 72:31646
 ORIGINAL REFERENCE NO.: 72:5785a,5788a
 TITLE: 2,3,4,5-Tetrahydro-1H-3-benzazepines
 INVENTOR(S): Yardley, John P.; Smith, Herchel; Rees, Richard W.
 PATENT ASSIGNEE(S): American Home Products Corp.
 SOURCE: Ger. Offen., 53 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1921861	A	19691120	DE 1969-1921861	19690429
GB 1268943	A	19720329	GB 1969-1268943	19690418
JP 50000678	B	19750110	JP 1969-33149	19690428
BE 732314	A	19691029	BE 1969-732314	19690429
NL 6906604	A	19691031	NL 1969-6604	19690429
FR 2007563	A5	19700113	FR 1969-13713	19690429
US 3849403	A	19741119	US 1972-239394	19720329
			US 1968-725135	A 19680429

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

AB Title compds. (I) were prepared by LiAlH₄ reduction of the diones (II).
 o-C₆H₄(CMe₂CO₂H)₂ (18 g) and 75 ml concentrated aqueous NH₃ was heated to

boiling,
 concentrated, and the temperature increased to 290° during 1 hr to give 12 g II
 (R = R₁ = Me, R₂ = H), m. 142-4° (Me₂CO), which (10 g) in 200 ml
 tetrahydrofuran (THF) was refluxed 44 hr with 12.5 g LiAlH₄ in 400 ml 3:1
 Et₂O-THF to give 8.7 g I (R = R₃ = H, R₁ = R₂ = Me) (III), m.

77-80° (purified by sublimation); HCl salt m. 275°
 (CH₂Cl₂-Me₂CO). Similarly prepared via II were the following I (R = R₃ = H)
 (R₁ and R₂ given; no phys. consts. reported): Me, Et; iso-Pr, iso-Pr;
 n-hexyl, n-hexyl; (R₁R₂ =) (CH₂)₂; (R₁R₂ =) (CH₂)₆.

α,α'-o-Phenylenediisobutyric anhydride (IV) (5 g) in 100 ml

Et₂O was treated with 5 g H₂N(CH₂)₃NMe₂ 2 hr at room temperature, Et₂O
 distilled in

vacuo, and the residue heated 20 min at 250° to give 6 g II [R = R₁
 = Me, R₂ = (CH₂)₃NMe₂] (V), HCl salt m. 191-2° (Me₂CO). LiAlH₄
 reduction of V gave I [R = H, R₁ = R₂ = Me, R₃ = (CH₂)₃NMe₂], di-HCl salt
 hemihydrate m. 240-1° (MeOH-Et₂O). III from 5 g III.HCl and 3 g
 finely powdered 3,5-dimethylpyrazolecarboxamide nitrate was heated 25 min
 at 130-2° and the temperature increased to 180° during 25 min to
 give 0.7 g I.HNO₃.1.25H₂O [R = H, R₁ = R₂ = Me, R₃ = C(:NH)NH₂], m.
 184° (Me₂CO-hexane), and 1 g 4,5-benzo-
 3,3,6,6-tetramethyl-1-azacycloheptenyl nitrate. Anhydrous Cl₃CCHO (1.35 g)
 was added during 20 min to 1.3 g III in 3 ml CHCl₃ in an ice-Me₂CO bath,
 the mixture stirred under N 1 day at room temperature and refluxed 30 min to

give

1.2 g I (R = H, R₁ = R₂ = Me, R₃ = CHO), m. 116-17° (hexane). The
 following I (R = H, R₁ = R₂ = Me) were prepared from III or its HCl salt by
 standard methods (R₃, m.p., and m.p. HCl salt given): CH₂-CO₂Bu-tert,
 50-2° (aqueous MeOH), ; NO (VI), 109-11° (Me₂CO), ; Bz (VII),
 135-7° (hexane), ; allyl, , 224° (Me₂CO); CH₂C.tplbond.CH,
 , 226-7° (Me₂CO -CH₂Cl₂); CH₂CH:CM₂, , 210-11° (decomposition)

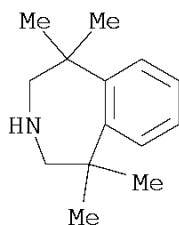
(Me₂CO); CH₂CH:CHCl, , ; (CH₂)₂C₆H₄NO₂-p (VIII), , ; (CH₂)₂OH (IC), , . VII (3.5 g) in 5 ml AcOH treated at 0-5° with 11 ml fuming HNO₃ in 6 ml AcOH gave 4 g I (R = NO₂, R₁ = R₂ = Me, R₃ = Bz), m. 168-71° (Me₂CO-hexane)⁸ which was hydrogenated over Pd-C to give I (R = NH₂, R₁ = R₂ = Me, R₃ = Bz), HCl salt m. 243-5°. VI was reduced with LiAlH₄ to give I (R = H, R₁ = R₂ = Me, R₃ = NH₂), HCl salt m. 218-20° (Me₂CO-Et₂O). LiAlH₄ reduction of the corresponding I (R₃ = acyl) gave the following I (R₁ = R₂ = Me) (R, R₃, m.p., and m.p. HCl salt given): H, Me, o 216-18° (Me₂CO); H, CH₂Ph, , 245° (Me₂CO-CH₂Cl₂); NH₂, CH₂Ph (X), 90-2° (hexane), , ; H, cyclopropylmethyl, , ; H, n-C₅H₁₁, , ; H, (CH₂)₃OMe, , ; H, (CH)₃Cl (XI), , . Treatment of XI in a pressure vessel 8 hr at 80° with a large excess of the corresponding amine gave the following I (R = H, R₁ = R₂ = Me) (R₃ given; no phys. consts. reported): (CH₂)₃NH₂; (CH₂)₃NHMe; (CH₂)₃NEt₂. X was diazotized and hydrolyzed and the product purified on neutral Al₂O₃ to give I (R = OH, R₁ = R₂ = Me, R₃ = CH₂Ph) (XII), HCl salt m. 250-5° (decomposition) (MeOH-Et₂O). Heated with Ac₂O, IX and XII gave, resp., the following I (R₁ = R₂ = Me) (R and R₃ given): H, (CH₂)₂OAc; AcO, CH₂Ph. PhNMe₃+Cl⁻ (17.2 g) in 25 ml absolute MeOH was treated with a solution of 2.25 g. Na in MeOH, NaCl filtered off, and 25 g XII in PhMe added to the filtrate to give I (R = MeO, R₁ = R₂ = Me, R₃ = CH₂Ph) (XIII). Hydrogenolysis of X and XIII gave, resp., the following I (R₁ = R₂ = Me, R₃ = H) (R given): OH; OMe. Hydrogenation over Pd-C gave the following I (R₁ = R₂ = Me) (starting compound, R, and R₃ given): VIII, H, (CH₂)₂C₆H₄NH₂-p; XII, OH, H. Ph(CH₂)₂NH₂ (2.2 g) was added to 2 g IV in 50 ml Et₂O to give 3.2 g o-Ph-(CH₂)₂NHCOCMe₂C₆H₄CMe₂CO₂H, m. 122-3° (Me₂CO-hexane), which (2 g) was heated 30 min at 230° under N, the cooled melt refluxed 40 hr with 2 g LiAlH₄ in 160 ml 1:1 Et₂O-THF, and the product in Et₂O treated with HCl in iso-PrOH to give 1.24 g I.HCl [R = H, R₁ = R₂ = Me, R₃ = (CH₂)₂Ph], m. 215-18° (Me₂CO-hexane). I are nontoxic analgesics.

IT	24782-74-9P	24782-75-0P	24782-76-1P
	24782-77-2P	24782-78-3P	24782-79-4P
	24782-82-9P	24782-83-0P	24782-84-1P
	24782-85-2P	24782-86-3P	24782-87-4P
	24782-88-5P	24782-89-6P	24782-90-9P
	24782-91-0P	24782-94-3P	24802-72-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 24782-74-9 CAPLUS

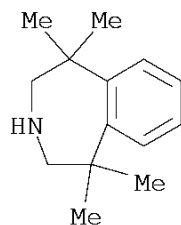
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl- (CA INDEX NAME)



RN 24782-75-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl-, hydrochloride
(1:1) (CA INDEX NAME)

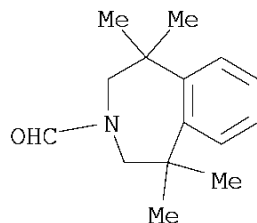
10/560,953



● HCl

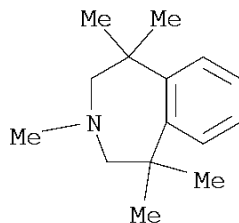
RN 24782-76-1 CAPLUS

CN 3H-3-Benzazepine-3-carboxaldehyde, 1,2,4,5-tetrahydro-1,1,5,5-tetramethyl-
(CA INDEX NAME)



RN 24782-77-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,3,5,5-pentamethyl-, hydrochloride
(1:1) (CA INDEX NAME)



● HCl

RN 24782-78-3 CAPLUS

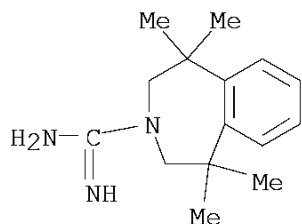
CN 3H-3-Benzazepine-3-carboximidamide,
1,2,4,5-tetrahydro-1,1,5,5-tetramethyl-, nitrate (1:1) (CA INDEX NAME)

CM 1

CRN 46857-12-9

CMF C15 H23 N3

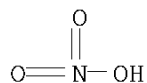
10/560,953



CM 2

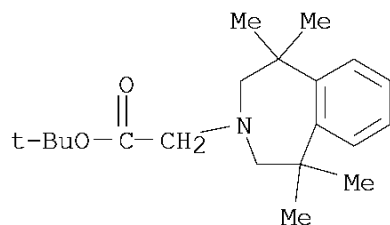
CRN 7697-37-2

CMF H N O3



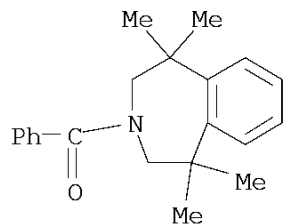
RN 24782-79-4 CAPLUS

CN 3H-3-Benzazepine-3-acetic acid, 1,2,4,5-tetrahydro-1,1,5,5-tetramethyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 24782-82-9 CAPLUS

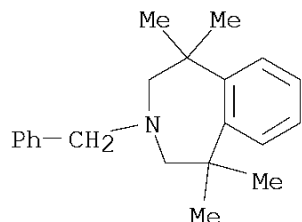
CN Methanone, phenyl (1,2,4,5-tetrahydro-1,1,5,5-tetramethyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



RN 24782-83-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl-3-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

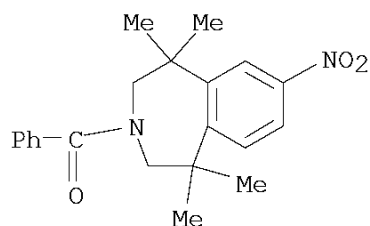
10/560,953



● HCl

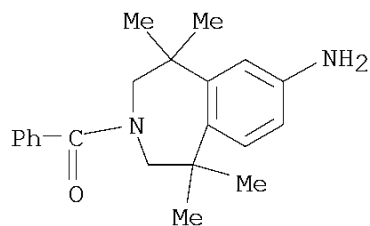
RN 24782-84-1 CAPLUS

CN Methanone, phenyl(1,2,4,5-tetrahydro-1,1,5,5-tetramethyl-7-nitro-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



RN 24782-85-2 CAPLUS

CN Methanone, (7-amino-1,2,4,5-tetrahydro-1,1,5,5-tetramethyl-3H-3-benzazepin-3-yl)phenyl-, hydrochloride (1:1) (CA INDEX NAME)

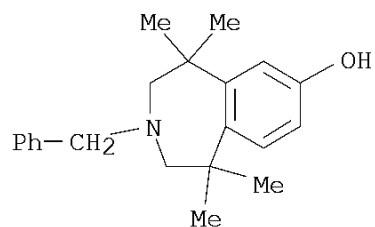


● HCl

RN 24782-86-3 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl-3-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

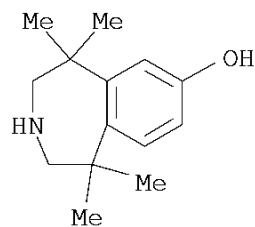
10/560,953



● HCl

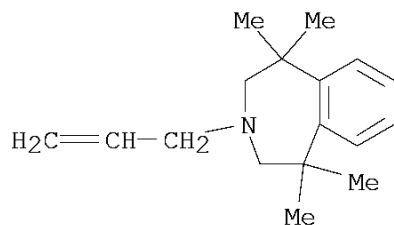
RN 24782-87-4 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl- (CA INDEX NAME)



RN 24782-88-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl-3-(2-propen-1-yl)-, hydrochloride (1:1) (CA INDEX NAME)

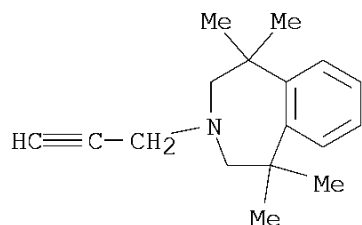


● HCl

RN 24782-89-6 CAPLUS

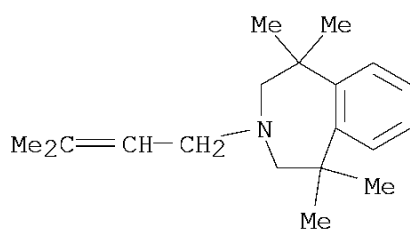
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl-3-(2-propyn-1-yl)- (CA INDEX NAME)

10/560,953



RN 24782-90-9 CAPLUS

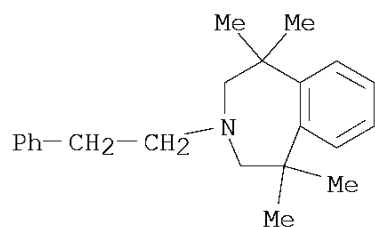
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl-3-(3-methyl-2-buten-1-yl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 24782-91-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl-3-(2-phenylethyl)-, hydrochloride (1:1) (CA INDEX NAME)

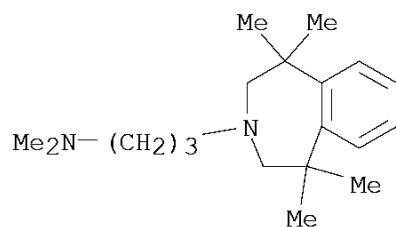


● HCl

RN 24782-94-3 CAPLUS

CN 3H-3-Benzazepine-3-propanamine, 1,2,4,5-tetrahydro-N,N,1,1,5,5-hexamethyl-, hydrochloride (1:2) (CA INDEX NAME)

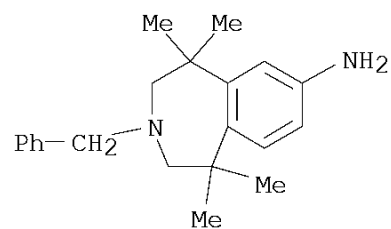
10/560,953



● 2 HCl

RN 24802-72-0 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl-3-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L20 ANSWER 66 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1969:461251 CAPLUS
 DOCUMENT NUMBER: 71:61251
 ORIGINAL REFERENCE NO.: 71:11275a,11278a
 TITLE: Tetrahydrobenzazepines
 INVENTOR(S): Hoegerle, Karl; Habicht, Ernst
 PATENT ASSIGNEE(S): Geigy, J. R., A.-G.
 SOURCE: S. African, 18 pp.
 CODEN: SFXAB
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6801019		19681031	ZA	
CH 481110			CH	
CH 488705			CH	
DE 1668915			DE	
DE 1695124			DE	
FR 1561479			FR	
FR 7915			FR	
GB 1221324			GB	
GB 1222397			GB	
US 3652543		19720328	US	19680215
PRIORITY APPLN. INFO.:			CH	19670217
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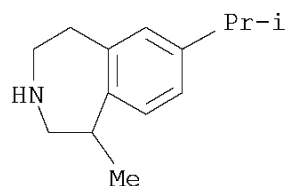
OTHER SOURCE(S): MARPAT 71:61251

GI For diagram(s), see printed CA Issue.

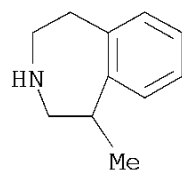
AB Title compds. and their addition salts were prepared for use as intermediates in the preparation of pharmaceuticals. The 7-chloro compds. exhibit anorexic action. Pharmaceutical formulations were described. Thus, 389 g. finely powdered N-[(2-chloroethyl)phenylethylamine]-HCl (I) was heated in an oil bath with 470 g. AlCl₃, 12 hrs. at 180°, cooled to 100°, poured onto ice, and worked up to give 2,3,4,5-tetrahydro-1H-3-benzazepine (II), b₀.1 65°, n₂₀D 1.565; HCl salt m. 248-50°. Styrene (900 ml.) was added dropwise to 745 ml. ethylenimine and 9 g. Na (the 1st 100 ml. styrene was added quickly, and the rest added at such a rate as to keep the temperature at 40-50°), and the mixture stirred overnight at room temperature and worked up to give 1-phenyl-2-aziridinoethane (III), b₀.1 48°, n₂₀D 1.5205. III (100 g.) in 100 ml. MeOH was added dropwise at 10-15° to 500 ml. MeOH saturated in an ice bath with HCl, and the mixture worked up to give I, m. 188-90° (EtOH-HOAc). N-(2-Chloroethyl)-2-methyl-2-phenylethylamine-HCl treated with AlCl₃ as in the preparation of II gave 5-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine (IIIa), b₀.6 72°, n₂₀D 1.5580. 1-Phenyl-1-methyl-2-aziridinoethane (281 g.) was added to 800 ml. EtOH saturated with HCl, and the mixture worked up to give N-(2-chloroethyl)-2-methyl-2-phenylethylamine-HCl, m. 178-80°. N-(2-chloroethyl)-2-(p-chlorophenyl)ethylamine-HCl (IV) (120 g.) treated with AlCl₃ as in the preparation of II gave 7-chloro-2,3,4,5-tetrahydro-1H-3-benzazepine, b₀.1 110-15°, n₂₀D 1.5765; HCl salt m. 171-3° (MeCN). IV was prepared as in the preparation of II by treatment of 4-chlorostyrene with Na and ethylenimine, and treatment of the N-[2-(p-chlorophenyl)ethyl]aziridine formed, b₀.7 93°, n₂₀D 1.5357, with HCl in MeOH to give IV, m. 189-91°.

(MeCN). N-(β -Chloro- β -phenylethyl)phenylethylamine-HCl (1 g.) added portionwise at 150° to 14 g. polyphosphoric acid, and the mixture kept 0.5 hr. at 150° and worked up gave 1-phenyl-2,3,4,5-tetrahydro-1H-3-benzazepine, b. 140-50° (high vacuum). Similarly were prepared the following (m.p. HCl salt given): N-(1-methyl-2-chloroethyl)phenylethylamine, 160-5°; N-(β -chloro- β -phenylethyl)phenylethylamine, 168-70°; N-(2-chlorocyclohexyl)phenylethylamine, 165-7°; N-(2-chloroethyl)- α -methylphenylethylamine, 149-51°; and N-(2-chloroethyl)- β -methyl-4-isopropylphenylethylamine, 184-6°. Also prepared were the following 2,3,4,5-tetrahydro-1H-3-benzazepines: 2-methyl-, b0.2 60°; 1-phenyl-, b0.01 140-50°; 4-methyl-, b0.2 64°, n20D 1.5507; 5-methyl-8-isopropyl-, b0.2 71-2°, n20D 1.5554; and 2,3,4,4a,5,6,-7,11b-octahydro-1H-dibenz[b,d]azepine, b0.01 150-5°.

IT 23166-93-0P 23266-24-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 23166-93-0 CAPLUS
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-methylethyl)- (CA INDEX NAME)



RN 23266-24-2 CAPLUS
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



L20 ANSWER 67 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1968:506576 CAPLUS

DOCUMENT NUMBER: 69:106576

ORIGINAL REFERENCE NO.: 69:19967a,19970a

TITLE: 1-Phenyl-2,3,4,5-tetrahydro-1H,3-benzazepines

INVENTOR(S): Walter, Lewis A.; Chang, Wei K.

PATENT ASSIGNEE(S): Scherico Ltd.

SOURCE: Brit., 15 pp.

CODEN: BRXXAA

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1118688		19680703	GB 1967-7632	19670217
DE 1795540			DE	

GI For diagram(s), see printed CA Issue.

AB The preparation of the title compds. (I) is described. Thus, a mixture of 82 g.

styrene oxide and 100 g. d-amphetamine was stirred 12 hrs. on a steam bath, then distilled in vacuo to give $\text{PhCH}_2\text{CHMeNHCH}_2\text{CH}(\text{OH})\text{Ph}$ (II), b1 160-80°, m. 53-5° (petroleum ether), $[\alpha]_{25D} + 14.6^\circ$ (c 1, EtOH). II (15 g.) was slowly added to 100 ml. concentrated H_2SO_4 at 0°, stirred 1 hr., then poured onto ice- H_2O , and worked up to give I (R1 = R2 = R4 = R5 = H, R3 = Me) b1 149-51°, HCl salt, m. 206-7° (iso-PrOH), $[\alpha]_{25D} -42.0^\circ$ (c 1, HCONMe2). Similarly were prepared: $\text{PhCH}_2\text{CH}_2\text{NHCH}_2\text{CH}(\text{OH})\text{Ph}$; I (R1-R5 = H) (III), m. 78-80° (hexane), $[\alpha]_{25D} -29.9^\circ$ (c 1, HCONMe2), phenylsuccinate, m. 180-2° (90% EtOH), $[\alpha]_{25D} + 55.2^\circ$ (c 1, HCONMe2); 3,4-(MeO)2C6H3CH2CH2NHCH2CH(OH)Ph, m. 95-8°; I (R1 = R2 = MeO, R3 = R4 = R5 = H) (IV), b2 198-200°, HBr salt, m. 283-5°, acid maleate salt, m. 198-200°; $\text{PhCH}_2\text{CH}_2\text{NHCH}_2\text{CMe}(\text{OH})\text{Ph}$, b1 160-8°, HCl salt, m. 142-5° (MeCN); and I (R1 = R2 = R3 = R4 = H, R5 = Me), m. 76-9° (hexane), HCl salt, m. 228-9°. Et mandelate (30 g.) and 25 g. 4-MeOC6H4CH2CH2NH2 were stirred 3 hrs. at 180-90°, and the mixture was cooled to give a precipitate of 4-MeOC6H4CH2CH2NHCOCH(OH)Ph (V), m. 75-6° (Et2O). Powdered V (20 g.) was added slowly to 700 g. polyphosphoric acid, the mixture warmed slowly to 100°, the temperature maintained 1 hr., then cooled, poured onto ice- H_2O , and worked up to give 1-phenyl-2-oxo-methoxy-2,3,4,5-tetrahydro- 1H - 3 - benzazepine (VI), m. 169-71° (EtOAc). To 5 g. LiAlH_4 stirred in 200 ml. refluxing dioxane was added dropwise a solution of 10 g. VI in 250 ml. dioxane, refluxing continued 3 hrs., the mixture cooled to 20°, treated dropwise 4 times with 0.5 ml. H_2O , 4 times with 0.5 ml. 15% aqueous NaOH, and 13.5 ml. H_2O , then stirred 1 hr., the precipitate removed, the filtrate evaporated,

the residue stirred with 100 ml. 5% HCl and 200 ml. Et2O, and the resulting solution worked up to give I (R1 = R3 = R4 = R5 = H, R2 = MeO). maleate salt, m. 196-7°. To 750 g. polyphosphoric acid stirred at 60-70° was added 18.1 g. 3,4-(MeO)C6H3CH2CH2NHAc, and, after 10-15 min., 18 g. Et mandelate dropwise in 5-10 min., the mixture heated 1 hr. at 90-5°, then poured into 2.5 kg. ice- H_2O , the crude 2,4,5-AcNHCH2CH2(MeO)2C6H2C(OH)(CO2Et)Ph extracted with CHCl_3 , the exts. washed with H_2O and dilute aqueous NaHCO_3 , then heated in vacuo on a steam bath

to constant weight to give, on crystallization from EtOH, 1-phenyl-7,8-dimethoxy-2-oxo-2,3,4,5-tetrahydro-1H-3-benzazepine (VII), which was reduced with LiAlH₄ to give IV. III (6 g.), 2.4 g. CH₂:CHCH₂Br, 25 g. anhydrous K₂CO₃, and 250 ml. anhydrous Me₂CO were refluxed 14 hrs. with stirring, cooled, the Me₂CO distilled off, the residue dissolved in Et₂O-H₂O, and the organic layer worked up to give the 3-allyl derivative of III, m. 65-8° (hexane), HCl salt, m. 203-5°. A mixture of 6 g. III, 50 ml. EtOH, and 1 g. ethylene oxide was kept several days at room temperature in a stoppered flask, then distilled to give the 3-(β-hydroxyethyl) derivative of III, m. 95-7° (iso-Pr₂O). A mixture of 9 g. IV, 15 ml. 37% HCHO, and 23 ml. 90% HCO₂H was refluxed 18 hrs., then 5 ml. concentrated HCl in 10 ml. H₂O added, the solution evaporated in vacuo on a steam bath, the residue treated with 25 ml. H₂O, evaporated, then Et₂O and excess aqueous NaOH added,

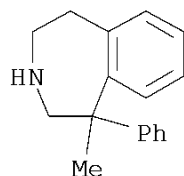
and

the organic layer worked up to give I (R₁ = R₂ = MeO, R₃ = R₅ = H, R₄ = Me) (VIII), m. 82-4° (hexane). VIII (5 g.) in 5 ml. EtOH was treated with 5 ml. MeI and kept 15 hrs. at room temperature, precipitating 1-phenyl-3,3-dimethyl-7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepinium iodide, m. 246-9°. Refluxing IV with 48% aqueous HBr for 2.5 hrs. under N gave I (R₁ = R₂ = OH, R₃ = R₄ = R₅ = H) hydrobromide, m. 283-5°. The title compds. have antibacterial, antidepressant, analgesic, and hypotensive activity.

IT 20012-03-7P 20012-04-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

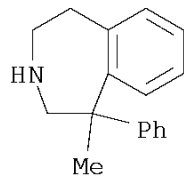
RN 20012-03-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)



RN 20012-04-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride
 (1:1) (CA INDEX NAME)



● HCl

L20 ANSWER 68 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1968:496507 CAPLUS
 DOCUMENT NUMBER: 69:96507
 ORIGINAL REFERENCE NO.: 69:18058h,18059a
 TITLE: Benzazepines
 INVENTOR(S): Walter, Lewis A.; Chang, Wei K.
 PATENT ASSIGNEE(S): Schering Corp.
 SOURCE: U.S., 5 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3393192	A	19680716	US 1965-451063	19650426
PRIORITY APPLN. INFO.:			US 1965-451063	19650426

GI For diagram(s), see printed CA Issue.

AB Dehydration of (β -hydroxyethyl) (β -phenylalkyl) amines (I) yields the title compds. (II). A mixture of 100 g. PhCH₂CH₂NH₂ and 82 g. styrene oxide (III) kept on a steam bath for 12 hrs., gave PhCH₂CH₂NHCH₂CHPhOH (IIIa). To 100 ml. concentrated H₂SO₄ at 0-5° was added 15 g. IIIa, and the mixture stirred 1 hr. to give II (A = Ph, R = R₁ = R₂ = X = Y = H) (IIa). From homoveratrylamine and III was prepared 3,4-(MeO)₂C₆H₃CH₂CH₂NHCH₂CHPhOH, m. 95-8°, which was similarly converted to II (A = Ph, R = R₁ = R₂ = H, X = Y = MeO) (IIb), b₂ 198-200°; acid maleate m. 198-200°. From 100 g. d-amphetamine and 82 g. III, heated 12 hrs. on a steam bath, was obtained PhCH₂CHMeNHCH₂CHPhOH (IIIb), b₁ 160-80°, m. 53-5° (petroleum ether), [α]_D²⁵ 14.6° (1%, EtOH). From 15 g. IIIb and 100 ml. concentrated H₂SO₄ was prepared 4-methyl-1-phenyl-2,3,4,5-tetrahydro-3,1-benzazepine, b₁ 149-51°; hydrochloride, m. 206-7° (iso-PrOH), [α]_D²⁵ 42.0° (1%, Me₂NCHO). From 60 g. α -methylstyrene oxide and 66 g. PhCH₂CH₂NH₂ on a steam bath 6 hrs. was prepared PhCH₂CH₂NHCH₂CMePhOH, b₁ 160-8°; hydrochloride m. 143-5° (MeCN), which was converted to 1-methyl-1-phenyl-2,3,4,5-tetrahydro-3,1-benzazepine, b₁ 160-60°, m. 76-9° (C₆H₁₄); hydrochloride m. 228-9°. Heating 25 g. p-MeOC₆H₄CH₂CH₂NH₂ and 30 g. PhCH(OH)CO₂Et at 180-90° in 3 hrs. gave PhCH(OH)CONHCH₂CH₂C₆H₄OMe-p (IV), m. 75-6°. Dehydration of 20 g. IV by heating with 700 g. polyphosphoric acid at 100° for 1 hr. gave 1-phenyl-2-oxo-7-methoxy-2,3,4,5-tetrahydro-3,1-benzazepine (V) m. 169-71° (EtOAc). Addition of 10 g. V in 250 ml. dioxane to a refluxing suspension of 5 g. LiAlH₄ in 200 ml. dioxane and refluxing 3 hrs. gave 1-phenyl-7-methoxy-2,3,4,5-tetrahydro-3,1-benzazepine as maleate, m. 196-7°. Refluxing 6 g. IIa, 2.4 g. CH₂:CHCH₂Br, and 25 g. anhydrous K₂CO₃ in 250 ml. anhydrous Me₂CO 14 hrs. gave 1-phenyl-3-allyl-2,3,4,5-tetrahydro-3,1-benzazepine, m. 65-8° (C₆H₁₄); hydrochloride m. 203-5°. IIa (6 g.), 1 g. ethylene oxide, and 50 ml. EtOH at room temperature several days gave 1-phenyl-3-(β -hydroxyethyl)-2,3,4,5-tetrahydro-3,1-benzazepine, m. 95-7° (isopropyl ether). Refluxing 9 g. IIb, 15 ml. 37% CH₂O, and 23 ml. 90% HCO₂H for 18 hrs. gave 7,8-dimethoxy-3-methyl-1-phenyl-2,3,4,5-tetrahydro-3,1-benzazepine (VI), m. 82-4° (C₆H₁₄). Action of 5 ml. MeI on 5 g. VI in 5 ml. EtOH at room temperature 15 hrs. gave 7,8-dimethoxy-3,3-dimethyl-1-phenyl-2,3,4,5-tetrahydro-3,1-benzazepinium

10/560,953

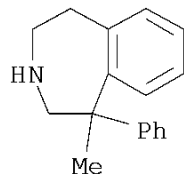
iodide, m. 246-9°. Refluxing 15 g. IIb and 110 ml. 48% HBr 2.5 hrs. gave 1-phenyl-7,8-dihydroxy-2,3,4,5-tetrahydro-3,1-benzazepine hydrochloride, m. 283-5°. II and their salts have antibacterial, antidepressant, analgesic, and hypotensive effects.

IT 20012-03-7P 20012-04-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

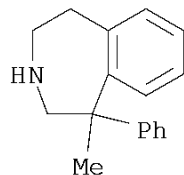
RN 20012-03-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)



RN 20012-04-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride
(1:1) (CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)

L20 ANSWER 69 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1968:443772 CAPLUS

DOCUMENT NUMBER: 69:43772

ORIGINAL REFERENCE NO.: 69:8199a,8202a

TITLE: Properties of 2-amino-4-bromo-1H-3-benzazepine and its derivatives

AUTHOR(S): Gardent, Jean; Hazebroucq, Georges

CORPORATE SOURCE: Hop. Paris, Paris, Fr.

SOURCE: Bulletin de la Societe Chimique de France (1968), (2), 600-5

CODEN: BSCFAS; ISSN: 0037-8968

DOCUMENT TYPE: Journal

LANGUAGE: French

GI For diagram(s), see printed CA Issue.

AB The title compound (I) acetylated as usual gave 2-acetamido-4-bromo-1H-3-benzazepine, m. 171-2°, which on treatment with water gave o-NCCH₂C₆H₄CH₂CONHAc (II), m. 136°. II (1 g.) refluxed with 2.2 g. semicarbazide-HCl, 2.7 g. NaOAc, and 20 ml. water 15 hrs. gave 3-(o-cyanomethylbenzyl)-5-methyl-1,2,4-triazole, m. 141°. Alkaline hydrolysis of II gave o-C₆H₄(CH₂CO₂H)₂, m. 150°. Acid hydrolysis of II yielded o-NCCH₂C₆H₄CH₂CO₂H, m. 101°, which on hydrogenation gave o-H₂NCH₂CH₂C₆H₄CH₂CO₂H, decompose 250-5°. Reduction of I over Pd/C in AcOH gave 84% 2-amino-1H-3-benzazepine-HBr (III), m. 234°. III refluxed with NaOH gave 2-oxo-2,3-dihydro-1H-3-benzazepine (IV), m. 161°. Reduction of IV over Pd/C in AcOH gave 2-oxo-2,3,4,5-tetrahydro-1H-3-benzazepine, m. 160°. Reduction of IV with LiAlH₄ yielded 1(or 2)-hydroxy-2,3,4,5-tetrahydro-1H-3-benzazepine, m. 132°. IV (1.2 g.) refluxed with 6 ml. Ac₂O and 1.5 g. NaOAc 7 hrs. gave 90% 2-oxo-3-acetyl-2,3,-dihydro-1H-3-benzazepine (V), m. 81°. Alkaline hydrolysis of V gave IV. IV (5 g.) refluxed with 50 ml. MeOH and 5 ml. concentrated HCl gave 4.5 g. o-MeO₂CCH₂C₆H₄CH₂CH(OMe)₂, b0.35 124-5°, n_D25 1.5045. IV (1.35 g.) in 5 ml. AcOH was treated with 1.35 g. Br to give 46% 2-oxo-5-bromo-2,3-dihydro-1H-3-benzazepine (VI), m. 184°. Oxidation of VI gave phthalic acid. Reductive dehalogenation of VI with Zn in CuSO₄-H₂SO₄ gave IV. Bromination of IV in AcOH gave 2-oxo-1,5-dibromo-2,3-dihydro-1H-3-benzazepine, m. 173°. III (3 g.) in 90 ml. Me₂CO treated with 10 ml. MeI gave 5.15 g. 2-amino-3-methyl-1H-benzazepinium iodide (VII), m. 205°. Similarly was prepared 88% 2-amino-3-ethyl-1H-benzazepinium iodide (VIII), m. 218-20°. VIII refluxed with NaOH gave 82% 2-oxo-3-ethyl-2,3-dihydro-1H-3-benzazepine (IX), b0.4 127-8°, n_D21 1.5981. Hydrogenation of IX over Pd/C gave 100% 2-oxo-3-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine (X), m. 107°. X (0.5 g.) was refluxed with 0.5 g. LiAlH₄ in 50 ml. Et₂O 3 hrs. and worked up to give 0.4 g. 3-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine-HCl (XI), m. 232-4°. X (0.8 g.) refluxed with 1 g. KOH in 10 ml. EtOH 10 hrs. gave o-HO₂CCH₂C₆H₄CH₂CH₂NH₂Et; picrate m. 162°. Reduction of IX with LiAlH₄ gave 87% 3-ethyl-2,3-dihydro-1H-3-benzazepine, b0.25 103-5°, n_D23 1.6192. Bromination of IX in AcOH gave 70% 2-oxo-3-ethyl-5-bromo-2,3-dihydro-1H-3-benzazepine (XII), m. 78°. Reduction of VIII gave XI. Similarly was prepared 3-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine-HCl, m. 251-2°.

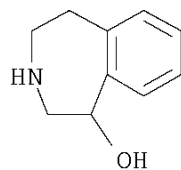
IT 19301-11-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 19301-11-2 CAPLUS

10/560,953

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L20 ANSWER 70 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1967:2461 CAPLUS

DOCUMENT NUMBER: 66:2461

ORIGINAL REFERENCE NO.: 66:518h,520a,522a

TITLE: 2,3,4,5-Tetrahydro-1H-3-benzazepin-1-ones and
hexahydroimidazoisoquinolines

AUTHOR(S): Hazebroucq, Georges

CORPORATE SOURCE: Pharm., Centrale Hop., Paris, Fr.

SOURCE: Annales de Chimie (Paris, France) (1966), 1(5/6),
221-54

CODEN: ANCPAC; ISSN: 0151-9107

DOCUMENT TYPE: Journal

LANGUAGE: French

OTHER SOURCE(S): CASREACT 66:2461

GI For diagram(s), see printed CA Issue.

AB The synthesis of the above benzazepinones was approached by intramol. acylation by amides in the presence of hydrated POCl₃. Homoveratrylamine (25 g.) in 200 ml. Et₂O and 50 ml. 2N aqueous Na₂CO₃ stirred 3 hrs. at 20° with gradual addition of 50 g. p-Me-C₆H₄SO₂Cl and 150 ml. 2N Na₂CO₃ stirred 3 hrs. at 20° with gradual addition of 50 g. p-MeC₆H₄SO₂Cl and 150 ml. 2N Na₂CO₃ and filtration yielded 41 g. crystalline 3,4-(MeO)2C₆H₃CH₂CH₂NH-SO₂C₆H₄Me (I), m. 136°. Similarly were prepared the N-SO₂Me and N-SO₂CH₂Ph homologs, m. 72° (C₆H₆), and m. 93° (alc.) in 76 and 84% yields, resp. Toluenesulfonation of the appropriate amines yielded 83% PhCH₂CH₂NHSO₂C₆H₄Me (II), m. 67° (alc.), and 62% 3,4-(EtO)2C₆H₃CH₂NHSO₂C₆H₄Me, m. 72° (alc.), v 3300 cm.⁻¹ I (1.1 g.) 0.35 g. ClCH₂CONH₂, and 1 g. K₂CO₃ refluxed 16.5 hrs. in 30 ml. Me₂CO and the product recrystd. from alc. gave 1.05 g. 3,4-(MeO)2C₆H₃CH₂CH₂N-(CH₂CONH₂)SO₂C₆H₄Me (III), m. 168°. Similar coupling with ClCH₂CN gave 59% yield of 3,4-(MeO)2C₆H₃CH₂CH₂N(CH₂-CN)SO₂C₆H₄Me (IV), m. 98°, also obtained by dehydration of III by the action of POCl₃. III (0.5 g.) and 1 ml. POCl₃ refluxed 1 hr. in 10 ml. C₆H₆ and poured onto ice, diluted with 20 ml. C₆H₆ and the dried. organic layer distilled yielded 0.2 g. IV. Various N-acyl-N-acetanilidophenethylamines (V) were prepared with a view to their successful cyclization. II (9.1 g.), 5.6 g. ClCH₂CONHPh, and 10 g. K₂CO₃ refluxed 24 hrs. in 165 ml. Me₂CO and the cooled mixture filtered gave 10.1 g. V (R = H, R' = p-MeC₆H₄SO₂) (VI), m. 121° (alc.). Similarly were prepared V (R, R', m.p./solvent, v in cm.⁻¹, and % yield given): OMe, p-MeC₆H₄SO₂ (VII), 148°/alc., -, 66; OEt, p-MeC₆H₄SO₂, 124°/alc., 3300, 1680, 78; OMe, MeSO₂, 133°/C₆H₆, 3290, 1675, -; OMe, PhCH₂SO₂, 148°/alc., 3300, 1680, 95. I (33.5 g.), 18.4 g. ClCH₂CONMePh, and 5 g. K₂CO₃ refluxed 27 hrs. in 500 ml. Me₂CO and the crystalline product (49 g.) recrystd. in 300 ml. alc. yielded 75% 3,4-(MeO)2-C₆H₃CH₂N(Ts)CH₂CONMePh (VII) (Ts=tosyl), m. 120°. ClCH₂CONHPh (1.7 g.), 3.08 g. 3,4-(MeO)2C₆H₃CH₂CH₂NHCH₂Ph.HCl, and 5 g. K₂CO₃ refluxed 48 hrs. in 50 ml. Me₂CO and the product (3.65 g.) taken up in 20 ml. C₆H₆ (C) and the filtered solution diluted with 50 ml. petr. ether gave 2.2 g. 3,4-(MeO)2C₆H₃-CH₂CH₂N(CH₂Ph)CH₂CONHPh, m. 67° (Bu₂O). Since III was converted to the nitrile (IV) by freshly prepared POCl₃ and successful cyclization had been noted with old samples of POCl₃, a procedure for preparing artificially aged POCl₃ was established. Tech. POCl₃ (500 g.) was redistd. under anhydrous conditions and the main fraction (400 g.) added with magnetic stirring to 20 g. H₂O in a flask (ice bath) surmounted by a condenser guarded by a CaCl₂ tube. The reaction evolved HCl and was carried out under a hood. The hydrated POCl₃ was kept in a ground glass stoppered flask with a Hg-filled bulb tube and maintained its

cyclization properties several months. V (2 g.) and 4 ml. hydrated POCl₃ refluxed 1.5 hrs. in 40 ml. C₆H₆ and the solvent evaporated in vacuo, the residue taken up in 40 ml. alc. and the crystalline product recrystd. gave the 3-alkyl(aryl)sulfonyl-2,3,4,5-tetrahydro-1H-3-benzazepin-1-ones (IX) (R, R', % yield, m.p./solvent, and ν in cm.⁻¹ given): MeO, p-MeC₆H₄SO₂ (X), -, 211°/alc., 1670; EtO, p-MeC₆H₄SO₂ (XI), 55, 129°/AcOH, 1660; MeO, MeSO₂ (XII), 66, 219°/alc., 1670; MeO, PhCH₂SO (XIII), 77, 172°/alc., 1670. VI (1 g.) refluxed 3 hrs. with 2.5 ml. hydrated POCl₃ in 20 ml. C₆H₆ and the residue on distillation in vacuo taken up in 15

ml.

alc. yielded 36% 2-tolylsulfonyl-1,2,3,4-isoquinoline, m. 145° (AcOH), lacking ir CO band and giving no 2,4-dinitrophenylhydrazone. VII (1 g.) and a mixture of 1 ml. redistd. POCl₃ and 5.9 g. polyphosphoric acid heated 1 hr. on a steam bath, the mixture taken up in 20 ml. alc. and filtered after several hrs. gave 0.1 g. precipitate, m. 144°, regarded as impure VII. No cyclization product was obtained on treatment of VIII with hydrated POCl₃. In general, successful cyclization of N-acetanilidophenethylamines to benzazepinones the N atom should be protected by sulfonyl groups, the benzene ring activated by substituent groups, the amide monosubstituted, and hydrated POCl₃ used. The 2 last requirements differentiate the described reaction from the Vilsmeier-Haack reaction. A mechanism postulating a chloropyrophosphoric ester of the hydroxyimide form of the amide as intermediate was described. The chemical properties of IX were investigated. X (0.3 g.) in 10 ml. MeOCH₂CH₂OMe and 0.5 g. 2,4-(O₂N)₂C₆H₃NHNH₂ in 15 ml. solvent containing 9 drops of

concentrated HCl

gave after 4 days 99% yield of hydrazone, C₂₅H₂₅N₅, m. 235-8°. X (1.60 g.) and 0.2 g. p-Me-C₆H₄SO₃H refluxed 9 hrs. under a Dean-Stark head and the washed (aqueous NaHCO₃) and dried solution evaporated yielded 92% ketal (XIV), m. 142° (alc.). IX were unchanged on refluxing with HCl in aqueous, alc., and AcOH solns. but were unstable in alkaline solution

Accordingly

2-substituted derivs. were prepared X (5 g.) in 200 ml. diglyme treated at 40° with 5 g. KBH₄ in 20 ml. H₂O and the mixture heated 45 min. on a steam bath, diluted with 500 ml. H₂O and gradually acidified with concentrated

HCl

yielded 91% 3-tolylsulfonyl-7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepin-1-ol (XV). XII was similarly reduced to the corresponding benzazepinol, m. 183°. XV (8 g.) heated 1 hr. (metal bath) at 190-200°/14 mm. with gas evolution and the residue taken up in alc., the decolorized solution filtered and chilled gave 3-tolylsulfonyl-7,8-dimethoxy-4,5-dihydro-1H-3-benzazepine (XVI), m. 144° (alc.), also obtained by refluxing XV in dilute HCl 20 hrs. X (5 g.) and 1.5 g. SeO in 3 ml. H₂O refluxed 2 hrs. in 50 ml. AcOH and the decolorized filtered solution cooled gave 3-tolylsulfonyl-1,2-dioxo-7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine, m. 210° (alc.), also obtained by SeO₂ oxidation of XVI. XVI (0.438 g.) hydrogenated in 20 ml. AcOH 1 hr. over 0.048 g. 5% Pd-C and the filtered solution diluted with H₂O yielded 70% 3-tolylsulfonyl-7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine (XVII), m. 114° Clemmensen reduction of X yielded 88% 2-tolylsulfonyl-1-methyl-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline, m. 150° (alc.), also prepared by toluenesulfonation of salsolidine. XII (3 g.) and 1.8 g. Br in 90 ml. AcOH kept 20 hrs. at 20° and the filtered solution evaporated in vacuo, the residue extracted 3 times by 50 ml.

CHCl₃

in the presence of 100 ml. H₂O yielded 76%

3-methylsulfonyl-7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepin-1-one (XVIII), m. 164° (C₆H₆), giving a 2,4-dinitrophenylhydrazone. SeO₂ (0.22 g.) and 0.6 g. XII refluxed 2 hrs. in 10 ml. AcOH and the hot filtered solution cooled yielded 79% 3-methylsulfonyl-1,2-dioxo-7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine (XIX), also obtained by CrO₃-AcOH oxidation of XVIII. XII (20 g.) stirred 4.5 hrs. in 1 l. alc. containing 7.4

g.

BzH and 200 ml. aqueous KOH and the mixture diluted with 1l. H₂O, kept 18 hrs. and

decolorized with C in 1 l. warm PhMe, filtered hot and cooled yielded 90% 3-methylsulfonyl-7,8-dimethoxy-2-benzylidene-2,3,4,5-tetrahydro-1H-3-benzazepin-1-one (XX), m. 231°. XX (0.340 g.) hydrogenated 53 min. in 25 ml. AcOH over 0.036 g. 5% Pd-C and the hot filtrate diluted with H₂O yielded 94% 3-methylsulfonyl-7,8-dimethoxy-2-benzyl-2,3,4,5-tetrahydro-1H-3-benzazepin-1-one (XXI), m. 173° (alc.), v 1680 cm.⁻¹, giving a 2,4-dinitrophenylhydrazone. KBH₄ reduction of XX diglyme at 40° yielded 75% 3-methyl sulfonyl-7,8-dimethoxy-2-benzylidene-2,3,4,5-tetrahydro-1H-3-benzazepin-1-ol (XXII), m. 150° (alc.), v 3470-550 cm.⁻¹ Catalytic hydrogenation of XXII in AcOH over 5% Pd-C 6 hrs. gave 68% 3-methylsulfonyl-7,8-dimethoxy-2-benzyl-2,3,4,5-tetrahydro-1H-3-benzazepin-1-ol (XXIII), m. 161° (C₆H₆), also obtained by KBH₄ reduction of XXI in alc. The shift in the ir absorption in XXI in comparison to that of XX was attributed to diminution of conjugation. The N-S cleavage of the benzazepine sulfamides was studied in the alkali-stable non-ketonic and alkali-unstable ketonic derivs. XVII (3 g.) heated 4 hrs. on a steam bath with 6 g. PhOH and 15 ml. 48% HBr and the cooled mixture extracted with Et₂O and the residual aqueous layer freed from

Et₂O

yielded 0.45 g. 3-tolylsulfonyl-7-hydroxy-8-methoxy-2,3,4,5-tetrahydro-3-benzazepine, m. 162° (alc.), giving a yellow color with alc. FeCl₃. The aqueous filtrate decolorized and evaporated in vacuo yielded 46% impure 7,8-dihydroxy-2,3,4,5-tetrahydro-1H-3-benzazepine-HBr salt together with a non-demethylated compound XVII (1 g.) in 50 ml. liquid NH₃ treated portionwise with 0.35 g. Na and the blue solution decolorized after 15 min. with 2 g. NH₄Cl, the residue on evaporation taken up in 50 ml. H₂O and treated with 20 ml. aqueous NaOH, extracted with 300 ml, Et₂O gave 7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine. characterized as the HCl salt, m. 247°, and 3-acetyl derivative, m. 128°. Attempts to detoluenesulfonate XVI with Na in NH₃ or in alc. gave unidentified mixts. XV (2 g.) in 200 ml. absolute alc. treated portionwise with 11.6 g. Na under gentle reflux and the solution adjusted to pH 6 with concentrated HCl,

the

alc. evaporated in vacuo and the aqueous solution extracted with pH 6 with 300 ml. Et₂O,

made quite alkaline with Na₂CO₃ and extracted with 225 ml. C₆H₆ yielded 92% 1-hydroxy-7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine (XXIV), m. 161° (Me₂CO). XIV treated with Ca in liquid NH₃ gave a mixture of unidentified compds. and the parent X yielded no stable product on detoluenesulfonation in basic, neutral, or acid media. Accordingly attempts were made to cleave the N-S bond of the derivative XXI. KOH (8 g.) in 400 ml. 90% EtOH and 24 g. XXI refluxed 1 hr. and the solution saturated with CO₂, filtered and the residue on evaporation taken up in dilute HCl, the acid solution (pH 6) filtered from a yellow base (XXV) and the filtrate made alkaline in the presence of Et₂O, filtered and the mixed bases, m. 130-50° crystallized from 900 ml. alc. yielded 31% base (XXVI), m. 230°. The alc. mother liquors acidified and evaporated, the carefully dried HCl salt taken up in 75 ml. MeOH and diluted with 500 ml. Et₂O yielded

53% base (XXVII), m. 135° (C₆H₆). XXI (12 g.) refluxed 6 hrs. with 2.4 g. NaOMe in 200 ml. MeOH and the mixture filtered after 18 hrs. at 20° gave 93% yield of 7,8-dimethoxy-2-benzyl-4,5-dihydro-1-H-3-benzazepin-1-one (XXV), m. 185°. XXV (1 g.) and 1 g. KBH₄ refluxed 3.7 hrs. in 20 ml. MeOH and the colorless solution diluted with 20 ml. H₂O, acidified gradually with concentrated HCl and the alc. evaporated, the residue taken up in Et₂O and made alkaline with Na₂CO₃. filtered from 0.3 g. 7,8,-dimethoxy-2-benzyl-4,5-dihydro-1-H-3-benzazepin-1-ol (XXVI), m. 179° (EtOAc), and the filtrate extracted with Et₂O, the amorphous residue on evaporation taken up in 5 ml. C₅H₅N and treated with 0.4 ml. MeSO₂Cl, kept 18 hrs. at 20° and diluted with H₂O and Et₂O yielded 7% 3-methylsulfonyl-7,8-dimethoxy-2-benzyl-2,3,4,5-tetrahydro-1-H-3-benzazepin-1-ol (XXVII), m. 217° (alc.), no ir band at 3300 cm.⁻¹ XXV (2.4 g.) and 0.9 g. KOH in 30 ml. alc. refluxed 15 min. and acidified with 1.3 ml. concentrated HCl, the filtered solution evaporated and the residue together with the precipitate from filtration taken up in 50 ml. H₂O and acidified, filtered from 0.2 g. XXV and made alkaline in the presence of Et₂O gave 2 g. mixed bases, recrystd. to give 26% yield XXVI and 39% XXVII. XXVII (0.5 g.) in 5 ml. hot alc. refluxed 1 hr. with 0.1 g. KOH and the product recrystd. yielded 80% XXVI. XXVI (0.5 g.) and 0.5 g. KBH₄ refluxed 1 hr. in 10 ml. MeOH and kept 1.5 hrs. at 20°, acidified with 2 ml. concentrated HCl and boiled 2 min., the residue on evaporation taken up in 10 ml. H₂O and the precipitated HCl salt (0.54 g.) taken up in 3 ml. MeOH and diluted with Et₂O, the precipitate taken up in 7 ml. H₂O and made alkaline gave 1,2-dihydroxy-7,8-dimethoxy-2-benzyl-2,3,4,5-tetrahydro-1-H-3-benzazepine, m. 196° (alc.). Similar reduction of the isomeric base XXVII gave the glycol, m. 159° (alc.). XXVII was characterized by the 3-benzoyl derivative (XXVIII), m. 187° (alc.). Similarly from XXVI was formed the 3-benzoyl derivative (XXIX), m. 152° (70% alc.). XXIX (0.1 g.) and 0.1 ml. concentrated aqueous Na₂CO₃ kept 24 hrs. at 20° in 3 ml. alc. and the mixture diluted with H₂O, filtered and the residue recrystd. in 2 ml. alc. gave XXVIII. Thus benzoylation of XXVI in the presence of excess alkali yields XXVIII and not XXIX. XXIX heated to 198° in 80 min. and the cooled product taken up in 10 ml. hot alc. gave 0.16 g. precipitate recrystd. from C₆H₆-petroleum ether and from alc. to give a small amount of XXVIII, m. 186-7°. XXVIII was reconverted in boiling alc. containing KOH to give the free base XXVI. XXVI (0.3 g.) heated 3 hrs. on a steam bath with 3 ml. Ac₂O yielded 60% 3-acetyl-7,8-dimethoxy-2-benzyl-2,3,4,5-tetrahydro-1-H-3-benzazepin-1-ol, m. 211° (alc.). Similarly, treatment of XXVII gave 3-acetyl-7,8-dimethoxy-2-benzyl-2,3,4,5-tetrahydro-1-H-3-benzazepin-1-one, m. 240° (alc.). The oxidation of the isomeric bases XXVI and XXVII with HIO₄ took place with consumption of 2 atoms O and gave in both expts. the same 6,7-dimethoxy-1-oxo-3-phenylacetamidoisochroman (XXX), m. 209° (AcOH). XXX (1.5 g.) and 1 g. KOH refluxed 3 hrs. in 15 ml. alc. with evolution of a volatile base and the diluted solution freed from alc. by evaporation, extracted with 80 ml. Et₂O, and acidified with HCl gave an unidentified compound, C₁₃H₁₄O₅, m. 264°, v 1690 cm.⁻¹ The Et₂O exts. dried and evaporated gave several mg. Ph-NHAc, m. 157°. XXX (2 g.) and 6 ml. concentrated HCl refluxed 2 hrs. in 30 ml. alc. and the solution diluted with 30 ml. H₂O, the alc. evaporated along with an oily product, the aqueous solution cooled, and the crystalline product (0.9 g.) recrystd. from alc. gave 3,4-dimethoxy-homophthalaldehydic acid (XXXI), m. 168°, tautomeric

with 1-oxo-3-hydroxy-6,7-dimethoxyisochroman. The distillate diluted with H₂O and extracted with Et₂O gave 0.2 g. oily PhCH₂CO₂Et, saponified to the acid.

Oxidation of XXXI with iodine in 5% aqueous K₂CO₃ showed consumption of 5 atoms iodine per mol. XXXI implying complex reaction with duplication.

Homoveratric alc. (10 g.) in 30 ml. C₆H₆ treated with 2.06 g.

1,3,5-trioxane and the mixture saturated 1 hr. with dry HCl, refluxed 1 hr. and the HCl partially removed in vacuo, diluted with 50 ml. H₂O and extracted with 300 ml. Et₂O gave 10.4 g. product, crystallized from 10 ml. Et₂O at -10°

to yield 53% 6,7-dimethoxyisochroman, m. 79°, oxidized with

CrO₃-AcOH to yield 65% 1-oxo-6,7-dimethoxyisochroman, m. 141°,

identical with the product obtained by KBH₄ reduction of XXXI. Attempts

to obtain 2-phenyl-2,3,4,5-tetrahydro-3-benzazepin-1-one from

α-(3,4-dimethoxyphenethylamino)phenylacetic acid (XXXII, R = OMe)

(XXXIII) were unsuccessful, and led by a Bischler-Napieralsky reaction to

conversion of N-acyl anilides to N-substituted-3,4-dihydroisoquinolinium

comps., cyclizing reversibly in alkaline media to substituted

hexahydroimidazoisoquinolines. The amide,

N-benzoyl-N-(α-cyanobenzyl)-3,4-diethoxy-homoveratrylamine (XXXIV)

was submitted to various treatments with a view to its cyclization to a

tetrahydrobenzazepinone through the intermediate imine (XXXV) by a Hoesch

reaction. XXXIV (2 g.) kept 48 hrs. at 20° in 20 ml. POCl₃ and

poured onto ice, the yellow solution alkalized by a large excess of aqueous

KOH,

and the dried precipitate washed with alc. yielded 75% colorless polymer, m.

317-19°, resisting reduction by Na in boiling isoamyl alc. The

polymer gave an analysis in agreement with that of the expected XXXV.

XXXII (R = OEt) (1 g.) refluxed 5 min. in 10 ml. C₆H₆ containing 1 g. PCI₅ and

the violet solution treated at 0° with 1 g. Sn-Cl₄, poured onto ice

and the solution heated on a steam bath, decanted and the acid solution and

washings made alkaline with aqueous KOH yielded 40%

6,7-diethoxy-1-phenyl-1,2,3,4-tetrahydroisoquinoline, m. 103-4°,

characterized as the 2-benzoyl derivative, m. 183°. Attempts were then

made to synthesize and cyclize the anilide of XXXIII. Amidification by

PhNH₂ in the presence of C₆H₁₁-N: C: NC₆H₁₁ and the refluxing the acid in

xylene or Tetralin with an excess of PhNH₂ under a Dean-Stark head were

unsuccessful as was refluxing the acid amine in PhNH₂. XXXIII (10 g.)

refluxed 1.5 hrs. in 400 ml. C₆H₆ with 200 ml. POCl₃ and 10 ml. PhNH₂ and

the C₆H₆ and excess POCl₃ distilled at 100°/14 mm., the residue taken

up in 200 ml. H₂O and extracted with 600 ml. CH-Cl₃, the product stirred with

200 ml. Et₂O, 200 ml. H₂O, and 30 ml. aqueous KOH and the aqueous layer

extracted

twice with Et₂O, the H₂O-washed Et₂O layer extracted with HCl and the extract

reextd. with CH-Cl₃ yielded 42% anilide (XXXVI, R = NPh, R₁ = H) (XXXVII)

HCl salt, m. 188°. Use of stoichiometric amts. of PhNH₂ or a very

small excess led to formation of 1,4-bis(3,4-dimethoxyphenethyl-2,5-dioxo-

3,6-diphenylpiperazine, m. 213° (AcOH), hydrolyzed by KOH in

boiling isoamyl alc. to give XXXVIII. XXXVI refluxed in C₆H₆ with POCl₃

gave a colored mixture from which no pure compound could be separated The

corresponding N-tosyl anilide (XXXVI, R = NPh, R₁ = p-MeC₆H₄SO₂) treated

under previously defined cyclization conditions gave mixture of unisolated

comps. Attempts were made to protect the amino N atom and to cyclize the

formylated derivative XXXIII (20 g.) in 32 ml. 1:1 Ac₂O-96-8% HCO₂H kept 3

days at 37° and stirred with 2 l. H₂O yielded 20.5 g. XXXVI (R =

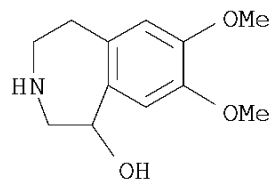
OH, R₁ = CHO) (XXXVIII), m. 117° (C₆H₆). XXXVII HCl salt (2.9 g.)

stirred with 6 ml. N NaOH in 30 ml. H₂O and 40 ml. Et₂O, the Et₂O and Et₂O

washings evaporated and the residue kept 5 days at 37° in 6 ml. 1:1

Ac2O-HCO2H, the mixture diluted with 50 ml. H2O and extracted with C6H6 gave
2.42 g. semiliquid XXXVI (R = NPh, R1 = CHO) (XXXIX).
XXXIX (2.42 g.) refluxed 85 min. in 30 ml. C6H6 with 5 ml. hydrated POCl3 and
the residue on evaporation taken up in 25 ml. alc., the solution evaporated in
a stream of air, and the residue extracted with Et2O yielded 11%
1,3-diphenyl-2-oxo-8,9-dimethoxy-1,2,3,5,6,10b-hexahydroimidazo
[2,1-a]isoquinoline (XL, R = H) (XLI). XXXVIII (10 g.), 3.4 ml. PhNH2, and
20 ml. hydrated POCl3 refluxed 1.5 hrs. in 200 ml. C6H6 and the residue on
evaporation taken up in 30 ml. alc., the solution diluted with 400 ml. H2O and
neutralized by aqueous KOH in the presence of 50 ml. Et2O, kept 18 hrs. at
0° and the yellow crystalline product recrystd. from alc. yielded 52%
XLI, m. 184°. XLI (0.5 g.) refluxed 3 hrs. in 12.5 ml. alc. with
2.5 g. KOH and the solution diluted with H2O, acidified with 7.5 ml. HCl and
the alc. evaporated, the acid solution washed with Et2O and the Et2O washings
extracted with 0.5N NaOH, the alkaline solution acidified and the precipitate
recrystd. from
MeOH gave a few mg. of 2-(α -carboxybenzyl)-6,7-dimethoxy-3,4-
dihydroisocarbostyryl, m. 201°. The acid solution treated by BzCl in
alkaline medium gave small amts. of PhNHBz, m. 163°. XLI reduced with
KBH4 2 hrs. in refluxing alc. yielded 70%
2-phenylacetanilido-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline, m.
194°. XLI (306 mg.) hydrogenated in 20 ml. AcOH with 0.304 g. 5%
Pd-C 45 min. with 2 moles H and the filtered solution distilled, the residue
crystallized from alc. to give 0.15 g. PhCH2CONHPh, m. 117°, and 0.2 g.
2-benzoyl-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline, m. 110°.
XLI (0.148 g.) treated with 3.85 ml. 0.1N HCl and 10 ml. absolute alc. and the
residue on evaporation taken up in 5 cc. H2O, extracted with 20 ml. CHCl3 and
the product crystallized from MeOH and Et2O gave 0.15 g.
6,7-dimethoxy-2-phenylacetanilido-3,4-dihydroisoquinolinium chloride, m.
172°, corresponding to the open structure. Acetylation and
benzoylation of XXXVII gave the corresponding XXXVI (R = NPh, R1 = Ac)
(XLII), m. 136° (70% alc.), and XXXVI (R = NPh, R1 = Bz) (XLIII),
m. 179° (alc.). XXXVII. HCl (1 g.) and 5 ml. N NaOH shaken with 0.9
g. p-MeC6H4SO2Cl in 10 ml. Et2O 1 hr. and the mixture shaken 1 hr. with 5
ml. N NaOH and 10 ml. Et2O yielded after 3 days 90% XXXVI (R = NPh, R1 =
p-MeC6H4SO2) (XLIV), m. 201°. XLII (1 g.) refluxed 70 min. in 20
ml. C6H6 with 2 ml. hydrated POCl3 and the cyclized product isolated
yielded 35% imidazoisoquinoline XL (R = Me), m. 149°. Similar
treatment of XLIII gave colorless XL (R = Ph) (XLV), m. 206°
(alc.), mol. weight 475 (acidimetry), yielding a yellow green isoquinolinium
chloride. Hydrogenolysis of XLV gave PhCH2CONHPh and
1-phenyl-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline. The reported
double cyclization opens a new route to a little known polycyclic system.
Ir spectral data were given for some of the compds. prepared
IT 14165-92-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 14165-92-5 CAPLUS
CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)

10/560,953



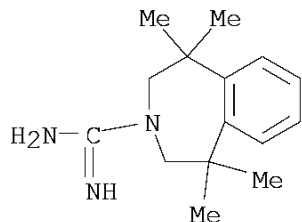
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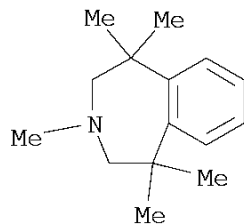
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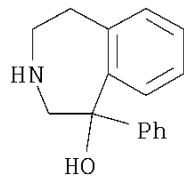
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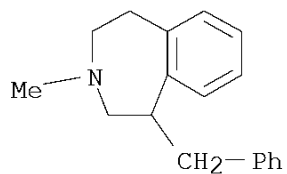
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SR Chemical Library
Supplier: ChemBridge Corporation
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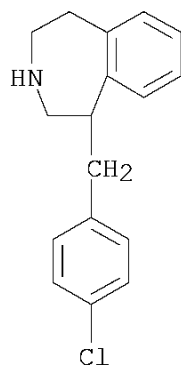
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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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L19 ANSWER 80 OF 81 REGISTRY COPYRIGHT 2010 ACS on STN
RN 61034-75-1 REGISTRY
ED Entered STN: 16 Nov 1984
CN 1H-3-Benzazepine, 1-[(4-chlorophenyl)methyl]-2,3,4,5-tetrahydro- (CA
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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT